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159021

ACCESS DB #  
PLEASE PRINT CLEARLY

Scientific and Technical Information Center

## SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 7/12/05  
 Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 101671298  
 Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK  
 \*\*\*\*\*

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: \_\_\_\_\_

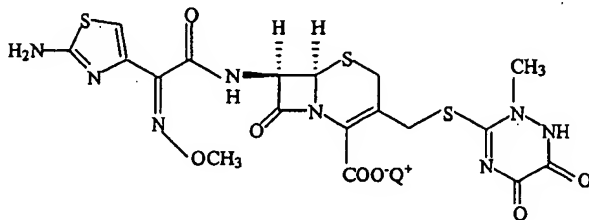
Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Date: \_\_\_\_\_

## Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

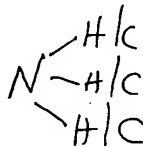
\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



Search #1 @ Q+ =  $\oplus$  N  $\leftarrow$  L26

Search #2

CAS react Q = H +  $\uparrow$



no hits

No hits

because no  
amine salts

#1 of 3

## STAFF USE ONLY

Searcher: Jim

Searcher Phone #: 22804

Searcher Location: \_\_\_\_\_

Date Searcher Picked Up: 7/26/05

Date Completed: 7/26/05

Searcher Prep & Review Time: 20

Online Time: 4:00

## Type of Search

\_\_\_\_ NA Sequence (#)

\_\_\_\_ AA Sequence (#)

☒ Structure (#)

\_\_\_\_ Bibliographic

\_\_\_\_ Litigation

\_\_\_\_ Fulltext

\_\_\_\_ Other

## Vendors and cost where applicable

☒ STN ☐ Dialog

☐ Questel/Orbit ☐ Lexis/Nexis

☐ Westlaw ☐ WWW/Internet

\_\_\_\_ In-house sequence systems

☐ Commercial ☐ Oligomer ☐ Score/Length

☐ Interference ☐ SPDI ☐ Encode/Transl

\_\_\_\_ Other (specify)

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ACCESS DB # \_\_\_\_\_  
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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 7/12/05  
Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 1067298  
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK  
\*\*\*\*\* 10/830806 \*\*\*\*\*

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

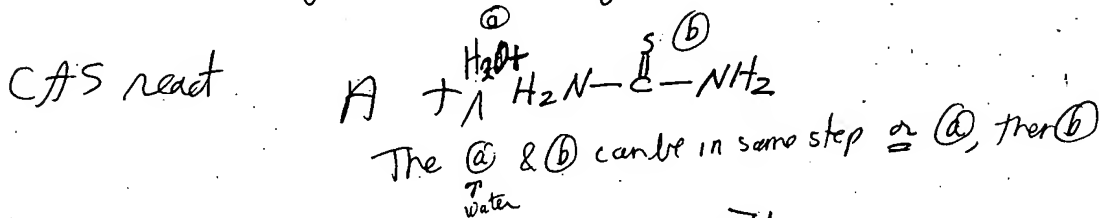
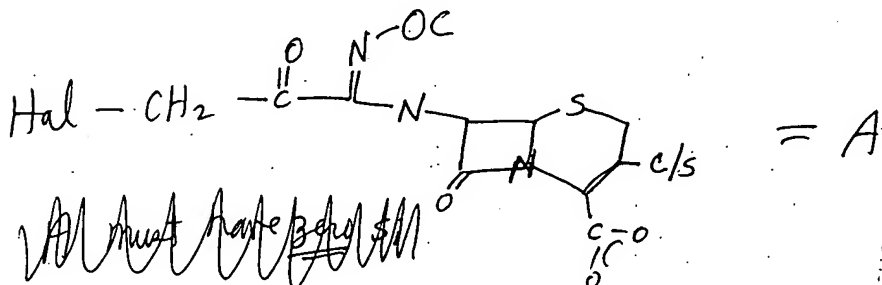
Earliest Priority Date: \_\_\_\_\_

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

second step of C18 of ~~1067298~~ (8/19/04)  
C18 of 10830806



~~NOTE: Any fail if desalted form of reactant is not written out~~

#3703

STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: _____	____ NA Sequence (#)	____ STN      ____ Dialog
Searcher Phone #: _____	____ AA Sequence (#)	____ Questel/Orbit      ____ Lexis/Nexis
Searcher Location: _____	____ Structure (#)	____ Westlaw      ____ WWW/Internet
Date Searcher Picked Up: _____	____ Bibliographic	____ In-house sequence systems
Date Completed: _____	____ Litigation	____ Commercial      ____ Oligomer      ____ Score/Length
Searcher Prep & Review Time: _____	____ Fulltext	____ Interference      ____ SPDI      ____ Encode/Transl
Online Time: _____	____ Other	____ Other (specify)

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 7/12/05  
Art Unit: 1624 Phone Number: 2-0663 Serial Number: 10671298  
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK  
\*\*\*\*\*  
N22 10/1830806

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

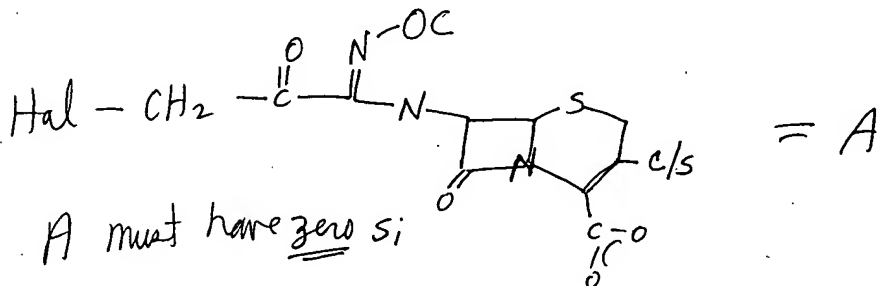
Earliest Priority Date: \_\_\_\_\_

Search Topic:

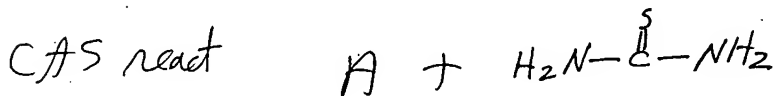
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

second step of Cl 18 of 10671298  
Cl 18 of 10830806



A must have zero Si



[Note: may fail if desalted form of reactant is not written out]  
Hence search #3

#203

STAFF USE ONLY

Searcher: \_\_\_\_\_  
Searcher Phone #: \_\_\_\_\_  
Searcher Location: \_\_\_\_\_  
Date Searcher Picked Up: \_\_\_\_\_  
Date Completed: \_\_\_\_\_  
Searcher Prep & Review Time: \_\_\_\_\_  
Online Time: \_\_\_\_\_

Type of Search

\_\_\_\_ NA Sequence (#)  
\_\_\_\_ AA Sequence (#)  
\_\_\_\_ Structure (#)  
\_\_\_\_ Bibliographic  
\_\_\_\_ Litigation  
\_\_\_\_ Fulltext  
\_\_\_\_ Other

Vendors and cost where applicable

\_\_\_\_ STN      \_\_\_\_ Dialog  
\_\_\_\_ Questel/Orbit      \_\_\_\_ Lexis/Nexis  
\_\_\_\_ Westlaw      \_\_\_\_ WWW/Internet  
\_\_\_\_ In-house sequence systems  
\_\_\_\_ Commercial      \_\_\_\_ Oligomer      \_\_\_\_ Score/Length  
\_\_\_\_ Interference      \_\_\_\_ SPDI      \_\_\_\_ Encode/Transl  
\_\_\_\_ Other (specify)

=> fil casreact

FILE 'CASREACT' ENTERED AT 11:38:19 ON 26 JUL 2005

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FILE CONTENT:1840 - 24 Jul 2005 VOL 143 ISS 4

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\*\*\*\*\*  
\*  
\* CASREACT now has more than 9.2 million reactions \*  
\*  
\*\*\*\*\*

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

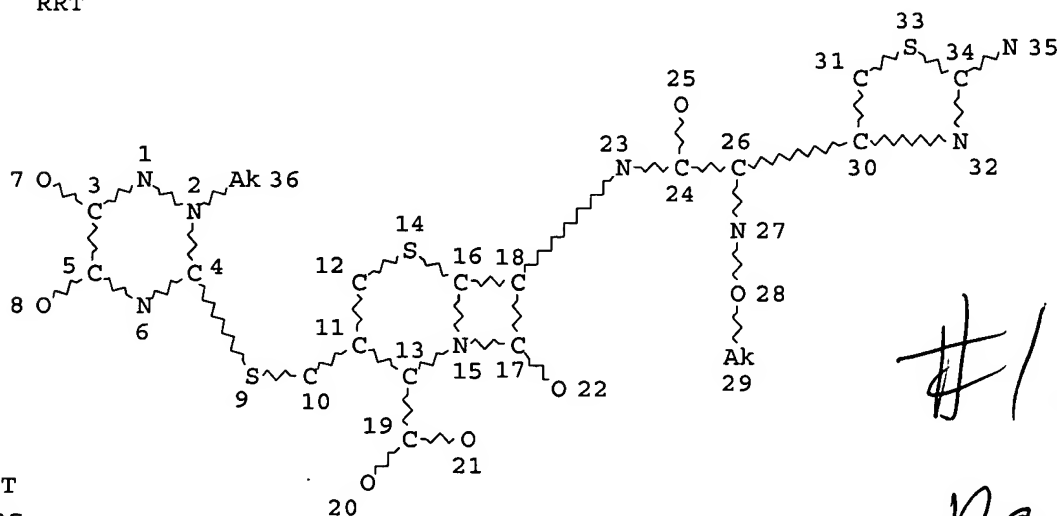
=> d sta que

L18 STR

RRT

RRT

N 37



NODE ATTRIBUTES:

NSPEC IS RC AT 37

CONNECT IS M1 RC AT 21

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 11

NUMBER OF NODES IS 37



STEREO ATTRIBUTES: NONE

L20 2 SEA FILE=CASREACT SSS FUL L18 ( 5 REACTIONS)

100.0% DONE 7 VERIFIED 5 HIT RXNS 2 DOCS

SEARCH TIME: 00.00.01

=&gt; d bib abs fhit retable tot

L20 ANSWER 1 OF 2 CASREACT COPYRIGHT 2005 ACS on STN

AN 141:6965 CASREACT

TI Preparation of prodrug esters of ceftriaxone

IN Raina, Vandna; Kumar, Yatendra; Aryan, Ram Chander

PA Ranbaxy Laboratories Limited, India

SO PCT Int. Appl., 22 pp.

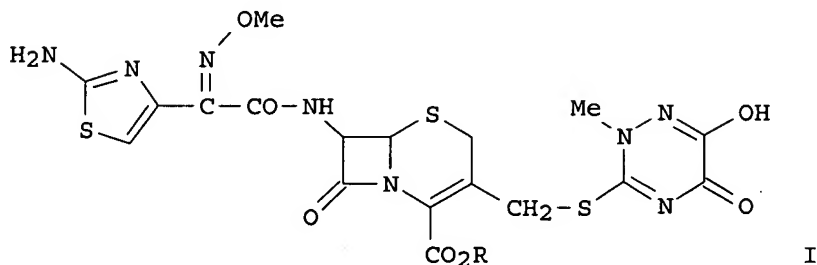
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

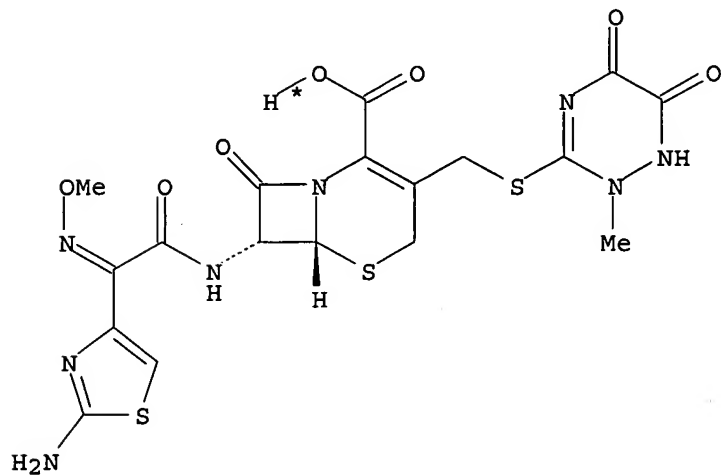
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046153	A1	20040603	WO 2003-IB5327	20031121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI IN 2002-DE1175		20021121		
OS MARPAT 141:6965				
GI				



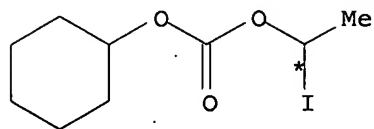
AB The invention relates to prodrug esters of ceftriaxone of formula I [R = alkyl, 1-alkanoyloxyalkyl, 1-alkoxycarbonyloxyalkyl, cycloalkyl, cycloalkyloxy, alkoxy]. The invention also relates to processes for preparing prodrug esters of ceftriaxone, pharmaceutical compns. that include the prodrug esters and to methods for using the prodrug esters. The prodrug esters of ceftriaxone are useful as antimicrobial agents and are

suitable for oral administration (no data). Thus, I [R = 1-(cyclohexyloxycarbonyloxy)ethyl] was prepared by reaction of ceftriaxone and 1-iodoethyl cyclohexyl carbonate with DBU in N,N-dimethylacetamide.

RX(1) OF 3      A + B ==> C

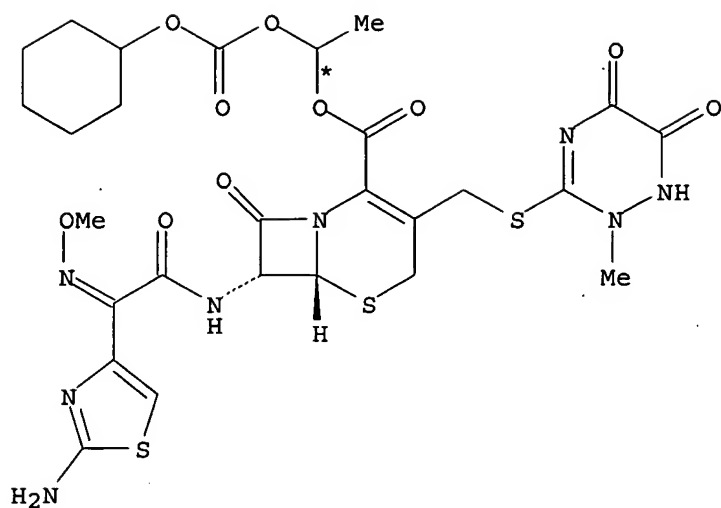


A



B





C

RX(1)

## STAGE(1)

RGT D 6674-22-2 DBU, E 64-19-7 AcOH  
 SOL 127-19-5 AcNMe2

## STAGE(2)

RCT A 73384-59-5

## STAGE(3)

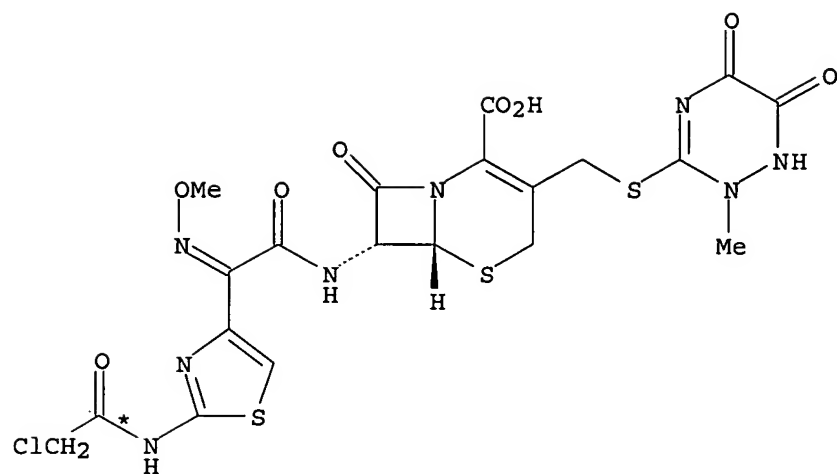
RCT B 102672-57-1  
 PRO C 695190-95-5

L20 ANSWER 2 OF 2 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 136:37629 CASREACT  
 TI Method of producing and HPLC analyzing ceftriaxone disodium  
 IN Shr, Kai-Shiang; Liou, Ching-Wei; Wang, Jia-Lin; Jung, Yu-Shan; Chen,  
 Huei-Rung  
 PA Development Center for Biotechnology, Taiwan  
 SO Taiwan, 18 pp.  
 CODEN: TWXXA5  
 DT Patent  
 LA Chinese  
 FAN.CNT 1

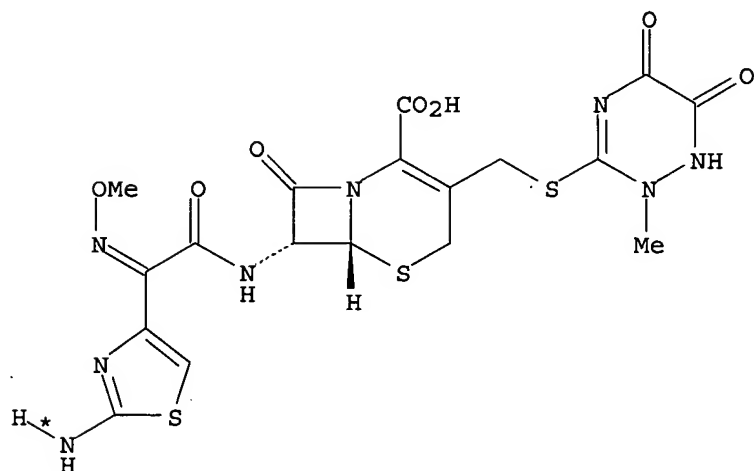
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	TW 378211	B	20000101	TW 1995-84100960	19950207
PRAI	TW 1995-84100960		19950207		

AB Title compound was prepared from 7-ACA, tetrahydro-2-methyl-3-thioxo-1,2,4-triazine-5,6-dione, and ( $\alpha$ Z)-2-[[chloroacetyl]amino]- $\alpha$ -[methoxyimino]-4-thiazoleacetic acid and was HPLC analyzed using HOAc:CH3CN = 87:13.

RX(5) OF 25 ...I ==&gt; M...



I



M

YIELD 60%

RX (5)      RCT I 74578-70-4  
              RGT N 62-56-6 Thiourea, O 298-14-6 KHCO3  
              PRO M 73384-59-5  
              SOL 7732-18-5 Water  
              NTE 5 h

 $\Rightarrow$

=> fil casreact

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#20/3  
Part 1:  
Those in  
CAS react

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FILE CONTENT:1840 - 24 Jul 2005 VOL 143 ISS 4

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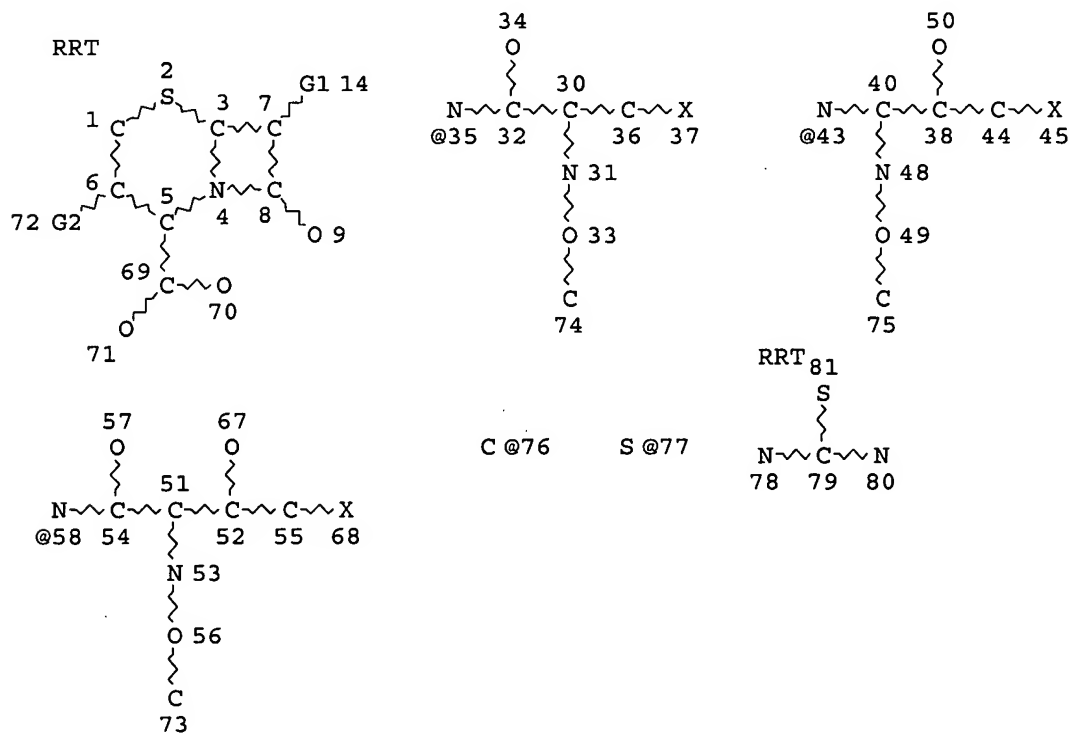
\*\*\*\*\*  
\*  
\* CASREACT now has more than 9.2 million reactions \*  
\*  
\*\*\*\*\*

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d sta que  
L39

STR



VAR G1=35/43/58

VAR G2=76/77

NODE ATTRIBUTES:

CONNECT IS M1 RC AT 71  
CONNECT IS M1 RC AT 73  
CONNECT IS M1 RC AT 74  
CONNECT IS M1 RC AT 75  
CONNECT IS M1 RC AT 76  
CONNECT IS M1 RC AT 77  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC 1  
NUMBER OF NODES IS 49

## STEREO ATTRIBUTES: NONE

L41 14 SEA FILE=CASREACT SSS FUL L39 ( 30 REACTIONS)

100.0% DONE 98 VERIFIED 30 HIT RXNS 14 DOCS  
SEARCH TIME: 00.00.01

=> d l41 bib abs fhlt retable tot

L41 ANSWER 1 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
AN 143:26419 CASREACT  
TI Process for preparing cephalosporins with salified intermediate  
IN Monguzzi, Riccardo; Manca, Antonio; Marsili, Leonardo; Zenoni, Maurizio  
PA Acs Dobfar S.P.A., Italy  
SO U.S. Pat. Appl. Publ., 21 pp., Cont. of U.S. Ser. No. 821,986.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005119478	A1	20050602	US 2004-916532	20040812
	US 2005119244	A1	20050602	US 2004-821986	20040412
PRAI	IT 2003-MI2354		20031202		
	IT 2004-MI233		20040212		
	US 2004-821986		20040412		

GI

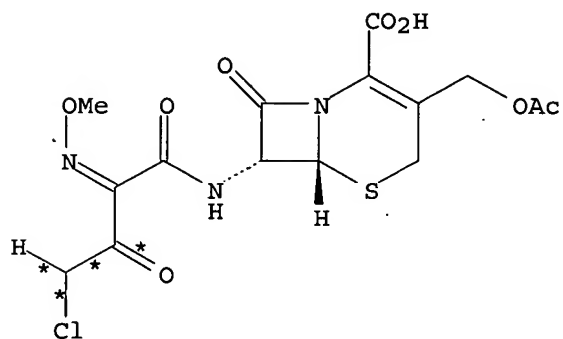
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Cephalosporins I [R1 = H; R2 = H, Me, CH2OMe, CH2OAc, CH:CH2, R3, R4, R5, R6, R7] may be conveniently prepared by a process in which a benzathinium salt II·HZ+ [X = Cl, Br; Z = benzathine] is reacted with thiourea. Thus, sodium ceftiofur [I; R1 = Na, R2 = R5] was prepared from (6R,7R)-7-amino-3-[[[(2-furanylcarbonyl)thio]methyl]-3-cephem-4-carboxylic acid via esterification with Me3SiCl in THF followed by treatment with N,O-bis(trimethylsilyl)acetamide, acylation with (Z)-ClCH2COC(:NOMe)COCl in EtOAc/DMF, reaction with benzathine diacetate in H2O in the presence of Et3N, cyclocondensation with thiourea in THF containing Et3N and salt formation with sodium 2-ethylhexanoate in THF. The resulting product may be crystallized as a sodium salt, as an internal salt, or as a pharmaceutically acceptable salt.

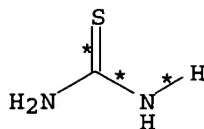
RX(3) OF 8 ...W + C ==> X

Ph-CH<sub>2</sub>-NH-CH<sub>2</sub>-CH<sub>2</sub>-NH-CH<sub>2</sub>-Ph

W: CM 1

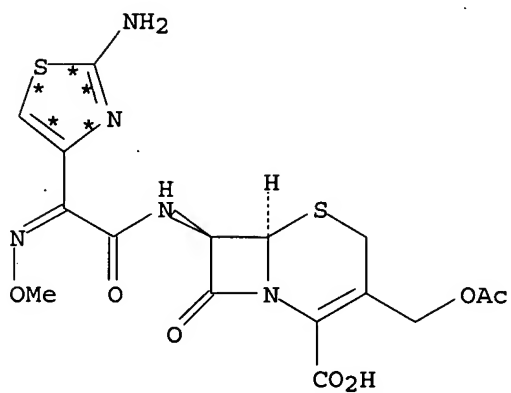


W: CM 2



C

(3) →



● Na

X

RX(3) RCT W 852569-89-2

STAGE(1)

SOL 7732-18-5 Water, 109-99-9 THF

STAGE(2)

RGT H 121-44-8 Et<sub>3</sub>N

## STAGE(3)

RCT C 62-56-6

## STAGE(4)

RGT Y 60-00-4 EDTA, Z 7775-14-6 Na2(S2O4), AA 7440-44-0 Carbon

## STAGE(5)

SOL 7732-18-5 Water

## STAGE(6)

RGT AB 64-18-6 HCO2H

## STAGE(7)

RGT AC 64-17-5 EtOH

## STAGE(8)

SOL 7732-18-5 Water, 67-56-1 MeOH

## STAGE(9)

RGT K 19766-89-3 Na 2-ethylhexanoate

## STAGE(10)

SOL 141-78-6 AcOEt

## STAGE(11)

## STAGE(12)

SOL 141-78-6 AcOEt

## STAGE(13)

SOL 141-78-6 AcOEt

PRO X 64485-93-4

NTE fourth stage quench Celite; eleventh stage seed crystal

L41 ANSWER 2 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 143:7532 CASREACT

TI Process for preparing cephalosporins with salified intermediate

IN Monguzzi, Riccardo; Manca, Antonio; Marsili, Leonardo; Zenoni, Maurizio

PA ACS Dobfar S.P.A., Italy

SO U.S. Pat. Appl. Publ., 11 pp.

CODEN: USXXCO

DT Patent

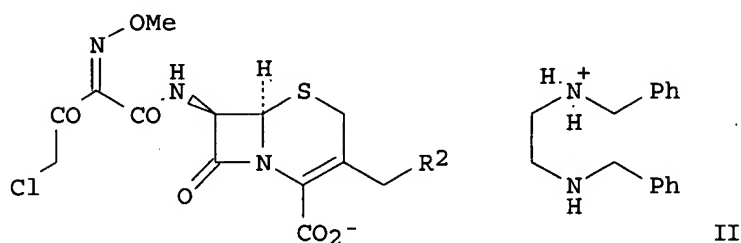
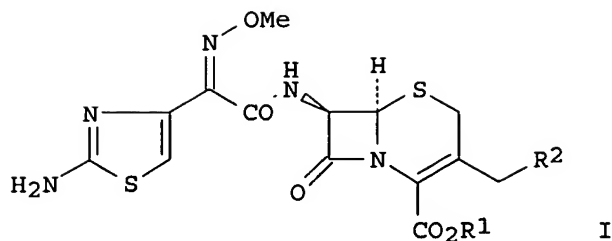
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2005119244	A1	20050602	US 2004-821986	20040412
	US 2005119478	A1	20050602	US 2004-916532	20040812
PRAI	IT 2003-MI2354		20031202		
	IT 2004-MI233		20040212		
	US 2004-821986		20040412		

GI



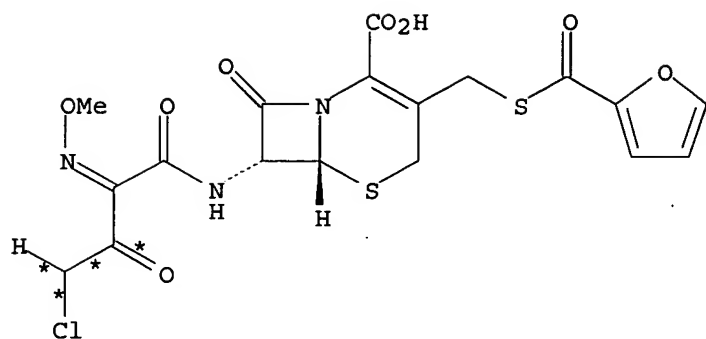


AB A process was disclosed for the preparation of cephalosporins, such as I [R1 = H, Na; R2 = OCOMe, 2-furanylcarbonylthio, 2,5-dihydro-6-hydroxy-2-methyl-5-oxo-1,2,4-triazin-3-ylthio, 1,2,3-thiadiazol-5-ylthio, etc.], which included formation of intermediate 7-aminocephalosporanic acid benzathine salts and subsequent cyclocondensation of the intermediate salts with thiourea. Thus, sodium ceftiofur I (R1 = Na, R2 = 2-furanylcarbonylthio) was prepared via an amidation reaction of 4-chloro-2-(methoxyimino)-3-oxobutanoic acid with (6R,7R)-7-amino-3-[(2-furanylcarbonylthio)methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (Furaca), formation of the benzathine salt of the in situ formed amide using benzathine diacetate, cyclocondensation of the resulting amide monobenzathine salt II (R2 = 2-furanylcarbonylthio) with thiourea to form the desired thiazole moiety, and finally, formation of the target sodium salt using sodium 2-ethylhexanoate.

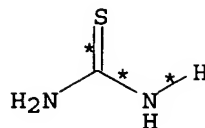
RX(2) OF 9 ...D + L ==> M

Ph-CH<sub>2</sub>-NH-CH<sub>2</sub>-CH<sub>2</sub>-NH-CH<sub>2</sub>-Ph

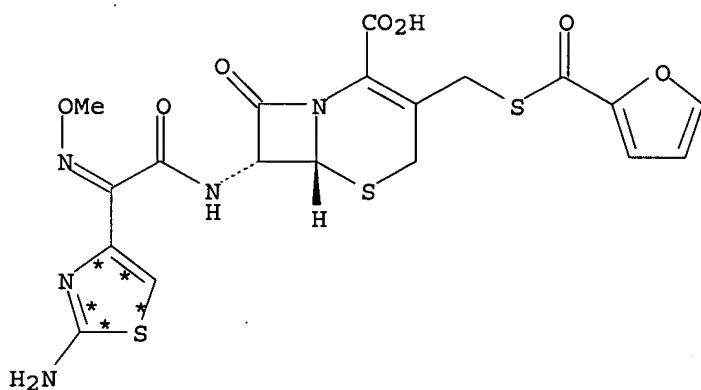
D: CM 1



D: CM 2



L

(2)  
→

● Na

M

RX(2) RCT D 852569-87-0, L 62-56-6

STAGE(1)

RGT N 121-44-8 Et3N

SOL 109-99-9 THF

STAGE(2)

RGT O 19766-89-3 Na 2-ethylhexanoate

SOL 109-99-9 THF

PRO M 104010-37-9

L41 ANSWER 3 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 142:336175 CASREACT

jan delaval - 26 july 2005

TI An improved process for the preparation of cefixime trihydrate  
 IN Sharma, Anil Kumar; Raj, Baldev; Sethi, Madhuresh Kumar; Das, Debashis  
 PA J K Drugs & Pharmaceuticals Ltd., India  
 SO Port. Pat. Appl., 27 pp.

CODEN: PTXXB9

DT Patent

LA Portuguese

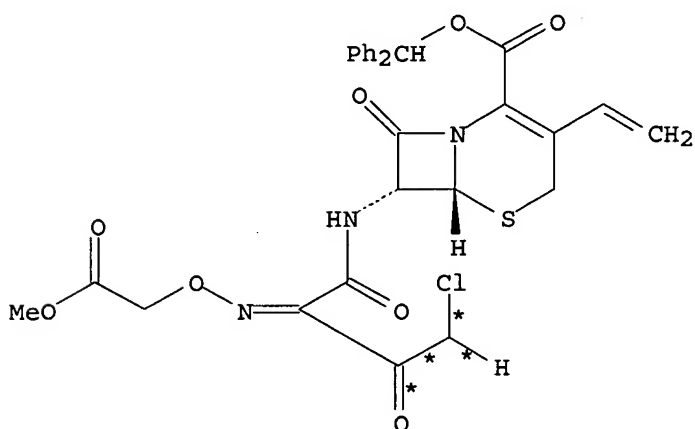
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	PT 102293	B	20010531		
	IN 185070	A	20001104	IN 1999-BO75	19990129
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OS	MARPAT 142:336175				
GI					

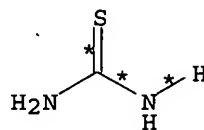
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB An improved process for the preparation of cefixime trihydrate (I·3H<sub>2</sub>O) comprises: (a) hydrolysis of the 3-acetoxymethyl group of 7-(substituted amino)cephalosporanic acid [II; R = H, CO(CH<sub>2</sub>)<sub>3</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H] with an alkali carbonate; (b) protective acylation of the 7-amino group with an organic acid chloride; (c) esterification of the 4-carboxy group; (d) bromination of the 3-hydroxymethyl group with PBr<sub>3</sub>; (e) Wittig reaction with HCHO in the presence of PPh<sub>3</sub> to give a 3-vinyl compound III; (f) cleavage of the phenylacetyl group from the 7-amino group with the PPh<sub>3</sub>/Cl<sub>2</sub>/pyridine/IBA complex; (g) acylation of the resulting 7-amino group with 4-chloro-2-[(methoxycarbonyl)methoxy]imino]-3-oxobutyric acid; (h) cyclization of the acylated cephem IV (R<sub>1</sub> = CHPh<sub>2</sub>, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>OMe) with thiourea to give protected I; and (i) removal of the protective group.

RX(7) OF 37 ...AA + AC ==> AD...

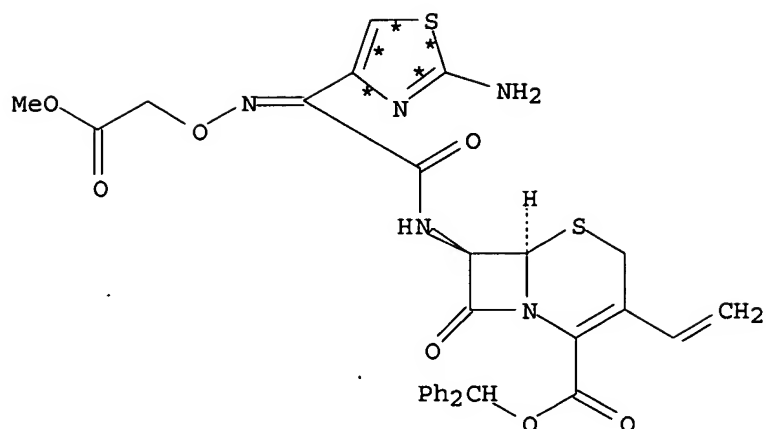


AA



AC





AD

YIELD 97%

RX(7) RCT AA 95759-11-8

STAGE(1)

SOL 68-12-2 DMF

STAGE(2)

RCT AC 62-56-6

STAGE(3)

SOL 7732-18-5 Water, 75-09-2 CH2Cl2

PRO AD 88621-02-7

L41 ANSWER 4 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 142:297919 CASREACT

TI Method for manufacture of ceftriaxone sodium

IN Datta, Debashish; Dantu, Muralikrishna; Sharma, Pollepeddi Lakshmi  
Narayana; Mishra, Brijkishore

PA India

SO U.S. Pat. Appl. Publ., 31 pp.

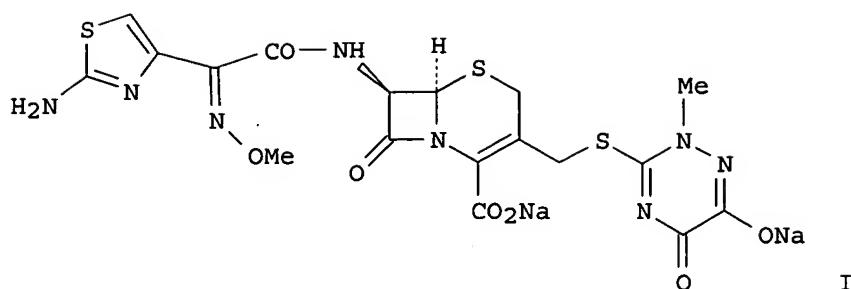
CODEN: USXXCO

DT Patent

LA English

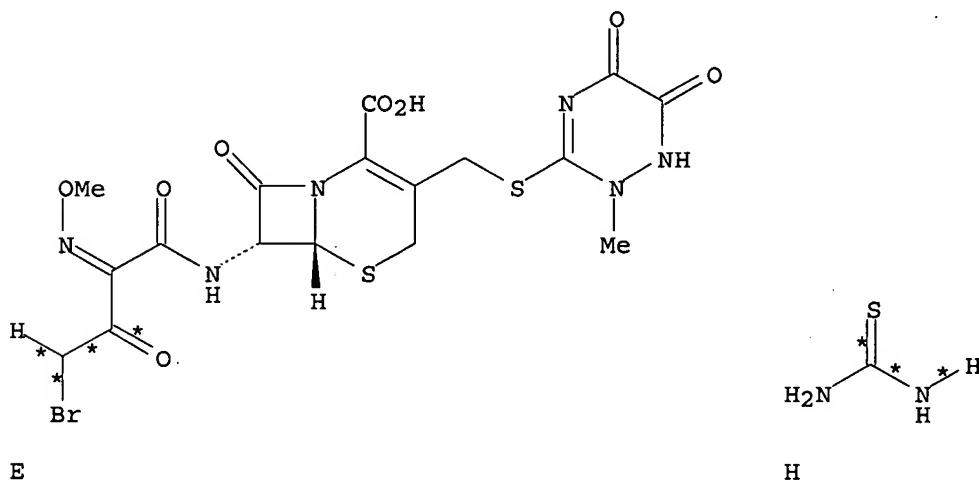
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005059820	A1	20050317	US 2003-671298	20030925
	US 2005059821	A1	20050317	US 2004-830806	20040421
PRAI	IN 2003-MU967		20030917		
	US 2003-671298		20030925		
OS	MARPAT 142:297919				
GI					



AB An improved process for preparation of ceftriaxone sodium of formula I is disclosed. The process is cost-effective with high yield, high purity and low color absorbance, using the right quality reactants, type of solvents, pH and conditions.

RX(3) OF 17      ...E + H ==> I



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

RX(3)    RCT   E 79232-67-0, H 62-56-6

STAGE(1)

RGT   J 144-55-8 NaHCO3

SOL   75-09-2 CH2Cl2, 7732-18-5 Water

STAGE(2)

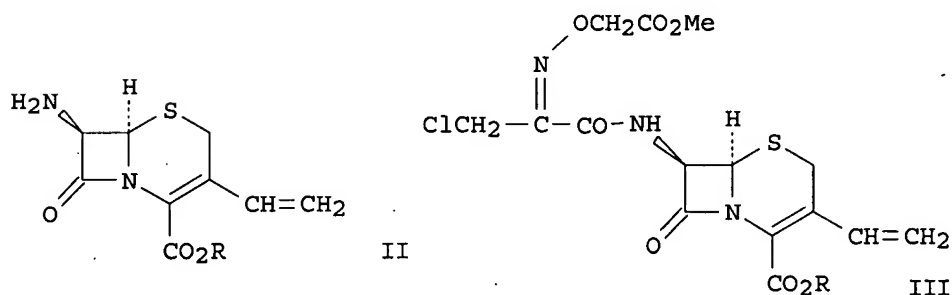
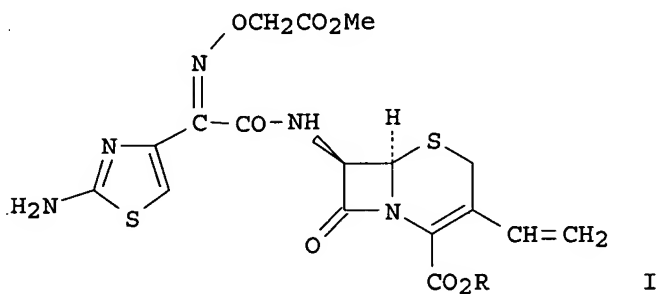
RGT   K 121-44-8 Et3N, L 19766-89-3 Na 2-ethylhexanoate

SOL   7732-18-5 Water, 67-64-1 Me2CO

PRO   I 74578-69-1

L41 ANSWER 5 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 142:56056 CASREACT  
 TI A process for preparing 7β-[(Z)-(2-aminothiazol-4-yl)-2-(methoxycarbonylmethoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid esters for use in the preparation of cefixim  
 IN Sharma, Anul Kumar; Raj, Baldev; Sethi, Madhuresh Kumar; Das, Debashis  
 PA J K Drugs & Pharmaceuticals Ltd., India  
 SO Indian, 12 pp.  
 CODEN: INXXAP  
 DT Patent  
 LA English  
 FAN.CNT 1

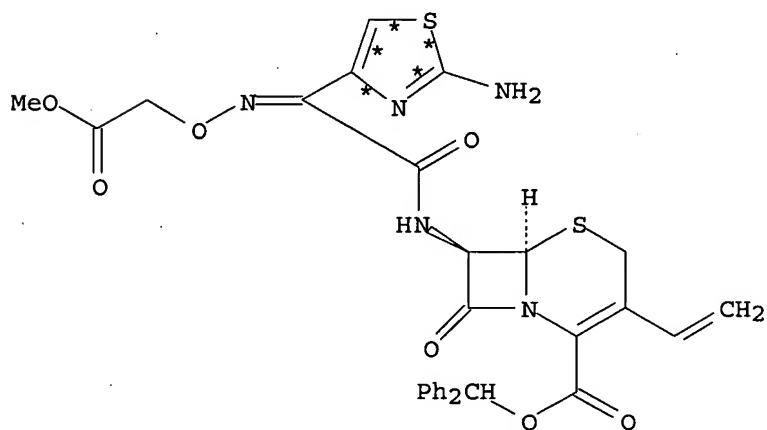
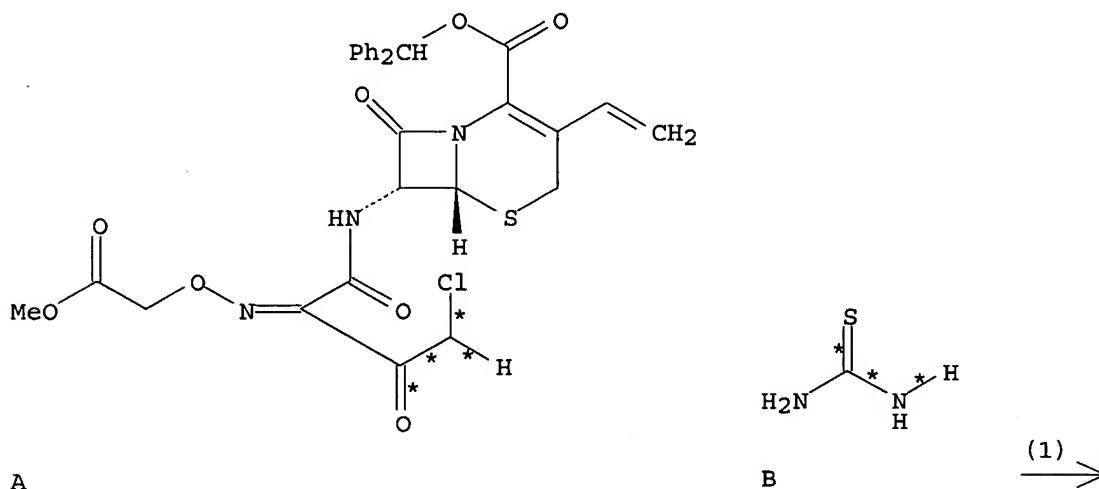
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PI	IN 185090	A	20001111	IN 1999-BO76	19990129
	PT 102294	B	20010531	PT 1999-102294	19990426
	PT 102294	A	20000229		
PRAI	IN 1999-BO76		19990129		
OS	MARPAT 142:56056				
GI					



AB This invention provides a process for preparing 7-[(Z)-(2-aminothiazol-4-yl)-2-(methoxycarbonylmethoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid esters of formula I [R = CHPh<sub>2</sub>, CH<sub>2</sub>-C<sub>6</sub>H<sub>4</sub>-OMe] for use in the preparation of cefixim comprising: Dissolving the compound of formula II in aliphatic halogenated hydrocarbons using an organic base as herein described in the ratio of 1: 1-10 mol. Preparing an vilsmeier reagent of ClCH<sub>2</sub>COC(NOCH<sub>2</sub>CO<sub>2</sub>Me)CO<sub>2</sub>H in aliphatic halogenated hydrocarbon using DMF and phosphorous oxychloride. Coupling the compound of formula II with the vilsmeier reagent at -10 to -60°C to form a compound of formula III. Isolating the said compound of formula III in DM-H<sub>2</sub>O. Dissolving the compound of formula III in organic solvent as herein described at a room temperature and

thereafter adding thiourea and stirring the reaction mixture at 0-25°C. Extracting the reaction mass in a mixture of DM-H<sub>2</sub>O and aliphatic halogenated hydrocarbon in the ratio of 1-10: 10-1. Washing the aliphatic halogenated hydrocarbon layer with saturated alkali chloride solution and thereafter drying. Isolating the compound of formula I by concentrating the aliphatic halogenated hydrocarbon layer in vacuum.

RX(1) OF 3 ...A + B ==> C .



YIELD 97%

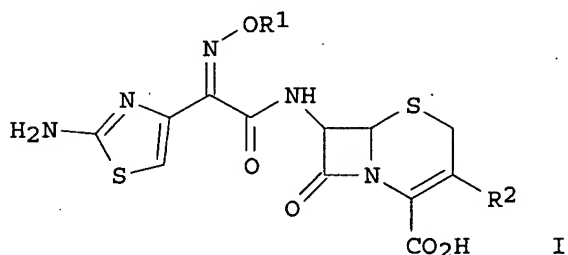
RX(1) RCT A 95759-11-8, B 62-56-6  
 PRO C 88621-02-7  
 SOL 68-12-2 DMF

L41 ANSWER 6 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 141:295773 CASREACT

jan delaval - 26 july 2005

TI A process for the preparation of cephalosporins  
 IN Deshpande, Pandurang Balwant; Luthra, Parven Kumar; Kamma, Ramakrishna;  
 Gedi, Sreedhar  
 PA Orchid Chemicals & Pharmaceuticals Ltd, India  
 SO PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

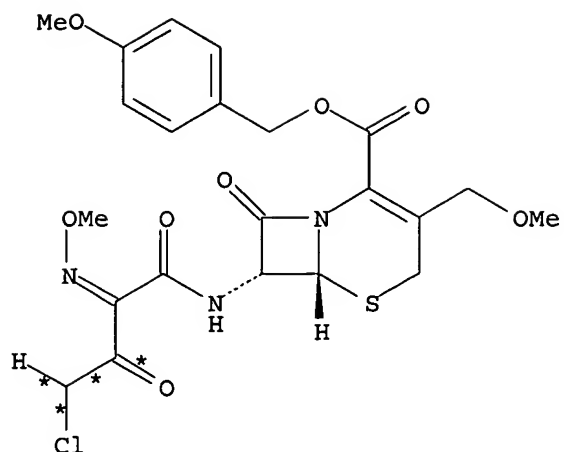
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	IN 2003-MA235		20030320		
OS	MARPAT 141:295773				
GI					



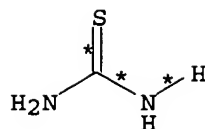
AB The present invention relates to a process for the preparation of cephalosporin antibiotics of formula I [R1 = H, trityl, Me, etc.; R2 = H, Me, CH2OMe, CH2OAc, CH=CH2, CH2OCONH2, etc.]. Thus, 7-amino-3-methoxymethyl-3-cephem-4-carboxylic acid was acylated with phenylacetyl chloride, then esterified with p-methoxybenzyl chloride and deacylated with PCl5. The product was reacted with 4-chloro-2(Z)-(methoxyimino)-3-oxo-butanoyl chloride, then cyclized with thiourea and deesterified to give cefpodoxime acid.

RX(3) OF 21 ...G + I ==> J...



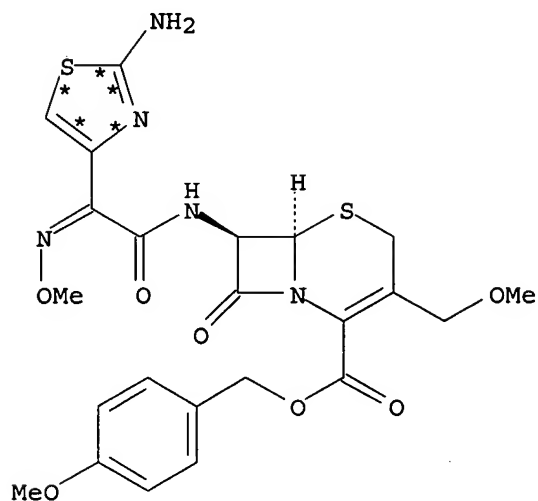


G



I

(3) →



J

YIELD 90%

RX(3) RCT G 764661-11-2, I 62-56-6  
 RGT K 127-09-3 AcONa  
 PRO J 764661-12-3  
 SOL 109-99-9 THF, 7732-18-5 Water

## RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Chander, A	2002			WO 02083634 A	CAPLUS
Hichem Pharma S P A	1998			EP 0842937 A	CAPLUS
Kawabata, K	1986	34	3458	CHEMISTRY AND PHARMA	CAPLUS
La Roche, H	1981			EP 0030294 A	CAPLUS
Ludes	2000			WO 0063214 A	CAPLUS

Takeda Chemical Industr	1979		DE 2900961 A	CAPLUS
Tsuji, K	1981	.	US 4294960 A	CAPLUS

L41 ANSWER 7 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 141:123514 CASREACT

TI Preparation of cephalosporins and their intermediates

IN Datta, Debashish; Dantu, Muralikrishna; Mishra, Brijkishore; Sharma, Pollepeddi Lakshmi Narayana

PA Lupin Limited, India

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

LA English

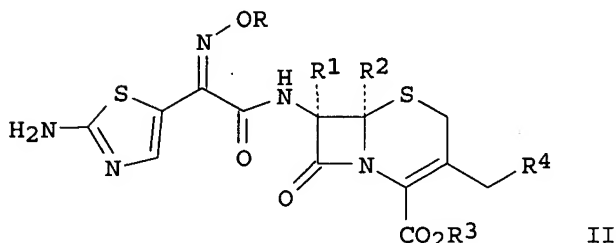
FAN.CNT 1

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PI	WO 2004058695	A1	20040715	WO 2002-IN245	20021226
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI WO 2002-IN245 20021226

OS MARPAT 141:123514

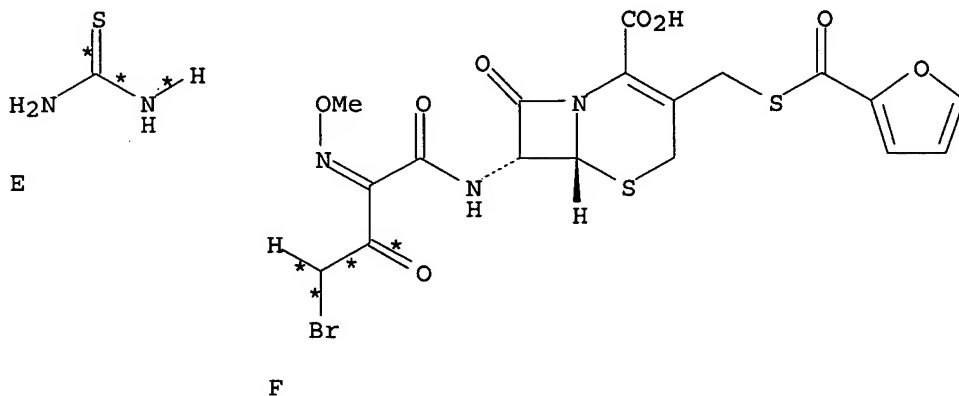
GI



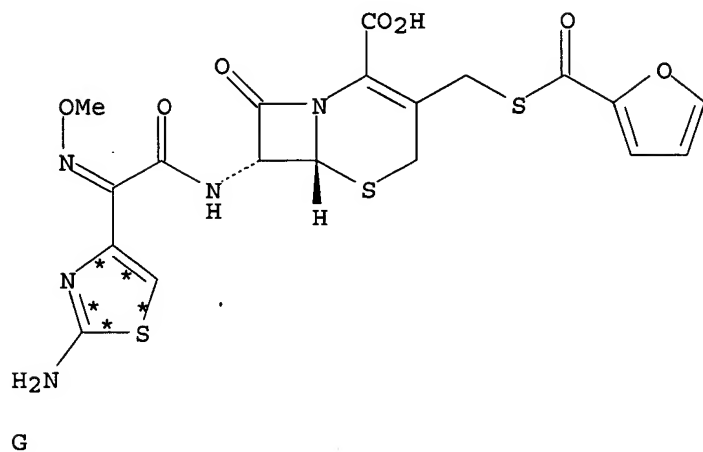
AB Novel 4-halo-2-oxyimino-3-oxo-butyric acid-N,N-dimethyl formiminium chloride chlorosulfate derivs., such as  $XCH_2COC(:NOR)COSO_2OCH:NMe_2Cl$  I [X = Cl, Br; R = H, alkyl, an easily removable hydroxyl protective group,  $CH_2COOR_5$ ,  $C(CH_3)_2COOR_5$ , wherein  $R_5 = H$ , an easily hydrolyzable ester group], were prepared as intermediates for their use in the preparation of cephalosporin antibiotics, such as II [R1 = R; R2 = H, OMe; R3 = H, a neg. charge or together with the  $CO_2^-$  group to which R3 is attached = ester, alkali, alkaline earth metal; R4 = H, substituent useful in cephalosporin chemical]. The process of preparing I involves reacting 4-halo-2-oxyimino-3-oxobutyric acid with N,N-dimethylformiminium chloride chlorosulfate, in an organic solvent at a temperature ranging from -30 °C to -15 °C. Thus, reaction between I and 7-aminocephalosporanic acid in  $CH_2Cl_2$  containing hexamethyldisilazane, gives 7-[4-bromo-2(Z)-methoxyimino-3-oxobutyramido]-cephalosporanic acid, which was reacted with thiourea to afford cefotaxim. The cephalosporins that may be prepared from the

intermediate include cefdinir, cefditoren pivoxil, cefepime, cefetamet pivoxil, cefixime, cefmenoxime, cefodizime, cefoselis, cefotaxime, cefpirome, cefpodoxime proxetil, cefquinome, ceftazidime, cefteram pivoxil, ceftiofur, ceftizoxime, ceftriaxone and cefuzonam.

RX(2) OF 24 ...E + F ==> G



(2) →



RX(2) RCT E 62-56-6, F 401837-90-9

STAGE(1)

SOL 75-09-2 CH2Cl2, 109-99-9 THF

STAGE(2)

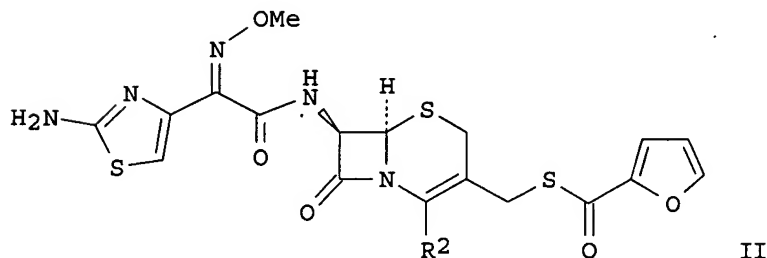
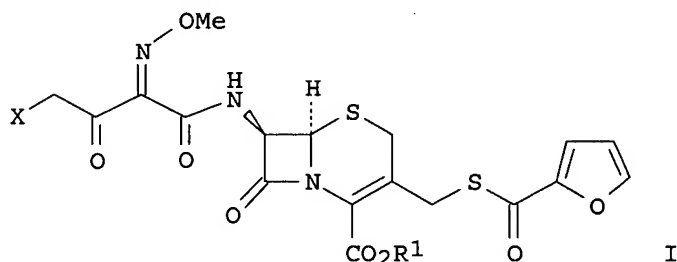
RGT H 144-55-8 NaHCO3

SOL 7732-18-5 Water

PRO G 80370-57-6

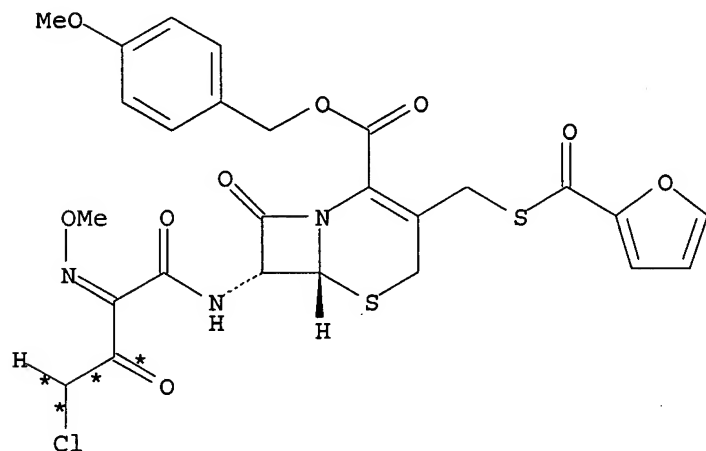
L41 ANSWER 8 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 139:381301 CASREACT  
 TI Method for the preparation of ceftiofur sodium and its intermediates  
 IN Luthra, Praven Kumar; Sathe, Pratik Ramesh; Sundaravadivelan, Sivakumaran;  
 Ganesh, Praveen Nagesh  
 PA Orchid Chemicals and Pharmaceuticals Limited, India; Deshpande, Pandurang  
 Balwant  
 SO PCT Int. Appl., 17 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093278	A2	20031113	WO 2002-IB3065	20020802
	WO 2003093278	A3	20040408		
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	US 2003216567	A1	20031120	US 2002-207103	20020730
	US 6800756	B2	20041005		
	EP 1501839	A2	20050202	EP 2002-751515	20020802
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
	US 2004132995	A1	20040708	US 2003-700679	20031105
PRAI	IN 2002-MA338		20020503		
	US 2002-207103		20020730		
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OS	MARPAT 139:381301				
GI					

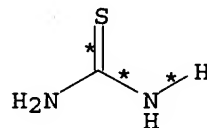


AB The present invention relates to a new method for the preparation of thioester, such as I [X = halo, Cl, Br; R1 = p-methoxybenzyl, p-nitrobenzyl, diphenylmethyl], and its use in the preparation of cephalosporanic antibiotics, such as II (R2 = carboxylate ion, CO2R3; R3 = H, counter ion), in excellent yields and purity. Thus, reaction between 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylic acid p-methoxybenzyl ester and 2-furoyl chloride produces 7-phenylacetamido-3-[(fur-2-ylcarbonyl)thiomethyl]-3-cephem-4-carboxylic acid p-methoxybenzyl ester which was subsequently converted to 7-amino-3-[(fur-2-ylcarbonyl)thiomethyl]-3-cephem-4-carboxylic acid p-methoxybenzyl ester (III). III was silylated with N,O-bis-(trimethylsilyl)acetamide and reacted with PCl5-activated (Z)-4-bromo-2-methoxyimino-3-oxobutyric acid in methylene chloride at -30°C to give thioester I [X = Br; R = p-methoxybenzyl (IV)]. Thioester IV was then reacted with thiourea and 2-Et sodium hexanoate in THF to afford ceftiofur sodium (purity 99%).

RX(5) OF 14 ...R + V ==> W...

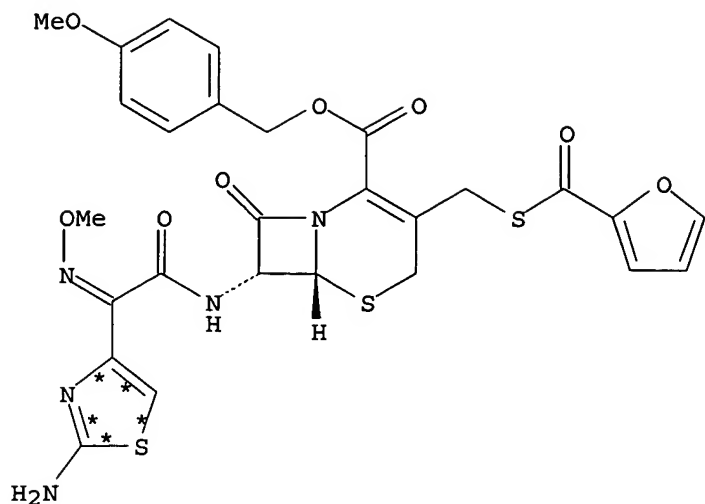


R



V

(5) →



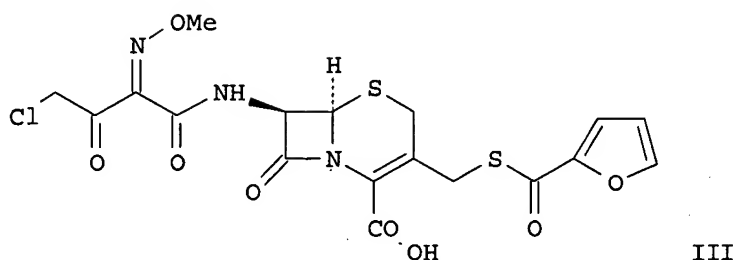
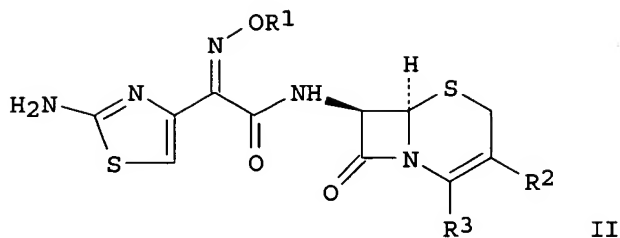
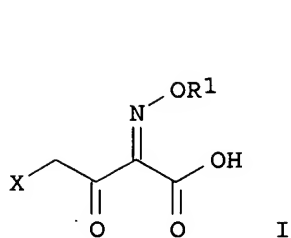
W

RX(5) RCT R 623136-52-7, V 62-56-6  
 RGT X 127-09-3 AcONa  
 PRO W 623136-58-3  
 SOL 7732-18-5 Water, 109-99-9 THF

L41 ANSWER 9 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 139:323375 CASREACT  
 TI Process for the preparation of cephalosporin compounds using  
 4-halogeno-2-substituted imino-3-oxo-butyric acid derivs. as synthetic  
 intermediates  
 IN Deshpande, Pandurang Balwant; Luthra, Parven Kumar; Sathe, Pratik Ramesh;  
 Sundaravadivelan, Sivakumaran; Ganesh, Praveen Nagesh  
 PA Orchid Chemicals and Pharmaceuticals Limited, India  
 SO U.S. Pat. Appl. Publ., 9 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

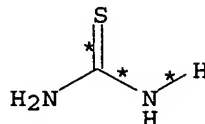
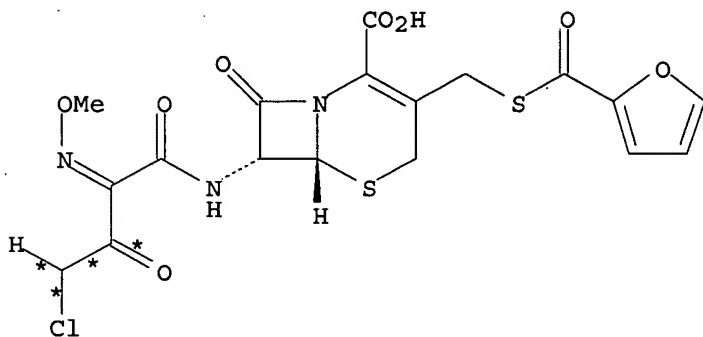
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003199712	A1	20031023	US 2002-245490	20020918
US 6919449	B2	20050719		
WO 2003089406	A1	20031030	WO 2002-IB4119	20021008
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI IN 2002-MA305		20020419		
OS MARPAT 139:323375				

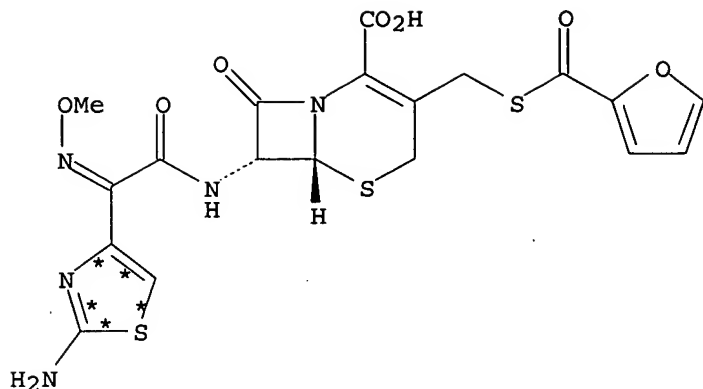
GI



AB The present invention relates to a process for the preparation of 4-halogeno-2-substituted imino-3-oxo-butyrates, such as I [R1 = Me, CRaRbCOORc; Ra, Rb = H, Me; Rc = H, alkyl; X = Cl, Br], and its use in the preparation of cephalosporanic antibiotics, such as II [R2 = H, Me, CH2OMe, CH2OAc, CH=CH2 etc.; R3 = carboxylate ion, CO2Rd; Rd = H, ester, counter ion], in excellent yields and purity. Thus, 7-amino-3-[(2-furanylcarbonyl)thiomethyl]-3-cephem-4-carboxylic acid was silylated with N,O-bis-(trimethylsilyl)acetamide and reacted with PCl5-activated (Z)-4-chloro-2-methoxyimino-3-oxobutyrates in methylene chloride at -40°C to give thioester III. Thioester III was then reacted with thiourea and sodium acetate in THF to afford ceftiofur sodium (purity 99%).

RX(5) OF 33      ...G + N ==> O



(5)  
→

● Na

O

RX(5) RCT G 401837-95-4, N 62-56-6  
 RGT P 127-09-3 AcONa  
 PRO O 104010-37-9  
 SOL 109-99-9 THF, 7732-18-5 Water

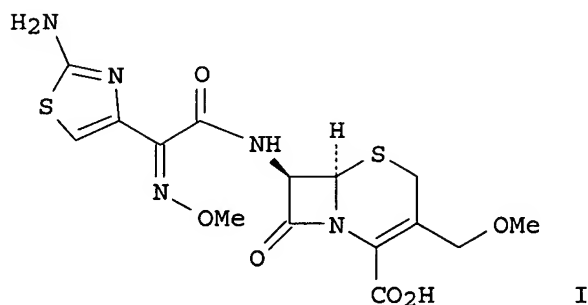
L41 ANSWER 10 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 137:310752 CASREACT  
 TI Process for the preparation of cefpodoxime acid  
 IN Kumar, Yatendra; Tewari, Neera; Aryan, Ram Chander; Rai, Bishwa Prakash;  
 Nizar, Hashim  
 PA Ranbaxy Laboratories Limited, India  
 SO PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002083634	A2	20021024	WO 2002-IB1240	20020417
	WO 2002083634	A3	20031023		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

jan delaval - 26 july 2005

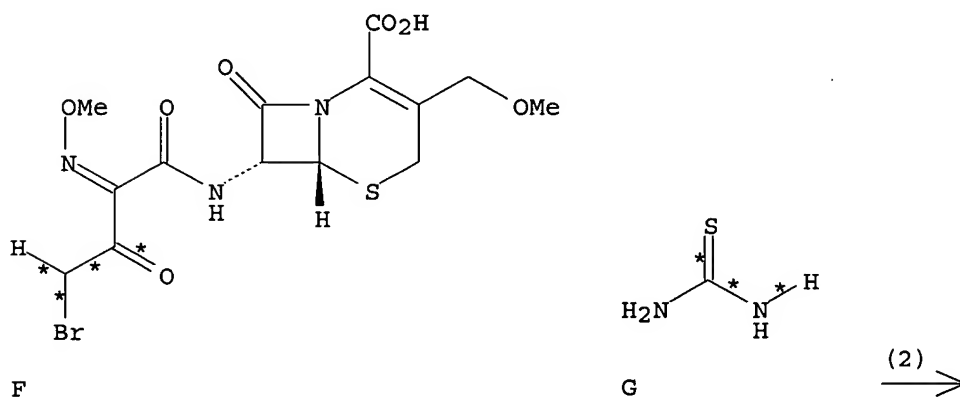


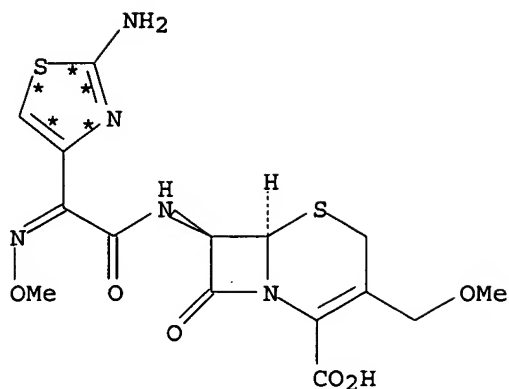
EP 1389187 A2 20040218 EP 2002-761946 20020417  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 CN 1520418 A 20040811 CN 2002-810303 20020417  
 BR 2002008999 A 20050322 BR 2002-8999 20020417  
 JP 2005511480 T2 20050428 JP 2002-581391 20020417  
 US 2005020561 A1 20050127 US 2004-475276 20040407  
 PRAI IN 2001-DE493 20010417  
 WO 2002-IB1240 20020417  
 OS MARPAT 137:310752  
 GI



AB The present invention relates to an improved and cost effective process for the industrial preparation of cefpodoxime acid of formula I and a pharmaceutically acceptable ester thereof. Thus, 7-amino-3-methoxymethyl-3-cephem-4-carboxylic acid was reacted with 4-bromo-2-methoxyimino-3-oxobutyrlic acid, and the product reacted with thiourea and sodium acetate in water to give I. I was then reacted with 1-iodoethyl iso-Pr carbonate to give cefpodoxime proxetil.

RX(2) OF 6 ...F + G ==> A...



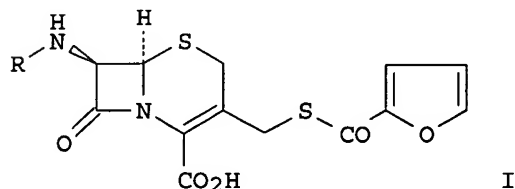


A

RX(2) RCT F 472960-85-3, G 62-56-6  
 RGT H 127-09-3 AcONa  
 PRO A 80210-62-4  
 SOL 7732-18-5 Water

L41 ANSWER 11 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 136:216591 CASREACT  
 TI Ceftiofur, its intermediate and a process for the preparation of the same  
 IN Dandala, Ramesh; Sunku, Venkataiah; Handa, Vijay Kumar; Sivakumaran,  
 Meenakshisunderam  
 PA India  
 SO U.S. Pat. Appl. Publ., 5 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002028931	A1	20020307	US 2001-902513	20010709
	US 6458949	B2	20021001		
PRAI	IN 2000-MA646		20000814		
OS	MARPAT 136:216591				
GI					

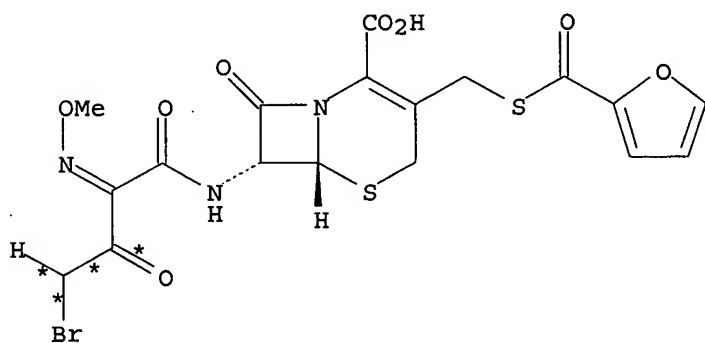


I

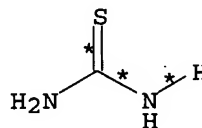
AB A novel process was presented for the preparation of ceftiofur, a cephalosporin antibiotic useful in the treatment of bovine respiratory disease. The process utilizes a new bromo or chloro intermediate that can be isolated in a pure form by this inventive process subsequently resulting in

ceftiofur of high purity. Thus, Furaca I (R = H) was silylated with  $\text{Me}_3\text{SiNHCOMe}$  in  $\text{CH}_2\text{Cl}_2$  followed by acylation in  $\text{CH}_2\text{Cl}_2$  of the in situ formed silylated Furaca with the in situ formed acid chloride of  $\text{BrCH}_2\text{COC}(\text{:NOMe})\text{CO}_2\text{H}$  to gave ceftiofur bromo intermediate I [R =  $\text{COC}(\text{:NOMe})\text{COCH}_2\text{Br}$ ] in 65% yield. The bromo intermediate then underwent cyclocondensation with thiourea using sodium acetate in THF and the resulting acid, i.e. ceftiofur, was converted to ceftiofur sodium salt in 90% yield using sodium 2-ethylhexanoate. This invention offers a new-to-the-world route to ceftiofur using novel intermediates.

RX(3) OF 17      ...F + G ==> H...

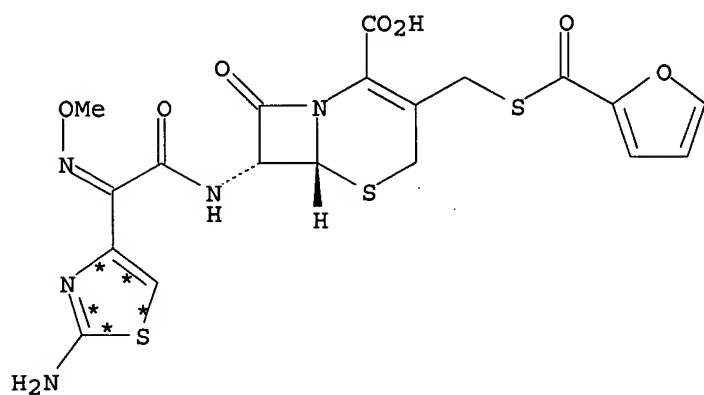


F



G

(3) →



● Na

H

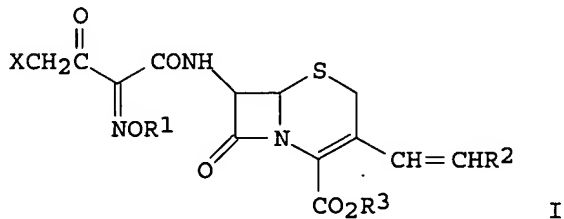
RX(3)      RCT    F 401837-90-9, G 62-56-6  
              RGT    I 127-09-3 AcONa

PRO H 104010-37-9

SOL 7732-18-5 Water, 109-99-9 THF

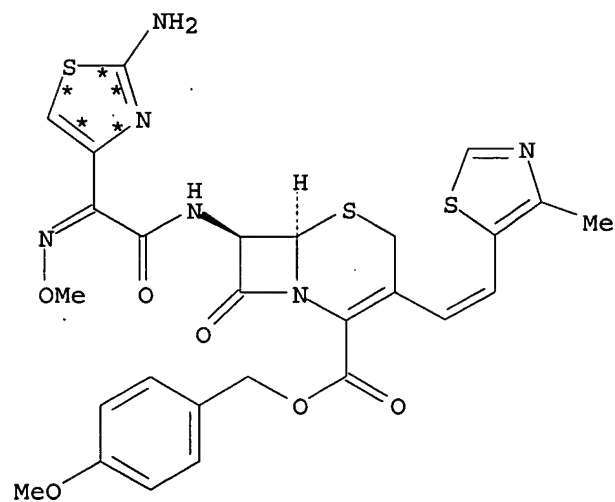
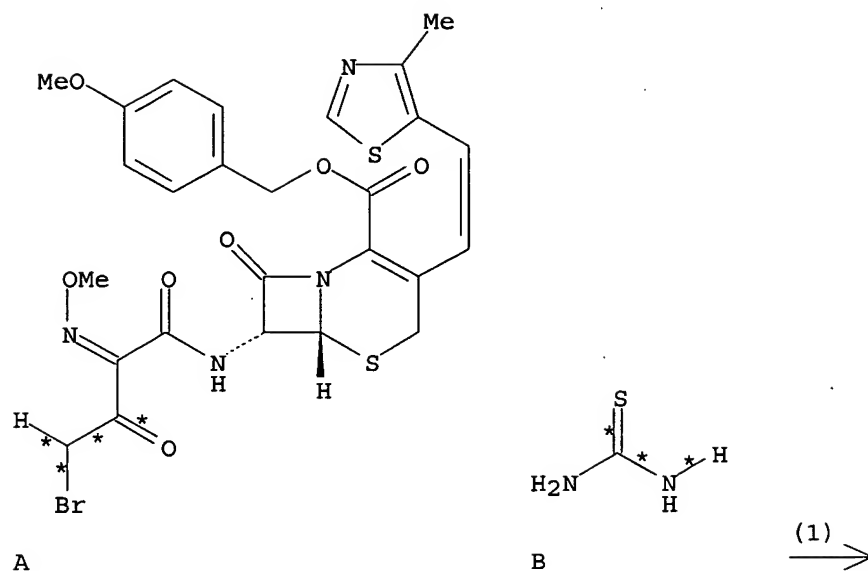
L41 ANSWER 12 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 121:35182 CASREACT  
 TI Cephalosporin derivatives as intermediates for cephalosporin bactericides  
 and preparation of said bactericides from said intermediates  
 IN Okada, Yumiko; Murai, Yasushi; Yoneda, Toshio; Iinuma, Katsuharu; Sato,  
 Atsuyuki  
 PA Meiji Seika Co, Japan  
 SO Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06041145	A2	19940215	JP 1992-193967	19920721
	JP 2846186	B2	19990113		
PRAI	JP 1992-193967		19920721		
OS	MARPAT 121:35182				
GI					



AB Cephalosporin compds. I [X = leaving group; R1 = alkyl; R2 = (alkyl-substituted) thiazolyl; R3 = H, carboxyl protecting group] are claimed as intermediates for cephalosporin bactericides. Reaction of I with thiourea gives (aminothiazolyl)(methoxyiminoacetamido)cephem derivs. Reaction of p-methoxybenzyl 7β-[(Z)-4-bromo-3-oxo-2-methoxyiminobutyrylamido]-3-[(Z)-2-(4-methylthiazol-5-yl)ethenyl]-3-cephem-4-carboxylate with thiourea in THF containing water gave 89% p-methoxybenzyl 7β-[(Z)-2-(2-amino-4-thiazolyl)-2-methoxyiminoacetamido]-3-[(Z)-2-(4-methylthiazol-5-yl)ethenyl]-3-cephem-4-carboxylate.

RX(1) OF 1      A + B ==> C



YIELD 89%

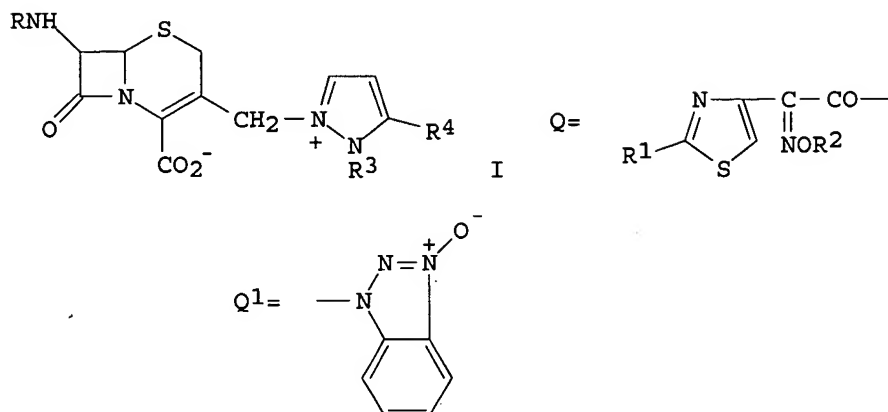
RX(1) RCT A 155723-04-9, B 62-56-6  
 PRO C 155723-03-8  
 SOL 109-99-9 THF, 7732-18-5 Water

L41 ANSWER 13 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 117:251136 CASREACT  
 TI Preparation of cephalosporin compounds as antibacterial agents  
 IN Sakane, Kazuo; Kawabata, Koji; Oki, Hidenori  
 PA Fujisawa Pharmaceutical Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

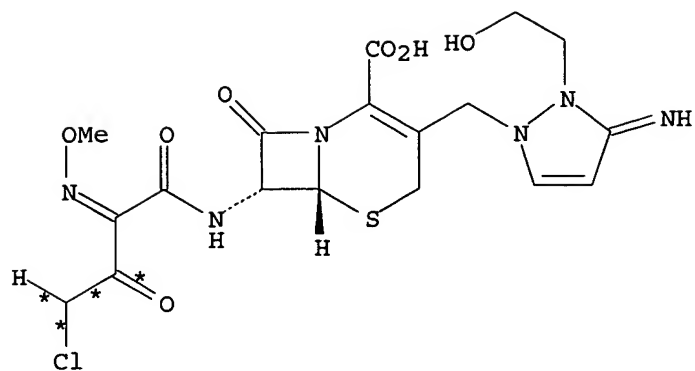
DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04173792	A2	19920622	JP 1990-298567	19901102
PRAI	JP 1990-298567		19901102		
OS	MARPAT 117:251136				
GI					

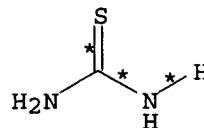


AB The title compds. [I; R = Q; R1, R4 = (un)protected H2N; R2 = alkyl; R3 = (un)protected hydroxyalkyl], useful as antibacterial agents (no data), are prepared by (1) cyclocondensation of I [R = XCH2COC(NOR2)CO; X = acid residue; R2-R4 = same as above] with R1CSNH2 (R1 = same as above) and (2) acylation of I (R = H; R3, R4 = same as above) with acylbenzotriazole N-oxide QQ1. The process gives I in high yield and is useful for preparation of radiolabeled I. Thus, a mixture of 0.34 mL DMF and 0.41 mL POCl3 was stirred 30 min and thereto 0.65 g (Z)-4-chloro-3-oxo-2-methoxyiminobutyric acid was added with stirring under ice-cooling to give an activated acid solution which was added to a solution of 1.5 g I.HCl.2H2O (R = H, R3 = HOCH2CH2, R4 = H2N) in DMF-THF under ice cooling to give, after stirring for 1.5 h, 2.18 g 7β-syn-I [R = ClCH2COC(NOMe)CO; R3, R4 = same as above] (II). II (1.1 g) was added to a solution of 0.2 g thiourea and 0.18 g ACoNa in H2O and the mixture was stirred for 6 h at room temperature while adjusting the pH to 5.6 with 1.4% ammonium hydroxide, then adjusted to pH 2 with 1N HCl, chromatographed on a Diaion HP-20 column, and treated with 2M H2SO4 to give 376 mg 7β-syn-I.H2SO4 (R = Q, R1 = H2N, R2 = Me, R3 = HOCH2CH2, R4 = H2N).

RX(1) OF 4      A + B ==> C

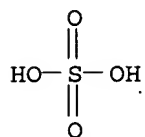


A

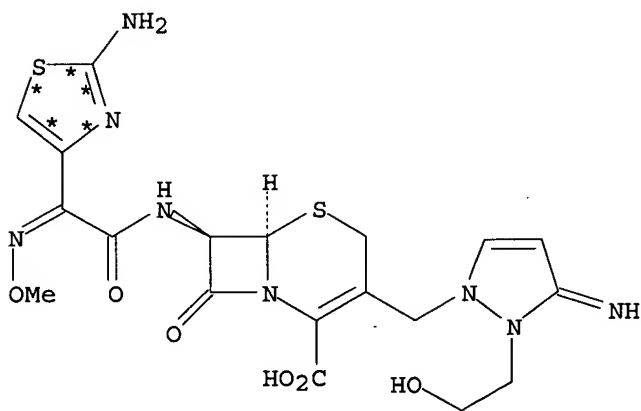


B

(1) →



C: CM 1



C: CM 2

RX(1) RCT A 144739-71-9, B 62-56-6

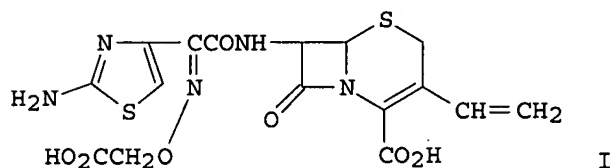
STAGE(1)

RGT D 127-09-3 AcONa, E 7664-41-7 NH3  
SOL 7732-18-5 Water

STAGE(2)

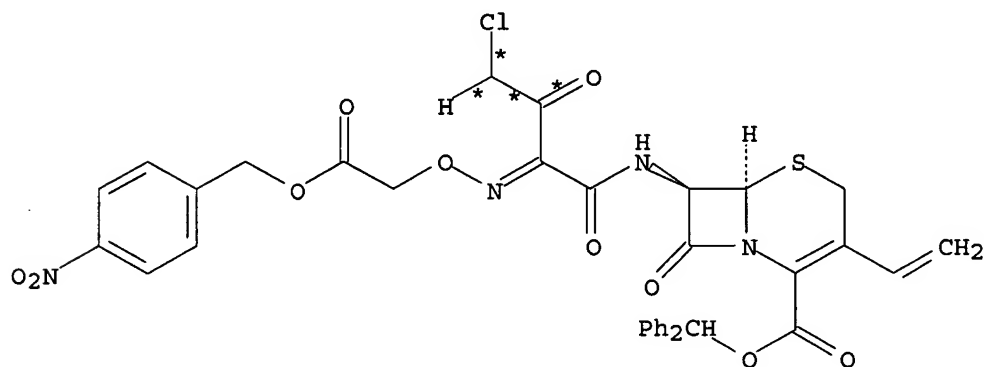
RGT F 7664-93-9 H2SO4  
SOL 7732-18-5 Water, 67-63-0 Me2CHOH  
PRO C 122841-12-7  
NTE room temp.

L41 ANSWER 14 OF 14 CASREACT COPYRIGHT 2005 ACS on STN  
 AN 107:39470 CASREACT  
 TI Studies on  $\beta$ -lactam antibiotics. XIV. Synthesis and biological activity of the (E)-isomer of FK027  
 AU Kawabata, Kohji; Miyai, Kenji; Takasugi, Hisashi; Takaya, Takao  
 CS Cent. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan  
 SO Chemical & Pharmaceutical Bulletin (1986), 34(8), 3458-64  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DT Journal  
 LA English  
 GI

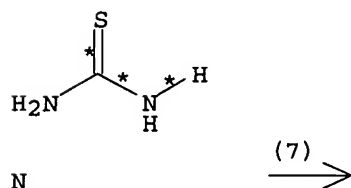


AB The (E)-isomer I of FK027 was synthesized by 2 methods. Both FK027 and I showed appreciable oral absorbability regardless of the configuration of the oxime. However, the bactericidal activity of I was much lower than that of FK027.

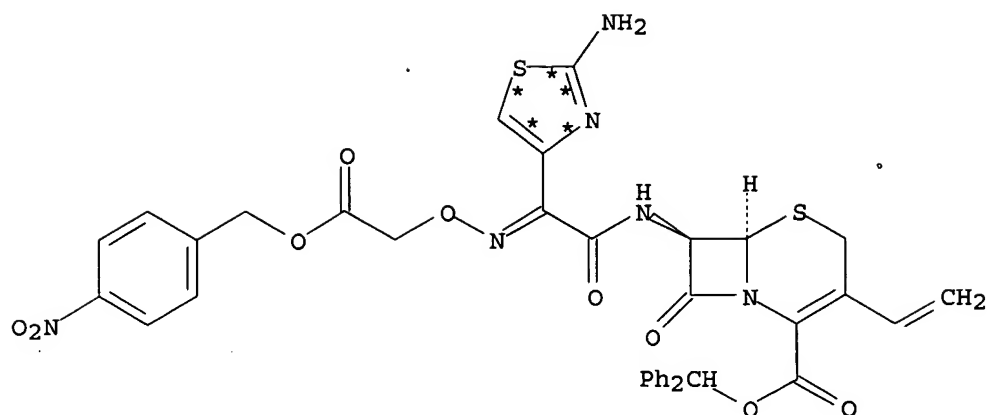
RX(7) OF 60 ...R + N ==> V...



R







V  
YIELD 75%

RX(7) RCT R 108908-50-5, N 62-56-6  
PRO V 108908-51-6  
SOL 127-19-5 AcNMe2

=>

=> fil hcaplus

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FILE COVERS 1907 - 26 Jul 2005 VOL 143 ISS 5

FILE LAST UPDATED: 25 Jul 2005 (20050725/ED)

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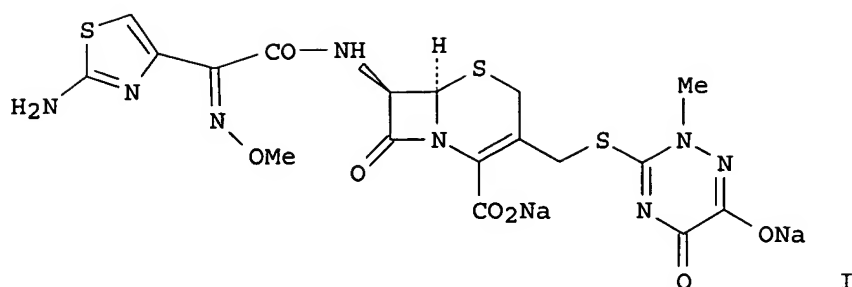
L33 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2005:238741 HCAPLUS  
DN 142:297919  
ED Entered STN: 18 Mar 2005  
TI Method for manufacture of ceftriaxone sodium  
IN Datta, Debashish; Dantu, Muralikrishna; Sharma, Pollepeddi Lakshmi  
Narayana; Mishra, Brijkishore  
PA India  
SO U.S. Pat. Appl. Publ., 31 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
IC ICM C07D501-14  
INCL 540227000  
CC 26-5 (Biomolecules and Their Synthetic Analogs)  
Section cross-reference(s): 63  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005059820	A1	20050317	US 2003-671298	20030925
	US 2005059821	A1	20050317	US 2004-830806	20040421
PRAI	IN 2003-MU967	A	20030917		
	US 2003-671298	A2	20030925		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005059820	ICM	C07D501-14
	INCL	540227000
US 2005059820	NCL	540/227.000
US 2005059821	NCL	540/227.000
OS	CASREACT	142:297919; MARPAT 142:297919
GI		

2 ref  
283 of 3  
S, 1/2 of 3  
plus  
Thioarlea  
one is  
applicant



- AB An improved process for preparation of ceftriaxone sodium of formula I is disclosed. The process is cost-effective with high yield, high purity and low color absorbance, using the right quality reactants, type of solvents, pH and conditions.
- ST ceftriaxone sodium prepn
- IT Bases, reactions  
RL: RGT (Reagent); RACT (Reactant or reagent)  
(inorg., alkali metal; preparation of ceftriaxone sodium)
- IT Solvents  
(organic, water-miscible; preparation of ceftriaxone sodium)
- IT Drug delivery systems  
Human  
(preparation of ceftriaxone sodium)
- IT 60-35-5, Acetamide, reactions 75-21-8, Ethylene oxide, reactions  
75-56-9, Propylene oxide, reactions 106-89-8, Epichlorohydrin, reactions  
471-34-1, Calcium carbonate, reactions 1305-78-8, Calcium oxide,  
reactions 7558-79-4, Disodium hydrogen phosphate 26249-20-7, Butylene  
oxide  
RL: RGT (Reagent); RACT (Reactant or reagent)  
(acid scavenging agent; preparation of ceftriaxone sodium)
- IT 73384-59-5P, Ceftriaxone 77361-11-6P 79232-67-0P 847835-83-0P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of ceftriaxone sodium)
- IT 74578-69-1P, Ceftriaxone sodium  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(preparation of ceftriaxone sodium)
- IT 62-56-6, Thiourea, reactions 19766-89-3, Sodium 2-ethylhexanoate  
58909-56-1 79232-66-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of ceftriaxone sodium)
- IT 847835-82-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of ceftriaxone sodium)
- IT 144-55-8, Sodium hydrogen carbonate, reactions 298-14-6 497-19-8,  
Sodium carbonate, reactions 554-13-2, Lithium carbonate 584-08-7,  
Potassium carbonate 1310-58-3, Potassium hydroxide, reactions  
1310-65-2, Lithium hydroxide 1310-73-2, Sodium hydroxide, reactions  
5006-97-3, Lithium hydrogen carbonate  
RL: RGT (Reagent); RACT (Reactant or reagent)  
(preparation of ceftriaxone sodium)
- IT 75-05-8, Acetonitrile, uses 109-99-9, Tetrahydrofuran, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(solvent; preparation of ceftriaxone sodium)

IT 847835-83-0P

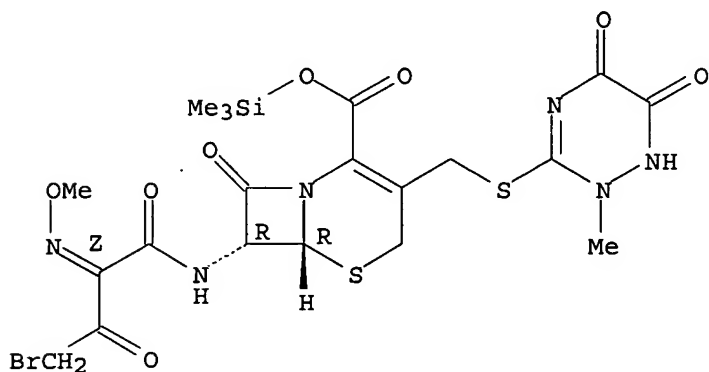
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of ceftriaxone sodium)

RN 847835-83-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[(2Z)-4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[[[(1,2,5,6-tetrahydro-2-methyl-5,6-dioxo-1,2,4-triazin-3-yl)thio]methyl]-, trimethylsilyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

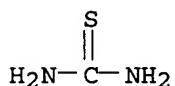


IT 62-56-6, Thiourea, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of ceftriaxone sodium)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



L33 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:75155 HCAPLUS

DN 110:75155

ED Entered STN: 04 Mar 1989

TI Process for preparing cephalosporin and penicillin derivatives

IN Curran, William Vincent; Babine, Robert; Lee, Ving Jick

PA American Cyanamid Co., USA

SO Eur. Pat. Appl., 60 pp.

CODEN: EPXXDW

DT Patent

LA English

IC ICM C07D501-18

ICS C07D499-78

CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1, 10

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 257275	A2	19880302	EP 1987-110148	19870714

EP 257275	A3	19900523		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
US 4760140	A	19880726	US 1986-920399	19861020
US 4800199	A	19890124	US 1986-920397	19861020
US 4866169	A	19890912	US 1986-920398	19861020
DK 8703902	A	19880129	DK 1987-3902	19870727
FI 8703273	A	19880129	FI 1987-3273	19870727
NO 8703126	A	19880129	NO 1987-3126	19870727
AU 8776153	A1	19880204	AU 1987-76153	19870727
ZA 8705511	A	19880330	ZA 1987-5511	19870727
HU 46020	A2	19880928	HU 1987-3422	19870727
JP 63099076	A2	19880430	JP 1987-186764	19870728
US 4959495	A	19900925	US 1989-375108	19890630
US 5066799	A	19911119	US 1990-546136	19900629
PRAI US 1986-890000	A	19860728		
US 1986-920397	A	19861020		
US 1986-920398	A	19861020		
US 1986-920399	A	19861020		
US 1988-163599	B3	19880303		
US 1989-375108	A3	19890630		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 257275	ICM	C07D501-18
	ICS	C07D499-78
US 4760140	NCL	540/228.000; 540/227.000; 540/229.000
US 4800199	NCL	514/202.000; 514/206.000; 540/222.000; 540/227.000; 540/228.000
US 4866169	NCL	540/226.000; 540/230.000; 540/310.000; 540/314.000
US 4959495	NCL	562/560.000; 540/227.000; 560/168.000
US 5066799	NCL	540/226.000; 540/227.000
OS	CASREACT 110:75155; MARPAT 110:75155	
GI		

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I and II [R = H, Ph<sub>2</sub>CH; R<sub>1</sub> = H, C<sub>1</sub>-3 alkyl, vinyl, CH<sub>2</sub>OAc, etc.; Y = C(:S)NH<sub>2</sub>, C(:S)NHC(O)CH<sub>2</sub>CCl<sub>3</sub>, C(:S)NHC(O)CH<sub>2</sub>CHCl<sub>2</sub>, Q<sub>1</sub>, etc.; A = CH, N, etc.; R<sub>2</sub> = C<sub>1</sub>-3 alkyl, Ph, CO<sub>2</sub>H, etc.], III [R<sub>3</sub> = H, C<sub>1</sub>-3 alkyl, vinyl, Q<sub>2</sub>, etc.; R<sub>4</sub> = C(:S)NH<sub>2</sub>, C(:S)NHC(O)CH<sub>2</sub>CCl<sub>3</sub>, etc.; R<sub>5</sub> = H, C<sub>1</sub>-6 alkyl], IV, etc., were prepared as antibiotics or intermediates therefore. Treatment of diphenylmethyl (6R-trans)-3-[(acetyloxy)methyl]-7-[(aminothioxomethyl)amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate with Et 3-bromo-2-oxopropanoate in MeCN containing K<sub>2</sub>CO<sub>3</sub>, followed by deprotection, gave (6R-trans)-3-[(acetyloxy)methyl]-7-[[4-ethoxycarbonyl]-2-thiazolyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (V). V in vitro exhibited a MIC of 1 µg/mL against *Staphylococcus aureus* LL Number 45.

ST cephalosporin deriv prepn antibiotic; penicillin deriv prepn antibiotic; antibiotic cephalosporin penicillin deriv

IT 1406-05-9DP, Penicillin, thiazolylamino derivs.  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 56539-09-4P, 2,2,2-Trichloroethoxycarbonylthiocyanate 82219-81-6P  
109323-68-4P 111230-59-2P, 4-Chloro-2-(Z)-methoxyimino-3-oxobutanoic acid 117672-97-6P 117673-04-8P 117673-16-2P 117673-20-8P  
117673-21-9P 117683-56-4P 117683-57-5P 117683-64-4P 117683-65-5P

117683-66-6P 117683-67-7P 117683-68-8P 117698-43-8P 118851-36-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, in preparation of cephalosporin antibiotic)

IT 82219-78-1P 111230-59-2P 117672-97-6P 117672-98-7P  
**117672-99-8P** 117673-00-4P 117673-01-5P 117673-02-6P  
 117673-03-7P 117673-04-8P 117673-05-9P 117673-06-0P 117673-07-1P  
 117673-08-2P 117673-09-3P 117673-10-6P 117673-11-7P 117673-12-8P  
 117673-13-9P 117673-14-0P 117673-15-1P 117673-16-2P 117673-17-3P  
 117673-18-4P 117673-19-5P 117673-20-8P 117673-21-9P 117673-22-0P  
 117673-23-1P 117683-55-3P 117683-56-4P 117683-62-2P 117683-63-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, in preparation of cephalosporin antibiotics)

IT **62-56-6**, Thiourea, reactions 70-11-1, 2-Bromo-1-phenylethanone  
 70-23-5, Ethyl 3-bromo-2-oxopropanoate 463-71-8, Thiophosgene  
 631-61-8, Ammonium acetate 1188-33-6, N,N-Dimethylformamide diethyl  
 acetal 2950-43-8, Hydroxylamine O-sulfonic acid 16357-59-8  
 17341-93-4, Trichloroethoxy chloroformate 27266-61-1 34642-75-6  
 47547-28-4 56539-09-4 70380-12-0 70380-13-1 74530-56-6, tert-Butyl  
 4-chloro-3-oxobutanoate 76513-69-4, 2-(Trimethylsilyl)ethoxymethyl  
 chloride 117672-98-7 **117672-99-8** 117673-01-5 117683-55-3  
 117683-57-5 117683-58-6 117683-59-7 117683-60-0 117683-61-1  
 117683-69-9, Trimethylsilyl ethyl 3-bromo-2-oxopropanoate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of cephalosporin antibiotic)

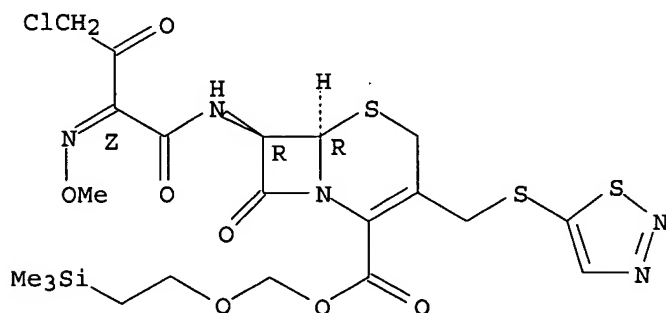
IT 11111-12-9, Cephalosporin  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (thiazolylaminocephem derivs.)

IT **117672-99-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, in preparation of cephalosporin antibiotics)

RN 117672-99-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[(1,2,3-  
 thiadiazol-5-ylthio)methyl]-, [2-(trimethylsilyl)ethoxy]methyl ester,  
 [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

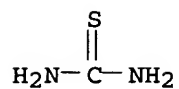
Absolute stereochemistry.  
 Double bond geometry as shown.



IT **62-56-6**, Thiourea, reactions **117672-99-8**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of cephalosporin antibiotic)

RN **62-56-6** HCAPLUS

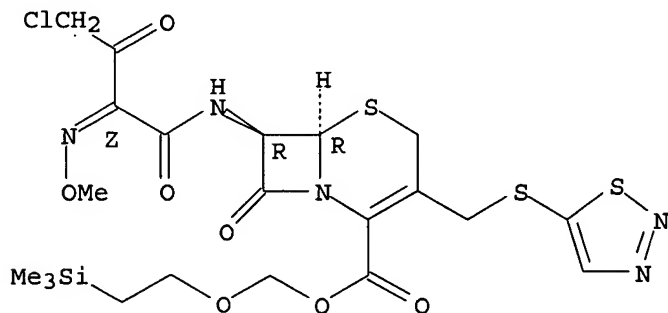
CN Thiourea (9CI) (CA INDEX NAME)



RN 117672-99-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[(1,2,3-  
thiadiazol-5-ylthio)methyl]-, [2-(trimethylsilyl)ethoxy)methyl ester,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



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=&gt; d 15-35 all hitstr

L44 ANSWER 15 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2005:160883 HCAPLUS  
 DN 142:261334  
 ED Entered STN: 25 Feb 2005  
 TI Process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative  
 IN Handa, Vijay Kumar; Kamat, Anand G.; Sivakumaran, Meenakshisunderam  
 PA India  
 SO U.S. Pat. Appl. Publ., 5 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 IC ICM C07D501-14  
 INCL 540224000  
 CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 Section cross-reference(s): 45

# 2 of 3  
 part B;  
 These not  
 in CAS react

FAN.CNT 1

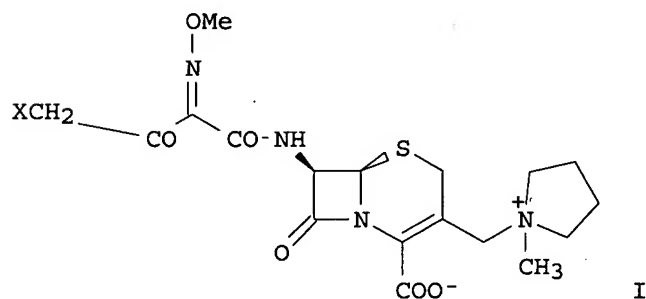
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005043531	A1	20050224	US 2003-688606	20031017
PRAI	IN 2003-CH669	A	20030821		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005043531	ICM	C07D501-14
	INCL	540224000
US 2005043531	NCL	540/224.000

OS CASREACT 142:261334

GI



AB Cefepime, a cephalosporin antibiotic, is prepared in high yield and selectivity by the cyclocondensation of thiourea with bromo or chloro derivative I (X = Br, Cl) which is prepared by the amidation of 7-amino-3-[(1-methyl-1-pyrrolidinium)methyl]-3-cephem-4-carboxylate with a corresponding 4-halo-2-methoxyimino-3-oxobutyric acid halide. Thus, cefepime dihydrochloride monohydrate was prepared from 7-amino-3-[(1-methyl-1-pyrrolidinium)methyl]-3-cephem-4-carboxylate hydrochloride via silylation with Me<sub>3</sub>SiNHAc in CH<sub>2</sub>Cl<sub>2</sub>, acylation with freshly prepared 4-bromo-2-methoxyimino-3-oxobutyryl chloride in CH<sub>2</sub>Cl<sub>2</sub> and cyclocondensation of intermediate I (X = Br) with H<sub>2</sub>NC(:S)NH<sub>2</sub> in aqueous MeCOMe.



ST cefepime cephalosporin antibiotic prepn; aminocephemcarboxylate deriv  
amidation halomethoxyiminooxobutyric acid; oxobutyrylaminocephemcarboxylat  
e deriv cyclocondensation thiourea

IT Antibiotics  
(cephalosporins, cefepime; process for preparing cefepime by the  
cyclocondensation reaction of thiourea with a brominated or chlorinated  
derivative)

IT Amidation  
(in a process for preparing cefepime by the cyclocondensation reaction of  
thiourea with a brominated or chlorinated derivative)

IT Cyclocondensation reaction  
(process for preparing cefepime by the cyclocondensation reaction of  
thiourea with a brominated or chlorinated derivative)

IT 115922-43-5P 686257-75-0P 780810-17-5P **846021-46-3P**  
**846021-47-4P 846021-48-5P**  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(in a process for preparing cefepime by the cyclocondensation reaction of  
thiourea with a brominated or chlorinated derivative)

IT 13435-12-6, N-Trimethylsilylacetamide 75689-09-7 103012-30-2  
103121-85-3 103296-32-8  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(in a process for preparing cefepime by the cyclocondensation reaction of  
thiourea with a brominated or chlorinated derivative)

IT 88040-23-7P, Cefepime **846021-45-2P**  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(process for preparing cefepime by the cyclocondensation reaction of  
thiourea with a brominated or chlorinated derivative)

IT 123171-59-5P, Cefepime dihydrochloride monohydrate  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(process for preparing cefepime by the cyclocondensation reaction of  
thiourea with a brominated or chlorinated derivative)

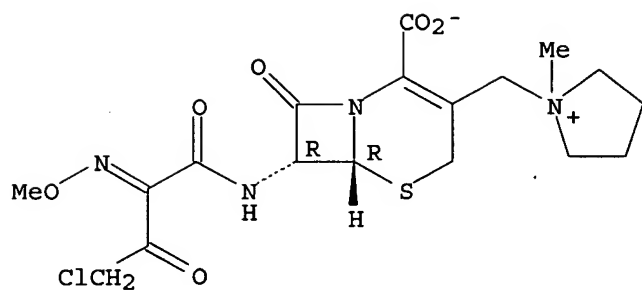
IT **62-56-6**, Thiourea, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(process for preparing cefepime by the cyclocondensation reaction of  
thiourea with a brominated or chlorinated derivative)

IT **846021-46-3P 846021-47-4P 846021-48-5P**  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(in a process for preparing cefepime by the cyclocondensation reaction of  
thiourea with a brominated or chlorinated derivative)

RN 846021-46-3 HCAPLUS

CN Pyrrolidinium, 1-[[[(6R,7R)-2-carboxy-7-[[4-chloro-2-(methoxyimino)-1,3-  
dioxobutyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-  
methyl-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

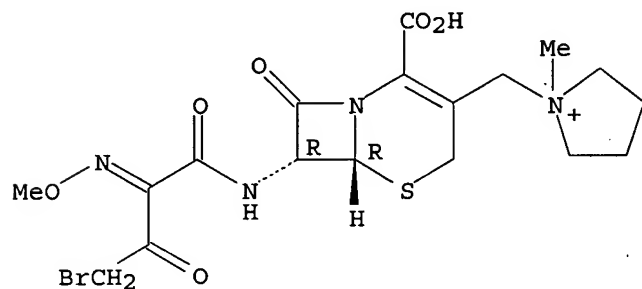


RN 846021-47-4 HCAPLUS

CN Pyrrolidinium, 1-[[[(6R,7R)-7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, chloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



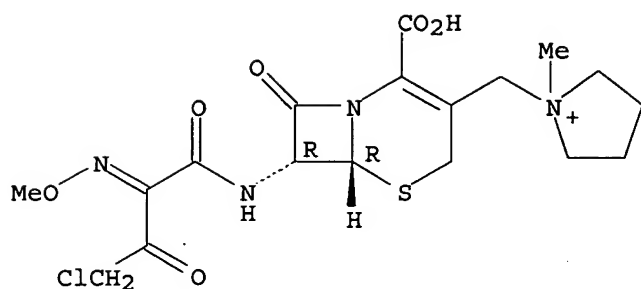
● Cl<sup>-</sup>

RN 846021-48-5 HCAPLUS

CN Pyrrolidinium, 1-[[[(6R,7R)-2-carboxy-7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, chloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



● Cl<sup>-</sup>

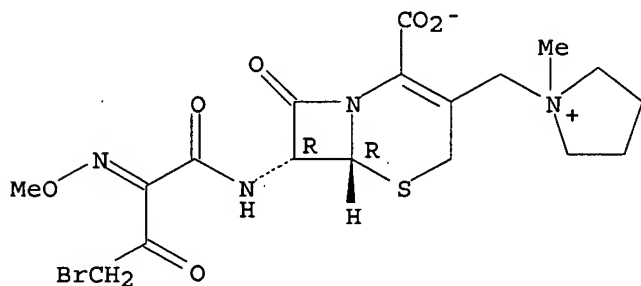
IT 846021-45-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

RN 846021-45-2 HCAPLUS

CN Pyrrolidinium, 1-[[ (6R,7R) -7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

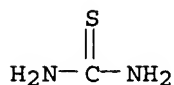


IT 62-56-6, Thiourea, reactions

RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 16 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:902392 HCAPLUS  
DN 141:366239

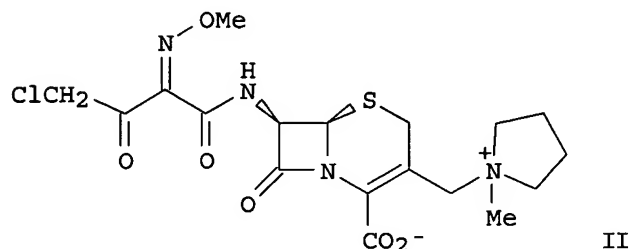
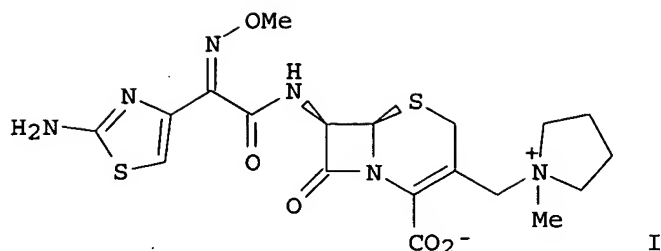
ED Entered STN: 28 Oct 2004  
 TI A preparation of antibacterial 5-thia-1-azabicyclo[4.2.0]octane derivative  
 (cefepime)  
 IN Ludescher, Johannes; Sturm, Hubert; Wolf, Siegfried  
 PA Sandoz A.-G., Switz.  
 SO PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07D501-06  
 ICS C07D501-44  
 CC 28-14 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 45

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092183	A2	20041028	WO 2004-EP3988	20040415
WO 2004092183	A3	20041209		
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:				
BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,				
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,				
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,				
TD, TG				
PRAI AT 2003-584	A	20030416		
AT 2003-585	A	20030416		
AT 2003-586	A	20030416		

CLASS

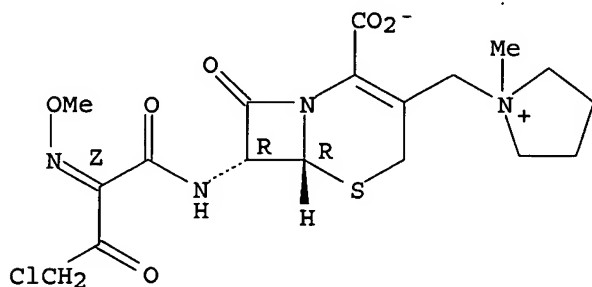
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004092183	ICM	C07D501-06
	ICS	C07D501-44
WO 2004092183	ECLA	C07D501/00
OS	MARPAT	141:366239
GI		



- AB The invention relates to a preparation of 5-thia-1-azabicyclo[4.2.0]octane derivative I (cefepime), useful as antibacterial agent (no biol. data). For instance, 5-thia-1-azabicyclo[4.2.0]octane derivative (I•2HCl) was prepared via heterocyclization of chloro(methoxyimino)oxobutyric acid derivative II•HCl and thiourea (example 3, 99.6% of purity).
- ST thia aza bicyclooctane cefepime prep manuf; chloro methoxyimino oxo butyric acid thiourea heterocyclization
- IT Heterocyclization  
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)
- IT 780810-19-7P  
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)  
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)
- IT 780810-16-4P 780810-18-6P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)
- IT 88040-23-7P, Cefepime 780810-21-1P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)
- IT 62-56-6, Thiourea, reactions 120-94-5, N-Methylpyrrolidine  
63527-52-6 80756-85-0 103121-85-3 103296-32-8 780810-17-5  
780810-20-0 780810-22-2  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)
- IT 780810-16-4P 780810-18-6P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)
- RN 780810-16-4 HCAPLUS

CN Pyrrolidinium, 1-[[[(6R,7R)-2-carboxy-7-[[[(2Z)-4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

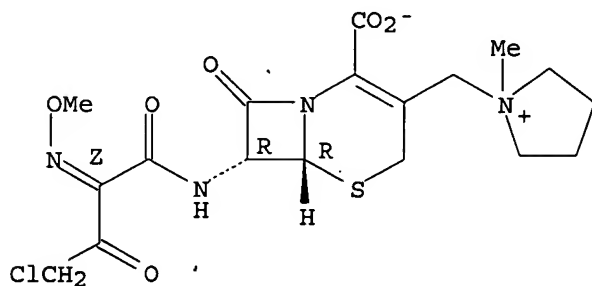


● HCl

RN 780810-18-6 HCAPLUS

CN Pyrrolidinium, 1-[[[(6R,7R)-2-carboxy-7-[[[(2Z)-4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



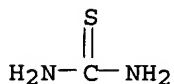
IT 62-56-6, Thiourea, reactions

RL: RCT (Reactant); **RACT (Reactant or reagent)**

(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 17 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 1998:344365 HCAPLUS

jan delaval - 26 july 2005

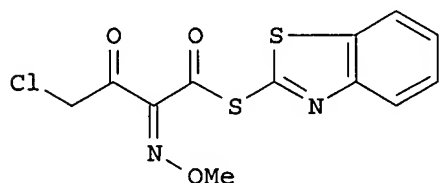
DN 129:27811  
 ED Entered STN: 10 Jun 1998  
 TI Process for the preparation of the cephalosporin derivatives cefotaxime and ceftriaxone  
 IN Monguzzi, Riccardo; Menapace, Silvano; Anzaghi, Piergiorgio  
 PA Hichem Pharma S.p.A., Italy; S.B.D. S.r.l.  
 SO Eur. Pat. Appl., 13 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 IC ICM C07D501-06  
 ICS C07D501-34; C07D501-36  
 CC 25-5 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 842937	A2	19980520	EP 1997-120169	19971118
	EP 842937	A3	19980722		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	IT 1996-MI2406	A	19961119		

CLASS  

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 842937	ICM	C07D501-06
	ICS	C07D501-34; C07D501-36
EP 842937	ECLA	C07D501/00

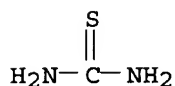
 OS CASREACT 129:27811; MARPAT 129:27811  
 GI



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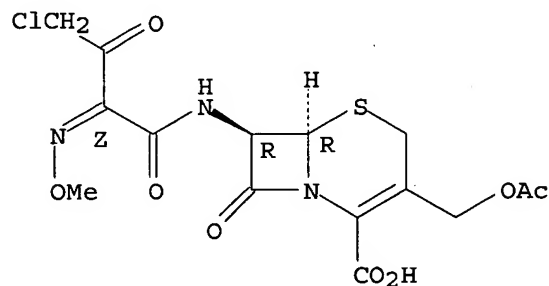
AB The preparation of cefotaxime and ceftriaxone comprises reacting 7-aminocephalosporanic acid (7-ACA) or 7-amino-3-[(2,5-dihydro-6-hydroxy-2-methyl-5-oxo-as-triazin-3-yl)thiomethyl]-3-cephem-4-carboxylic acid (7-ACT) with suitably activated 4-chloro-2-methoxyimino-3-oxobutyric acid, and subsequently cyclizing the intermediate chloromethoxyimino oxobutyramide with thiourea. Thus, 4-chloro-2-methoxyimino-3-oxobutyric acid (are prepared) in THF containing Et<sub>3</sub>N and triphenylphosphine was reacted with dithio-bis-benzothiazole and the product (I) (un-isolated) was treated with 7-ACA in water-EtOAc to give cefotaxime.  
 ST cefotaxime prepn; ceftriaxone prepn  
 IT 63527-52-6P, Cefotaxime  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (process for preparation of cephalosporin derivs. cefotaxime and ceftriaxone)  
 IT 73384-59-5P, Ceftriaxone  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (process for preparation of cephalosporin derivs. cefotaxime and

ceftriaxone)  
 IT 62-56-6, Thiourea, reactions 77-78-1, Dimethyl sulfate  
 120-78-5 957-68-6, 7-ACA 14352-65-9 16029-98-4, Trimethylsilyl  
 iodide 207979-56-4  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (process for preparation of cephalosporin derivs. cefotaxime and  
 ceftriaxone)  
 IT 79232-65-8P **87303-79-5P** 98382-99-1P 111230-59-2P  
 148416-80-2P 207979-55-3P 207979-57-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (process for preparation of cephalosporin derivs. cefotaxime and  
 ceftriaxone)  
 IT 62-56-6, Thiourea, reactions  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (process for preparation of cephalosporin derivs. cefotaxime and  
 ceftriaxone)  
 RN 62-56-6 HCAPLUS  
 CN Thiourea (9CI) (CA INDEX NAME)



IT **87303-79-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (process for preparation of cephalosporin derivs. cefotaxime and  
 ceftriaxone)  
 RN 87303-79-5 HCAPLUS  
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 3-[(acetyloxy)methyl]-7-[[[(2Z)-4-chloro-2-(methoxyimino)-1,3-  
 dioxobutyl]amino]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L44 ANSWER 18 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1989:75155 HCAPLUS  
 DN 110:75155  
 ED Entered STN: 04 Mar 1989  
 TI Process for preparing cephalosporin and penicillin derivatives  
 IN Curran, William Vincent; Babine, Robert; Lee, Ving Jick  
 PA American Cyanamid Co., USA  
 SO Eur. Pat. Appl., 60 pp.



CODEN: EPXXDW  
 DT Patent  
 LA English  
 IC ICM C07D501-18  
 ICS C07D499-78  
 CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 Section cross-reference(s): 1, 10

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 257275	A2	19880302	EP 1987-110148	19870714
	EP 257275	A3	19900523		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	US 4760140	A	19880726	US 1986-920399	19861020
	US 4800199	A	19890124	US 1986-920397	19861020
	US 4866169	A	19890912	US 1986-920398	19861020
	DK 8703902	A	19880129	DK 1987-3902	19870727
	FI 8703273	A	19880129	FI 1987-3273	19870727
	NO 8703126	A	19880129	NO 1987-3126	19870727
	AU 8776153	A1	19880204	AU 1987-76153	19870727
	ZA 8705511	A	19880330	ZA 1987-5511	19870727
	HU 46020	A2	19880928	HU 1987-3422	19870727
	JP 63099076	A2	19880430	JP 1987-186764	19870728
	US 4959495	A	19900925	US 1989-375108	19890630
	US 5066799	A	19911119	US 1990-546136	19900629
PRAI	US 1986-890000	A	19860728		
	US 1986-920397	A	19861020		
	US 1986-920398	A	19861020		
	US 1986-920399	A	19861020		
	US 1988-163599	B3	19880303		
	US 1989-375108	A3	19890630		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 257275	ICM	C07D501-18
	ICS	C07D499-78
US 4760140	NCL	540/228.000; 540/227.000; 540/229.000
US 4800199	NCL	514/202.000; 514/206.000; 540/222.000; 540/227.000; 540/228.000
US 4866169	NCL	540/226.000; 540/230.000; 540/310.000; 540/314.000
US 4959495	NCL	562/560.000; 540/227.000; 560/168.000
US 5066799	NCL	540/226.000; 540/227.000

OS CASREACT 110:75155; MARPAT 110:75155

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I and II [R = H, Ph<sub>2</sub>CH; R<sub>1</sub> = H, C<sub>1</sub>-3 alkyl, vinyl, CH<sub>2</sub>OAc, etc.; Y = C(:S)NH<sub>2</sub>, C(:S)NHCO<sub>2</sub>CH<sub>2</sub>CCl<sub>3</sub>, C(:S)NHCO<sub>2</sub>CH<sub>2</sub>CHCl<sub>2</sub>, Q<sub>1</sub>, etc.; A = CH, N, etc.; R<sub>2</sub> = C<sub>1</sub>-3 alkyl, Ph, CO<sub>2</sub>H, etc.], III [R<sub>3</sub> = H, C<sub>1</sub>-3 alkyl, vinyl, Q<sub>2</sub>, etc.; R<sub>4</sub> = C(:S)NH<sub>2</sub>, C(:S)NHCO<sub>2</sub>CH<sub>2</sub>CCl<sub>3</sub>, etc.; R<sub>5</sub> = H, C<sub>1</sub>-6 alkyl], IV, etc., were prepared as antibiotics or intermediates therefore. Treatment of diphenylmethyl (6R-trans)-3-[(acetyloxy)methyl]-7-[(aminothioxomethyl)amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate with Et 3-bromo-2-oxopropanoate in MeCN containing K<sub>2</sub>CO<sub>3</sub>, followed by deprotection, gave (6R-trans)-3-[(acetyloxy)methyl]-7-[[4-ethoxycarbonyl]-2-thiazolyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-

ene-2-carboxylic acid (V). V in vitro exhibited a MIC of 1 µg/mL against Staphylococcus aureus LL Number 45.

ST cephalosporin deriv prepn antibiotic; penicillin deriv prepn antibiotic; antibiotic cephalosporin penicillin deriv

IT 1406-05-9DP, Penicillin, thiazolylamino derivs.

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 56539-09-4P, 2,2,2-Trichloroethoxycarbonylthiocyanate 82219-81-6P  
109323-68-4P 111230-59-2P, 4-Chloro-2-(Z)-methoxyimino-3-oxobutanoic  
acid 117672-97-6P 117673-04-8P 117673-16-2P 117673-20-8P  
117673-21-9P 117683-56-4P 117683-57-5P 117683-64-4P 117683-65-5P  
117683-66-6P 117683-67-7P 117683-68-8P 117698-43-8P 118851-36-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, in preparation of cephalosporin antibiotic)

IT 82219-78-1P 111230-59-2P 117672-97-6P 117672-98-7P 117672-99-8P  
117673-00-4P 117673-01-5P 117673-02-6P 117673-03-7P 117673-04-8P  
117673-05-9P 117673-06-0P 117673-07-1P 117673-08-2P 117673-09-3P  
117673-10-6P 117673-11-7P 117673-12-8P 117673-13-9P 117673-14-0P  
117673-15-1P 117673-16-2P 117673-17-3P 117673-18-4P 117673-19-5P  
117673-20-8P 117673-21-9P 117673-22-0P 117673-23-1P 117683-55-3P  
117683-56-4P 117683-62-2P 117683-63-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, in preparation of cephalosporin antibiotics)

IT 62-56-6, Thiourea, reactions 70-11-1, 2-Bromo-1-phenylethanone  
70-23-5, Ethyl 3-bromo-2-oxopropanoate 463-71-8, Thiophosgene  
631-61-8, Ammonium acetate 1188-33-6, N,N-Dimethylformamide diethyl  
acetal 2950-43-8, Hydroxylamine O-sulfonic acid 16357-59-8  
17341-93-4, Trichloroethoxy chloroformate 27266-61-1 34642-75-6  
47547-28-4 56539-09-4 70380-12-0 70380-13-1 74530-56-6, tert-Butyl  
4-chloro-3-oxobutanoate 76513-69-4, 2-(Trimethylsilyl)ethoxymethyl  
chloride 117672-98-7 117672-99-8 117673-01-5 117683-55-3  
117683-57-5 117683-58-6 117683-59-7 117683-60-0 117683-61-1  
117683-69-9, Trimethylsilyl ethyl 3-bromo-2-oxopropanoate

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of cephalosporin antibiotic)

IT 11111-12-9, Cephalosporin

RL: RCT (Reactant); RACT (Reactant or reagent)

(thiazolylaminocephem derivs.)

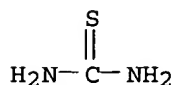
IT 62-56-6, Thiourea, reactions 117672-98-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of cephalosporin antibiotic)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)

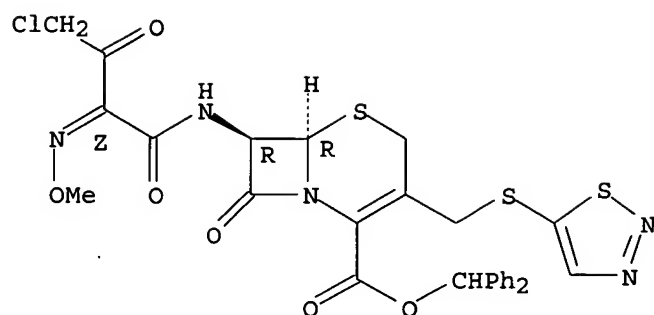


RN 117672-98-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[(1,2,3-  
thiadiazol-5-ylthio)methyl]-, diphenylmethyl ester, [6R-  
[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L44 ANSWER 19 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1986:207046 HCAPLUS  
 DN 104:207046  
 ED Entered STN: 14 Jun 1986  
 TI Cephalosporin compounds  
 IN Looker, Brian Edgar  
 PA Glaxo Group Ltd., UK  
 SO Eur. Pat. Appl., 21 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 IC ICM C07D501-46  
 ICA C07C131-00  
 CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 Section cross-reference(s): 1

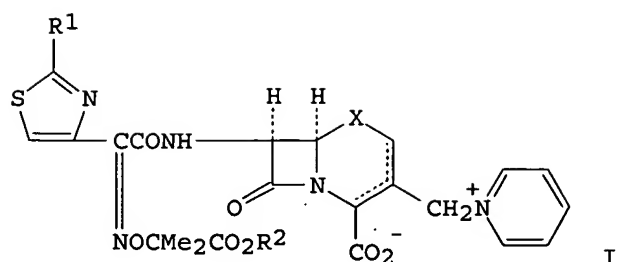
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 160563	A2	19851106	EP 1985-303030	19850429
	EP 160563	A3	19861126		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	DK 8501903	A	19851031	DK 1985-1903	19850429
	FI 8501687	A	19851031	FI 1985-1687	19850429
	FI 89924	B	19930831		
	FI 89924	C	19931210		
	ES 542674	A1	19870601	ES 1985-542674	19850429
	JP 61017587	A2	19860125	JP 1985-91305	19850430
	JP 06099441	B4	19941207		
PRAI	GB 1984-10991	A	19840430		

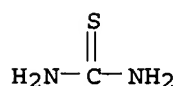
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 160563	ICM	C07D501-46
	ICA	C07C131-00

GI



- AB Title compds. I [R1 = (un)protected NH2; R2 = H, carboxy blocking group; Z = S, SO], their esters, or salts were prepared Thus, ceftazidime (I, R = NH2, R2 = H) was prepared by cyclocondensation of (6R,7R)-7-[4-bromo-2-[2-(4-nitrobenzyloxycarbonyl)-2-methylpropionyloxyimino]-3-oxobutyramido]-3-(1-pyridiniummethyl)cephem-4-carboxylate with thiourea followed by deprotection.
- ST ceftazidime prepn antibiotic
- IT Antibiotics  
(ceftazidime, preparation of)
- IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclocondensation of, with bromooxybutyryl cephem)
- IT 102246-46-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and bromination)
- IT 102246-48-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation and cyclocondensation of, with thiourea)
- IT 72558-82-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)
- IT 102246-47-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation, chlorination, and amidation of)
- IT 14352-65-9  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with bromoisobutyrate)
- IT 84208-33-3  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with hydroxyiminooxybutyrate)
- IT 3432-88-0  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(N-acylation of)
- IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclocondensation of, with bromooxybutyryl cephem)
- RN 62-56-6 HCAPLUS
- CN Thiourea (9CI) (CA INDEX NAME)



IT 102246-48-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT (Reactant or reagent)

(preparation and cyclocondensation of, with thiourea)

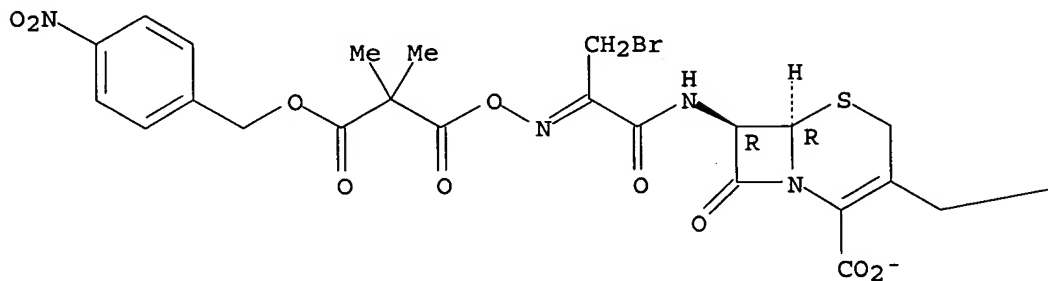
RN 102246-48-0 HCAPLUS

CN Pyridinium, 1-[[7-[[3-bromo-2-[[2,2-dimethyl-3-[(4-nitrophenyl)methoxy]-1,3-dioxopropoxy]imino]-1-oxopropyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, (6R-trans)- (9CI)  
(CA INDEX NAME)

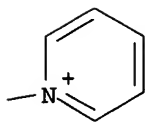
Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



L44 ANSWER 20 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1984:68077 . HCAPLUS

DN 100:68077

ED Entered STN: 12 May 1984

TI 7-Acylamino-3-vinylcephalosporanic acid derivatives

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

IC C07D501-22

ICA A61K031-545

CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1

FAN.CNT 9

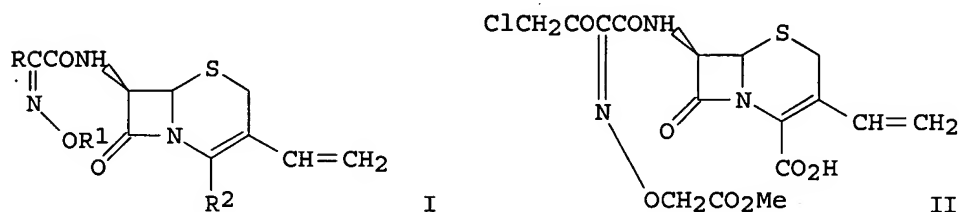
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 58135894	A2	19830812	JP 1983-9235	19830121
	JP 05001271	B4	19930107		
	US 4487927	A	19841211	US 1982-341621	19820122

PRAI	US 1982-341621	A	19820122
	GB 1979-39985	A	19791119
	GB 1980-4335	A	19800208
	GB 1980-12991	A	19800421
	GB 1980-22920	A	19800714
	US 1980-205334	A2	19801110
	US 1981-261618	A2	19810507

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 58135894	IC	C07D501-22
	ICA	A61K031-545
US 4487927	NCL	540/222.000; 540/020.000; 540/215.000; 540/229.000

GI



AB Nine cephalosporanic acid derivs. (I; R = aminothiazolyl; R1 = carboxyalkyl, protected carboxyalkyl; R2 = HO2C, protected HO2C) as the syn isomers were prepared I were effective bactericides at 50-2000 mg/day. Thus, 0.683 g (H2N)2CS and 1.84 g NaOAc were added to a suspension of 2.0 g syn-II in H2O at 40° and stirred 1.5 h to give 1.9 g syn-I (R = 2-aminothiazol-4-yl, R1 = MeO2CCH2; R2 = HO2C).

ST cephalosporanic acid acylamino vinyl bactericide;  
acylaminovinylcephalosporanic acid bactericide;  
thiazolylacetamidoccephalosporanic acid bactericide

IT Bactericides, Disinfectants, and Antiseptics  
(acylaminovinylcephalosporanic acid derivs.)

IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclocondensation of, with (chlorooxobutyroamido)cephalosporanic acid derivative)

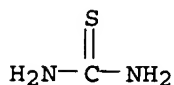
IT 88621-00-5  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclocondensation of, with thiourea)

IT 79350-37-1P 86027-33-0P 88621-01-6P 88621-02-7P 88621-03-8P  
88621-04-9P 88621-05-0P 88621-06-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclocondensation of, with (chlorooxobutyroamido)cephalosporanic acid derivative)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



IT 88621-00-5

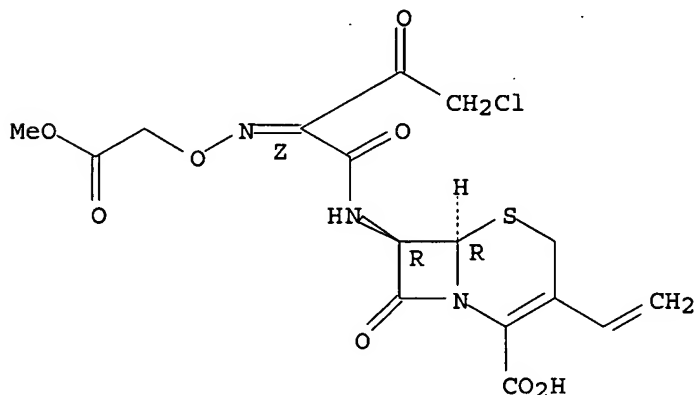
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclocondensation of, with thiourea)

RN 88621-00-5 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[(2-methoxy-2-oxoethoxy)imino]-1,3-dioxobutyl]amino]-3-  
ethenyl-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI). (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L44 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1983:470461 HCAPLUS

DN 99:70461

ED Entered STN: 12 May 1984

TI Cephalosporin derivatives pharmaceutical compositions containing them and  
their intermediates

IN Montavon, Marc; Reiner, Roland

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 48 pp.

CODEN: EPXXDW

DT Patent

LA German

IC C07D501-36; C07D498-04; A61K031-545

ICA C07D249-12

ICI C07D498-04, C07D265-00, C07D205-00

CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1, 63

FAN.CNT 1

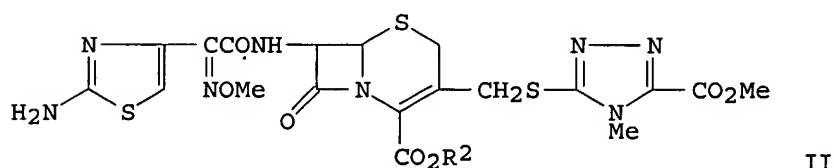
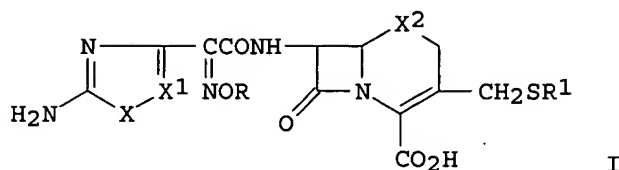
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 75104	A2	19830330	EP 1982-107311	19820812
	EP 75104	A3	19841128		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	DK 8203964	A	19830324	DK 1982-3964	19820903
	ZA 8206816	A	19830727	ZA 1982-6816	19820916
	AU 8288511	A1	19830331	AU 1982-88511	19820917
	JP 58065284	A2	19830418	JP 1982-164161	19820922
PRAI	CH 1981-6139	A	19810923		
	CH 1982-4599	A	19820729		

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

EP 75104	IC	C07D501-36IC	C07D498-04IC	A61K031-545
	ICA	C07D249-12		
	ICI	C07D498-04, C07D265-00, C07D205-00		

GI



- AB Cephalosporins I (X = S, Se; X1 = CH, N; X2 = S, O, SO, SO2; R = H, Me, carboxyalkyl; R1 = carboxytriazolyl) were prepared. Thus H2NNHCSNHMe was treated with MeO2CCO2Me to give Me 5-mercapto-4-methyl-1,2,4-triazole-3-carboxylate which was treated with 7-aminocephalosporanic acid to give the heterocyclylthiomethylcephem. The latter compds. was converted to its silyl ester and treated with BrCH2COC(:NOMe)COCl and thiourea to give II (R2 = Na). This salt was treated with Me3CCO2CH2I to give II (R2 = CH2O2CCMe3) which had an oral ED50 against Escherichia coli in mice 0.11 mg/kg.
- ST triazolylthiomethylcephem prepn bactericide; cephem triazolylthiomethyl prepn bactericide
- IT Bactericides, Disinfectants, and Antiseptics  
(triazolylthiomethylcephems)
- IT 79232-66-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(chlorination of)
- IT 120-78-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of aminothiazolylacetic acid by)
- IT 53064-79-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of cephemcarboxylic acids by)
- IT 65872-41-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of, with dithiobis(benzothiazole))
- IT 86619-94-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of)
- IT 77361-11-6P 80756-85-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of aminocephem by)
- IT 86619-87-6P 86619-95-6P 86619-96-7P 86619-97-8P 86619-98-9P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological



study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and bactericidal activity of)

IT 86619-92-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization of)

IT 86619-86-5P 86619-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification of)

IT 68984-32-7P 86619-93-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with aminocephalosporanic acid)

IT 86619-89-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with bromo(methoxyimino)oxobutyryl chloride)

IT 86619-90-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation and reaction of, with thiourea)

IT 86619-88-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and silylation of)

IT 86631-98-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 21149-56-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with Me oxaloyl chloride)

IT 62-56-6, reactions

RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with bromo(methoxyimino)oxobutyrylaminocephem)

IT 5781-53-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with ethylthiosemicarbazide)

IT 957-68-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with heterocyclicthiols)

IT 553-90-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with methylthiosemicarbazide)

IT 6610-29-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with oxalate)

IT 86619-90-1P

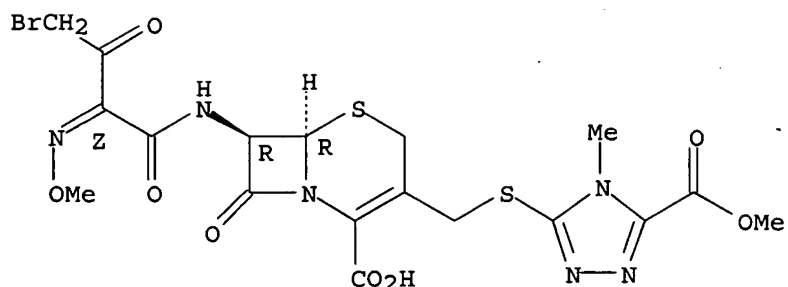
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation and reaction of, with thiourea)

RN 86619-90-1 HCAPLUS

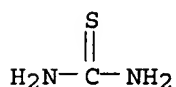
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[5-(methoxycarbonyl)-4-methyl-4H-1,2,4-triazol-3-yl]thio]methyl]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 62-56-6, reactions  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (reaction of, with bromo(methoxyimino)oxobutyrylaminocephem)  
 RN 62-56-6 HCAPLUS  
 CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 22 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1983:470462 HCAPLUS  
 DN 99:70462  
 ED Entered STN: 12 May 1984  
 TI Cephalosporin derivatives, pharmaceutical compositions containing them and their intermediates  
 IN Montavon, Marc; Reiner, Roland  
 PA Hoffmann-La Roche, F., und Co. A.-G., Switz.  
 SO Eur. Pat. Appl., 49 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA German  
 IC C07D501-36; C07D498-04; A61K031-545  
 ICA C07D249-12  
 ICI C07D498-04, C07D265-00, C07D205-00  
 CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 Section cross-reference(s): 1, 63  
 FAN.CNT 1

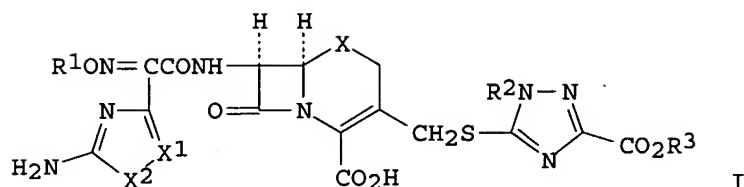
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 75095	A2	19830330	EP 1982-107150	19820807
	EP 75095	A3	19841017		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	DK 8203962	A	19830324	DK 1982-3962	19820903
	ZA 8206815	A	19830727	ZA 1982-6815	19820916
	AU 8288510	A1	19830331	AU 1982-88510	19820917
	JP 58065283	A2	19830418	JP 1982-164160	19820922
PRAI	CH 1981-6138	A	19810923		
	CH 1982-4598	A	19820729		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 75095	IC	C07D501-36IC C07D498-04IC A61K031-545
	ICA	C07D249-12

ICI C07D498-04, C07D265-00, C07D205-00

GI



AB Easily hydrolyzable esters of cephalosporin derivs. I [R1 = H, Me, carboxyalkyl; R2 = alkyl or Ph (un)substituted with CO2H, OH, easily hydrolyzable acyloxy, NMe2; R3 = alkyl, phenyl-C2-4-alkyl, R4-phenylalkyl (R4 = halo, alkyl, alkoxy); X = S, O, SO, SO2; X1 = CH, N; X2 = S, Se] as well as acid addition salts of these esters and hydrates of these esters or salts, useful as antibiotics, were prepared MeO2CCONHNMeCSNH2 was cyclized with NaOMe and the product triazolecarboxylate treated with 7-aminocephalosporanic acid to give the triazolylthiomethyl analog. This analog was silylated and the blocked compound acylated with (Z)-BrCH2COC(:NOH)COCl to give the butyramide which was cyclized with (H2N)2CS and the product thiazole Na salt esterified with Me3CCO2CH2I to give (6R,7R)-(Z)-I (R1 = R2 = R3 = Me, X = X2 = S, X1 = CH) pivaloyloxymethyl ester (II). The oral ED50 of II in mice was 0.07 mg/kg against Escherichia coli whereas cephalixin had 3.2. The LD50 in mice of II after 24 h was >5000 mg/kg; that of cephalixin was 1600-4500 mg/kg.

ST cephalosporin analog antibiotic bactericide prepn;  
 triazolylthiomethylcephem; thiazolylacetamidocephem; cephem  
 triazolylthiomethyl thiazolylacetamido

IT Bactericides, Disinfectants, and Antiseptics  
 ((thiazolylacetamido)(triazolylthiomethyl)cephem derivs.)

IT 37517-81-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation by, of butylthiosemicarbazide)

IT 86694-37-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with (methoxyimino)acetate derivative)

IT 120-78-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with (methoxyimino)acetic acid derivative)

IT 86694-38-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with aminocephalosporanic acid)

IT 957-68-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with thioxotriazolcarboxylate derivs.)

IT 79232-66-9  
 RL: PROC (Process)  
 (conversion of, to acid chloride)

IT 80825-80-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of)

IT 62-56-6, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of, with (bromobutyramido)cephemcarboxylate derivs.)

IT 86694-35-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(cyclization of, with thiourea)

IT 53064-79-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification by, of cephamcarboxylic acid derivs.)

IT 77361-11-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and acylation by, of aminocephemcarboxylate derivs.)

IT 21198-11-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and acylation of, by Me oxaloyl chloride)

IT 86694-23-7P 86694-24-8P 86694-25-9P 86694-27-1P 86694-28-2P  
86694-29-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(preparation and bactericidal activity of)

IT 86694-41-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation of, with (methoxyimino)acetate derivative)

IT 77780-49-5P 86694-43-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation of, with aminocephalosporanic acid)

IT 80756-85-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation of, with aminocephemcarboxylate derivs.)

IT 86694-42-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and cyclization of)

IT 86694-33-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
(preparation and cyclization of, with thiourea)

IT 86694-30-6P 86694-34-0P 86694-36-2P 86694-39-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and esterification of)

IT 86694-31-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and trimethylsilylation of)

IT 86694-32-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and N-acylation of)

IT 78172-35-7P 86694-26-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

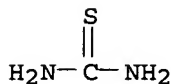
IT 65872-41-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with dithiobisbenzothiazole)

IT 86694-40-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with potassium thiocyanate)

IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclization of, with (bromobutyramido)cephemcarboxylate derivs.)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



IT 86694-35-1

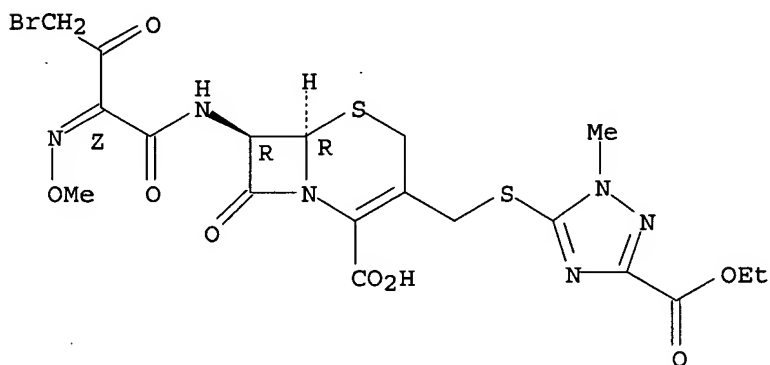
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclization of, with thiourea)

RN 86694-35-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[3-(ethoxycarbonyl)-  
1-methyl-1H-1,2,4-triazol-5-yl]thio]methyl]-8-oxo-, [6R-  
[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 86694-33-9P

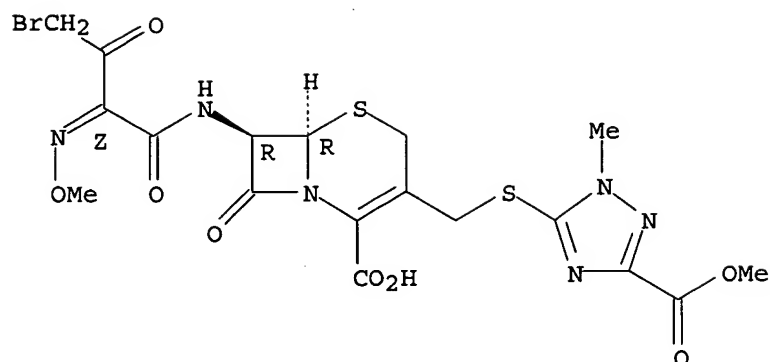
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
(preparation and cyclization of, with thiourea)

RN 86694-33-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[3-(  
ethoxycarbonyl)-1-methyl-1H-1,2,4-triazol-5-yl]thio]methyl]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L44 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1983:34438 HCAPLUS  
 DN 98:34438  
 ED Entered STN: 12 May 1984  
 TI Cephem compounds and pharmaceutical composition containing them  
 IN Takaya, Takao; Takasugi, Hisashi; Yamanaka, Hideaki  
 PA Fujisawa Pharmaceutical Co., Ltd. , Japan  
 SO Eur. Pat. Appl., 144 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 IC C07D501-59; A61K031-545; C07D285-10; C07C131-00  
 CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 Section cross-reference(s): 1

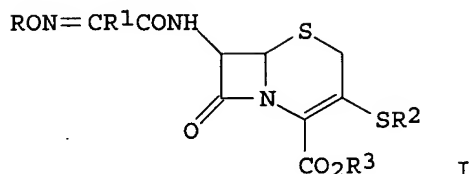
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 57422	A2	19820811	EP 1982-100564	19820128
	EP 57422	A3	19831123		
	EP 57422	B1	19881012		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	ZA 8200537	A	19821229	ZA 1982-537	19820127
	US 4452851	A	19840605	US 1982-343243	19820127
	AU 8279940	A1	19820812	AU 1982-79940	19820128
	EP 191507	A2	19860820	EP 1986-104093	19820128
	EP 191507	A3	19861029		
	EP 191507	B1	19900516		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 37882	E	19881015	AT 1982-100564	19820128
	AT 52766	E	19900615	AT 1986-104093	19820128
	FI 8200295	A	19820803	FI 1982-295	19820129
	JP 57145883	A2	19820909	JP 1982-14247	19820129
	JP 03022393	B4	19910326		
	DK 8200438	A	19820803	DK 1982-438	19820201
	NO 8200292	A	19820803	NO 1982-292	19820201
	ES 509242	A1	19830316	ES 1982-509242	19820201
	HU 27219	O	19831028	HU 1982-292	19820201
	CA 1199320	A1	19860114	CA 1982-395268	19820201
	ES 518227	A1	19840101	ES 1982-518227	19821215
PRAI	GB 1981-3081	A	19810202		
	GB 1981-8884	A	19810320		
	EP 1982-100564	P	19820128		
	EP 1986-104093	A	19820128		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES		
EP 57422	IC	C07D501-59IC	A61K031-545IC	C07D285-10IC
		C07C131-00		
US 4452851	NCL	514/205.000; 514/206.000; 540/215.000; 540/222.000; 540/229.000		

GI



- AB The cephalosporin analogs I [R = (un)esterified carboxyalkyl; R<sup>1</sup> = aminothiazolyl, aminothiadiazolyl, aminopyridyl, furyl, thiazolyl, thiadiazolyl, Ph, naphthyl; R<sup>2</sup> = alkyl; R<sup>3</sup> = H, protective group] were prepared. Thus I (R = CH<sub>2</sub>CO<sub>2</sub>H, R<sup>1</sup> = 2-amino-5-chloro-4-thiazolyl, R<sup>2</sup> = Et, R<sup>3</sup> = H) (II) was obtained by acylating the aminocephem and deblocking. The aminocephem was obtained from benzhydryl 7-phenylacetamido-3-hydroxy-3-cephem-4-carboxylate 1-oxide in 4 steps. I had a min. inhibitory concentration against *Proteus vulgaris* IAM-1025 of 0.10 µg/mL.
- ST carboxyalkoxyiminoacetamidocephem; cephem carboxyalkoxyiminoacetamido; alkylthiocephem; azolylacetamidocephem
- IT Bactericides, Disinfectants, and Antiseptics  
(cephalosporins)
- IT 84080-71-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of)
- IT 68401-68-3 79349-92-1 84080-92-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of aminocephem by)
- IT 68401-68-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of aminocephems by)
- IT 58232-56-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(deacylation of)
- IT 76038-91-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(diazotization and reduction of)
- IT 50893-36-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of cephemcarboxylic acid by)
- IT 84080-74-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(mesylation of)
- IT 84080-71-7P 84080-83-1P 84080-85-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of)
- IT 84080-70-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and acylation of aminocephem by)

IT 84081-14-1P 84081-31-2P 84081-33-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

IT 84080-69-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and chlorination of)

IT 84080-79-5P 84080-80-8P 84080-81-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacylation of)

IT 84080-72-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**

(preparation and deblocking of)

IT 84086-87-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deformylation of)

IT 84086-88-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and esterification of)

IT 84080-68-2P 84080-94-4P 84080-95-5P 84080-96-6P 84080-97-7P  
 84080-98-8P 84081-01-6P 84081-02-7P 84081-17-4P 84081-24-3P  
 84081-25-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

IT 84080-86-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and oxidation of)

IT 84080-87-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with methanethiol)

IT 84080-75-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with thiols)

IT 84080-73-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**

(preparation and reaction of, with thiourea)

IT 84080-76-2P 84080-77-3P 84080-78-4P 84080-88-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reduction of)

IT 84080-99-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification of)

IT 84080-84-2P 84080-89-7P 84080-90-0P 84080-91-1P 84080-92-2P  
 84080-93-3P 84080-95-5P 84081-03-8P 84081-04-9P 84081-05-0P  
 84081-06-1P 84081-07-2P 84081-08-3P 84081-09-4P 84081-10-7P  
 84081-11-8P 84081-12-9P 84081-13-0P 84081-15-2P 84081-16-3P



84081-18-5P	84081-19-6P	84081-20-9P	84081-21-0P	84081-22-1P
84081-23-2P	84081-26-5P	84081-27-6P	84081-28-7P	84081-29-8P
84081-30-1P	84081-32-3P	84081-34-5P	84081-35-6P	84081-36-7P
84081-37-8P	84081-38-9P	84081-39-0P	84081-40-3P	84081-41-4P
84081-42-5P	84081-43-6P	84081-44-7P	84081-45-8P	84081-46-9P
84081-47-0P	84081-48-1P	84081-49-2P	84081-50-5P	84081-51-6P
84081-52-7P	84081-53-8P	84081-54-9P	84081-55-0P	84086-89-5P
84086-90-8P	84086-91-9P	84086-92-0P	84086-93-1P	84086-94-2P
84086-95-3P	84098-34-0P	84098-35-1P		

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 84081-00-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation, esterification, and bactericidal activity of)

IT 79232-64-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with chloroacetate)

IT 62-56-6, reactions 598-52-7

RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with chlorooxobutyramidocephems)

IT 96-34-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with hydroxyiminooxobutyrate)

IT 59779-96-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with methanethiol)

IT 56834-02-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with naphthylglyoxylic acid)

IT 14289-45-3 66872-53-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with tert-butoxycarbonylmethoxyamine)

IT **84080-72-8P**

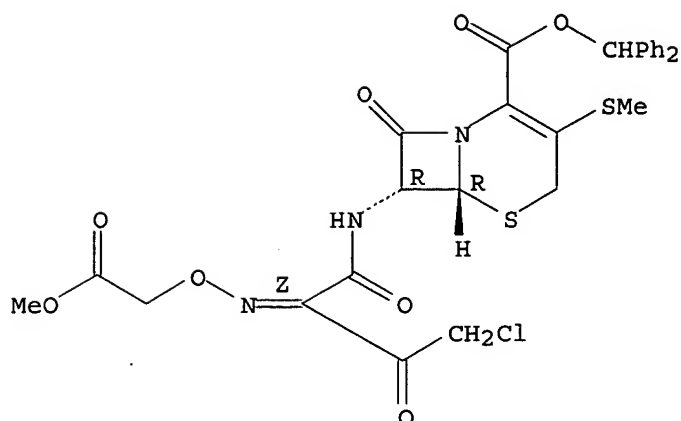
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
(preparation and deblocking of)

RN 84080-72-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[(2-methoxy-2-oxoethoxy)imino]-1,3-dioxobutyl]amino]-3-  
(methylthio)-8-oxo-, diphenylmethyl ester, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 84080-73-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

**RACT (Reactant or reagent)**

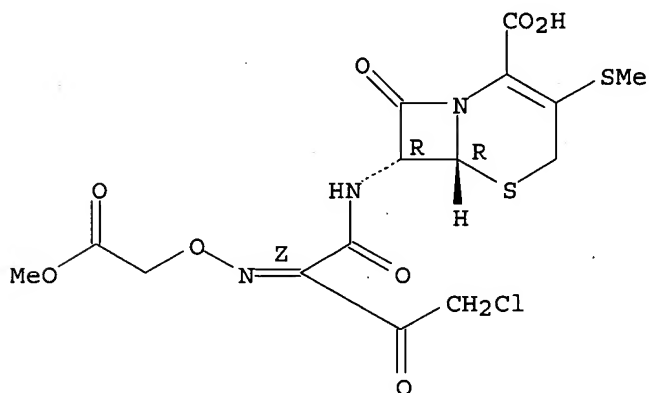
(preparation and reaction of, with thiourea)

RN 84080-73-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[(2-methoxy-2-oxoethoxy)imino]-1,3-dioxobutyl]amino]-3-  
(methylthio)-8-oxo-, .[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



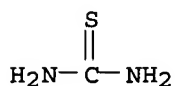
IT 62-56-6, reactions

RL: RCT (Reactant); **RACT (Reactant or reagent)**

(reaction of, with chlorooxobutyramidocephems)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)

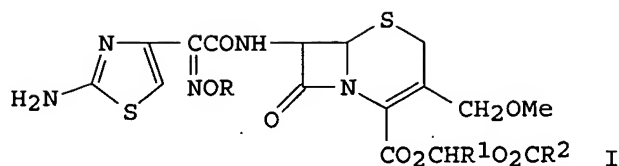


AN 1982:472186 HCAPLUS  
 DN 97:72186  
 ED Entered STN: 12 May 1984  
 TI Cephalosporin derivatives and their compositions  
 IN Nakao, Hideo; Fujimoto, Koichi; Ishihara, Sadao; Sugawara, Shinichi;  
 Igarashi, Isamu  
 PA Sankyo Co., Ltd. , Japan  
 SO Eur. Pat. Appl., 117 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 IC C07D501-34; A61K031-545  
 CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 49118	A2	19820407	EP 1981-304415	19810924
	EP 49118	A3	19830119		
	EP 49118	B1	19860423		
	R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	JP 57059894	A2	19820410	JP 1980-136449	19800930
	JP 57169489	A2	19821019	JP 1981-55231	19810413
	JP 61001074	B4	19860113		
	JP 57206687	A2	19821218	JP 1981-89116	19810610
	JP 01058192	B4	19891211		
	US 4486425	A	19841204	US 1981-304988	19810923
	AT 19403	E	19860515	AT 1981-304415	19810924
	FI 8103038	A	19820331	FI 1981-3038	19810930
	FI 73440	B	19870630		
	FI 73440	C	19871009		
	AU 8175781	A1	19820408	AU 1981-75781	19810930
	AU 547984	B2	19851114		
	ES 505923	A1	19821216	ES 1981-505923	19810930
	CA 1171404	A1	19840724	CA 1981-387048	19810930
	ES 514993	A1	19830501	ES 1982-514993	19820813
	ES 514994	A1	19830501	ES 1982-514994	19820813
	ES 514995	A1	19830601	ES 1982-514995	19820813
	JP 61000063	A2	19860106	JP 1985-102348	19850514
	JP 63038347	B4	19880729		
	US 4716158	A	19871229	US 1986-873114	19860610
PRAI	JP 1980-136449	A	19800930		
	JP 1981-55231	A	19810413		
	JP 1981-89116	A	19810610		
	US 1981-304988	A3	19810923		
	EP 1981-304415	A	19810924		
	US 1983-467786	A1	19830218		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 49118	IC	C07D501-34IC A61K031-545
US 4486425	NCL	514/204.000; 540/228.000; 540/229.000; 540/230.000
US 4716158	NCL	514/202.000; 540/222.000
OS	CASREACT	97:72186
GI		



- AB Acyloxymethyl cephemcarboxylates I (R = Me, Et; R1 = H, Me; R2 = alkyl, alkoxy) were prepared. Thus, Na 3-methoxymethyl-7-phenoxyacetamido-3-cephem-4-carboxylate was esterified and deacylated to give pivaloyloxymethyl 7-amino-3-methoxymethyl-3-cephem-4-carboxylate which was acylated with 2-(2-chloroacetamido-4-thiazolyl)-2-methoxyiminoacetic acid and deblocked to give I (R = Me, R1 = H, R2 = CMe3).
- ST acyloxymethyl cephemcarboxylate; alkoxyiminoacetamidocephemcarboxylate acyloxymethyl
- IT 47620-25-7 82618-95-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of)
- IT 64486-18-6 64987-06-0 78226-27-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of aminocephems by)
- IT 62-56-6, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(deacylation of acylaminothiazolylacetamidocephems by)
- IT 82619-12-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(deformylation of)
- IT 53064-79-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification by, of sodium cephemcarboxylate derivative)
- IT 82618-64-2 82623-41-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of)
- IT 69862-08-4 74416-82-3 77442-80-9 80196-04-9 82619-14-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of cephemcarboxylic acids by)
- IT 82549-43-7P 82618-66-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of)
- IT 82618-76-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of aminocephem by)
- IT 82618-85-7P 82618-86-8P 82623-39-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of aminocephems by)
- IT 82618-75-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and chlorination of)
- IT 82618-65-3P 82618-97-1P 82619-15-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and deacylation of)
- IT 593-56-6P 82619-11-2P 82619-17-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and deformylation of)

IT 82619-04-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification of)

IT 82618-69-7P 82618-74-4P 82618-83-5P 82618-84-6P 82623-36-7P  
82623-38-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of)

IT 82618-73-3P 82618-80-2P 82618-81-3P 82618-82-4P 82618-91-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and methylation of)

IT 41295-64-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with aminocephem)

IT 82618-72-2P 82618-77-7P 82618-78-8P 82618-79-9P 82618-90-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with nitrite)

IT 82618-68-6P **82618-92-6P** 82623-35-6P **82623-40-3P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation and reaction of, with thiourea)

IT 82618-67-5P 82618-71-1P 82618-87-9P 82618-88-0P 82618-89-1P  
82618-93-7P 82618-96-0P 82618-98-2P 82618-99-3P 82619-00-9P  
82619-01-0P 82619-02-1P 82619-03-2P 82619-05-4P 82619-06-5P  
82619-13-4P 82619-16-7P 82623-37-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 674-82-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with chlorine)

IT 7782-50-5, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with diketene)

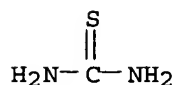
IT 3332-29-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with formamidothiazolylacetamidocephem)

IT 82619-07-6 82619-08-7 82619-09-8 82619-10-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with thiourea)

IT 74530-57-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with tosylate)

IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(deacylation of acylaminothiazolylacetamidocephems by)

RN 62-56-6 HCAPLUS  
CN Thiourea (9CI) (CA INDEX NAME)



IT 82618-92-6P 82623-40-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT (Reactant or reagent)

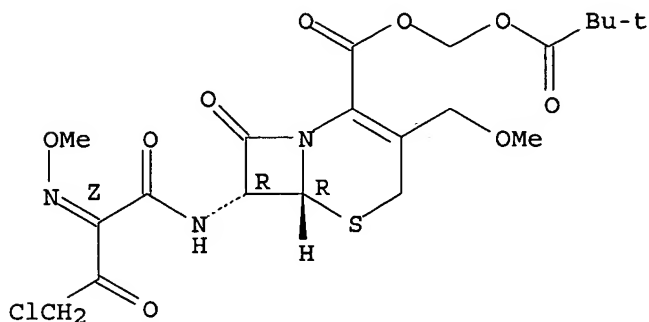
(preparation and reaction of, with thiourea)

RN 82618-92-6 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-(methoxymethyl)-8-  
 oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

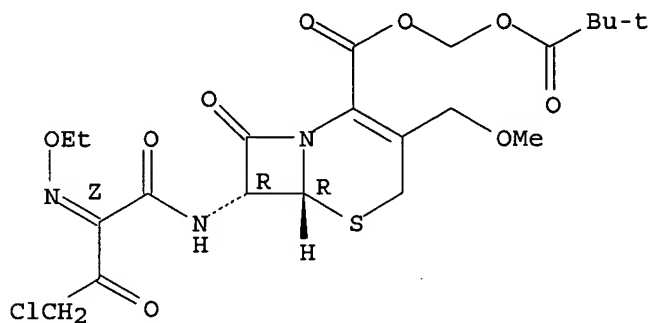


RN 82623-40-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-chloro-2-(ethoxyimino)-1,3-dioxobutyl]amino]-3-(methoxymethyl)-8-oxo-  
 , (2,2-dimethyl-1-oxopropoxy)methyl ester, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L44 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1982:472184 HCAPLUS

DN 97:72184

ED Entered STN: 12 May 1984

TI Cephalosporins and their intermediates

IN Sadaki, Horishi; Narita, Hirokazu; Imaizumi, Hiroyuki; Konishi, Yoshinori;  
 Inaba, Takihiro; Hirakawa, Tatsuo; Taki, Hideo; Tai, Masaru; Watanabe,  
 Yasuo; Saikawa, Isamu

PA Toyama Chemical Co., Ltd. , Japan

SO Ger. Offen., 277 pp.

CODEN: GWXXBX  
 DT Patent  
 LA German  
 IC C07D501-57; C07D501-56; C07D501-38; A61K031-545  
 CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 Section cross-reference(s): 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3137854	A1	19820415	DE 1981-3137854	19810923
	DE 3137854	C2	19870619		
	JP 57058689	A2	19820408	JP 1980-132253	19800925
	JP 62010512	B4	19870306		
	JP 57082393	A2	19820522	JP 1980-158184	19801112
	JP 62010995	B4	19870310		
	JP 57099592	A2	19820621	JP 1980-175263	19801213
	JP 60052755	B4	19851121		
	GB 2089339	A	19820623	GB 1981-28011	19810916
	GB 2089339	B2	19850424		
	CA 1204735	A1	19860520	CA 1981-386066	19810916
	AU 8175438	A1	19820401	AU 1981-75438	19810917
	AU 550330	B2	19860320		
	IN 155375	A	19850119	IN 1981-CA1045	19810919
	IL 63892	A1	19860831	IL 1981-63892	19810920
	IL 74413	A1	19860831	IL 1981-74413	19810920
	IL 74414	A1	19860831	IL 1981-74414	19810920
	IL 74415	A1	19860831	IL 1981-74415	19810920
	IL 76348	A1	19860831	IL 1981-76348	19810920
	ZA 8106576	A	19820929	ZA 1981-6576	19810922
	NL 8104386	A	19820416	NL 1981-4386	19810923
	US 4489072	A	19841218	US 1981-304912	19810923
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	PL 135611	B1	19851130	PL 1981-238230	19810923
	PL 137674	B1	19860731	PL 1981-238228	19810923
	DE 3152931	C2	19891005	DE 1981-3152931	19810923
	DE 3152934	C2	19900118	DE 1981-3152934	19810923
	DE 3152932	C2	19900208	DE 1981-3152932	19810923
	DE 3152935	C2	19920716	DE 1981-3152935	19810923
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	FI 75575	C	19880711		
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	DK 163877	C	19920914		
	SE 8105658	A	19820505	SE 1981-5658	19810924
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	ES 505760	A1	19830101	ES 1981-505760	19810924
	HU 27162	O	19831028	HU 1981-2759	19810924
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	AT 8104109	A	19831115	AT 1981-4109	19810924
	AT 375082	B	19840625		
	HU 30035	O	19840228	HU 1983-1798	19810924
	HU 188021	B	19860328		
	CS 236471	B2	19850515	CS 1981-7055	19810924
	SU 1190987	A3	19851107	SU 1981-3340952	19810924
	BE 890499	A1	19820325	BE 1981-206067	19810925

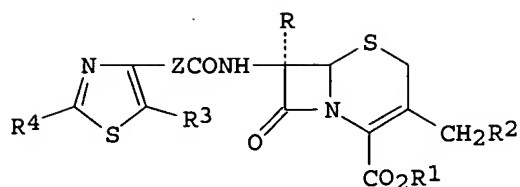
FR 2509310	A1	19830114	FR 1981-18156	19810925
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RO 82689	P	19831101	RO 1981-105405	19810925
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RO 86823	B3	19850520	RO 1981-112111	19810925
RO 86824	B3	19850520	RO 1981-112112	19810925
RO 86825	B3	19850520	RO 1981-112113	19810925
ES 514669	A1	19830501	ES 1982-514669	19820802
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CS 236491	B2	19850515	CS 1982-7529	19821022
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CS 236493	B2	19850515	CS 1982-7531	19821022
SU 1249017	A1	19860807	SU 1982-3529508	19821209
SU 1274625	A3	19861130	SU 1982-3523100	19821209
SU 1308198	A3	19870430	SU 1982-3520352	19821209
SU 1318144	A3	19870615	SU 1982-3521951	19821209
SU 1418329	A1	19880823	SU 1982-3520351	19821209
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AT 378193	B	19850625		
AT 8300554	A	19850315	AT 1983-554	19830218
AT 378961	B	19851025		
AT 8300555	A	19850315	AT 1983-555	19830218
AT 378962	B	19851025		
SU 1350166	A1	19871107	SU 1983-3635254	19830824
CA 1200541	A2	19860211	CA 1983-435930	19830901
GB 2135304	A1	19840830	GB 1984-2249	19840127
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GB 2136420	A1	19840919	GB 1984-2250	19840127
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IN 158589	A	19861213	IN 1984-CA601	19840829
IN 158590	A	19861213	IN 1984-CA602	19840829
IN 159126	A	19870328	IN 1984-CA603	19840829
US 4673738	A	19870616	US 1984-654681	19840926
AU 8549860	A1	19860320	AU 1985-49860	19851113
AU 558586	B2	19870205		
AU 8549861	A1	19860320	AU 1985-49861	19851113
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AU 8549862	A1	19860424	AU 1985-49862	19851113
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SE 468478	B	19930125		
SE 468478	C	19930519		
SE 8600193	A	19860116	SE 1986-193	19860116
SE 468479	B	19930125		
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SE 8600194	A	19860116	SE 1986-194	19860116
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SE 468476	C	19930519		



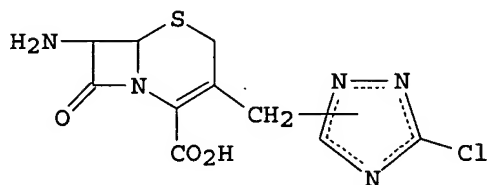
SE 8600195	A	19860116	SE 1986-195	19860116
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SE 468477	C	19930519		
FI 8700153	A	19870115	FI 1987-153	19870115
FI 80041	B	19891229		
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US 4879381	A	19891107	US 1987-22433	19870306
US 5144027	A	19920901	US 1991-707221	19910524
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JP 1980-158184	A	19801112		
JP 1980-175263	A	19801213		
CA 1981-386066	A3	19810916		
GB 1981-28011	A3	19810916		
IN 1981-CA1045	A1	19810919		
IL 1981-63892	A	19810920		
US 1981-304912	A3	19810923		
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CS 1981-7055	A3	19810924		
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US 1984-654681	A3	19840926		
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## CLASS

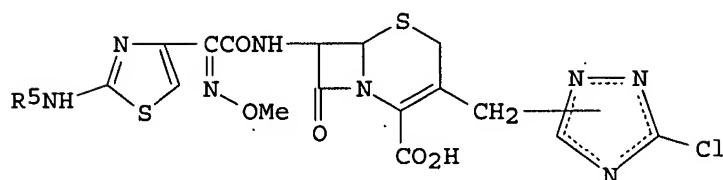
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 3137854	IC	C07D501-57IC C07D501-56IC C07D501-38IC A61K031-545
US 4489072	NCL	514/201.000; 514/202.000; 540/020.000; 540/215.000; 540/221.000; 540/222.000; 540/225.000; 540/227.000; 540/230.000
US 4673738	NCL	540/222.000; 540/221.000; 540/226.000; 540/227.000
US 4879381	NCL	540/222.000
US 5144027	NCL	540/222.000
OS CASREACT 97:72184		
GI		



I



II



III

- AB Cephalosporin analogs I [R = H, alkoxy; R1 = H, carboxy protective group; R2 = (un)substituted aryl, acylamino, aromatic C-bonded heterocyclyl, N-bonded triazolyl, tetrazolyl; R3 = H, halo; R4 = H, NH2 optionally protected or substituted; Z = CH2, C(:NOR5) (R5 = H, alkyl)], useful as antibiotics stable to lactamase-producing bacteria and having low toxicity, were prepared Extensive antibacterial activity data for 14 compds. were tabulated. Thus, treating 7-aminocephalosporanic acid with 3-chloro-1,2,4-triazole in sulfolane containing BF3.Et2O gave triazolylmethylcephem II which in CH2Cl2 was trimethylsilylated and N-acylated with 2-(2-tert-amylloxycarboxamido-4-thiazolyl)-2-syn-methoxyiminoacetic acid and POCl3 in DMF to give 91.8% III (R5 = EtCMe2O2C). This was hydrolyzed with F3CCO2H to give III (R5 = H). F3CCO2H, which had min. inhibitory concentration of 0.39 µg/mL against Escherichia coli vs. 25 for cephalosporin.
- ST cephalosporin analog lactamase resistant prepn; cephem thiazolylacetamido lactamase resistant prepn; thiazolylacetamidocephem lactamase resistant prepn; bactericide thiazolylacetamidocephem
- IT 71754-07-9 75689-09-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation by, of aminocephemcarboxylate derivative)
- IT 66341-07-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation by, of aminocephemcarboxylic acid derivative)
- IT 80717-75-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of, by thiazolylacetyl chloride derivative)
- IT 82567-54-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of, with chlorobutyl chloride derivative)
- IT 90-02-8, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reaction of, with aminocephemcarboxylic acid derivative)
- IT 73151-03-8 73181-66-5 82557-00-4  
RL: PROC (Process)

(conversion of, to acid chloride)

IT 103-85-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of, with (bromobutyramido)cephemcarboxylate derivative,  
 (thiazolylacetamido)cephemcarboxylate derivative by)

IT 62-56-6, reactions 115-08-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of, with (chlorobutyramido)cephemcarboxylic acid derivative,  
 (thiazolylacetamido)cephemcarboxylic acid derivative by)

IT 883-40-9 53064-79-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (esterification by, of cephemcarboxylic acid derivs.)

IT 82566-76-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (esterification of)

IT 674-82-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (halogenation of)

IT 82572-12-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and acylation and esterification of)

IT 41295-64-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and acylation by, of aminocephemcarboxylic acid derivative)

IT 82548-15-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and acylation of)

IT 82549-90-4P 82549-92-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and alkalization of)

IT 82550-08-1P 82550-12-7P 82556-50-1P 82556-51-2P 82556-54-5P  
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 82597-85-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (preparation and antibacterial activity of)

IT 82556-49-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (preparation and bactericidal activity of)

IT 82547-44-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and cyclization of, with phenylthiourea or oximation of)

IT 82547-55-5P 82567-60-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (preparation and cyclization of, with thiourea)

IT 82549-96-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and cyclization of, with thiourea or thioformamide,  
 (thiazolylacetamido)cephemcarboxylic acid derivative by)

IT 82548-05-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)  
 (preparation and cyclization of, with thiourea,  
 (thiazolylacetamido)cephemcarboxylate derivative by)

IT 80717-83-5P 80717-84-6P 80717-85-7P 82549-52-8P 82549-55-1P  
 82549-56-2P 82549-57-3P 82549-59-5P 82549-61-9P 82549-63-1P  
 82549-64-2P 82549-66-4P 82549-67-5P 82549-68-6P 82549-69-7P  
 82567-08-6P 82567-12-2P 82567-14-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and esterification of)

IT 80717-96-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and esterification of, with diphenyldiazomethane)

IT 82549-95-9P 82567-82-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and hydrolysis and esterification of)

IT 82547-54-4P 82548-06-9P 82548-09-2P 82548-10-5P 82548-11-6P  
 82548-13-8P 82549-54-0P 82549-70-0P 82549-71-1P 82549-72-2P  
 82549-73-3P 82549-75-5P 82549-76-6P 82549-77-7P 82549-78-8P  
 82549-79-9P 82549-80-2P 82549-81-3P 82549-82-4P 82549-88-0P  
 82556-44-3P 82556-45-4P 82556-48-7P 82556-95-4P 82567-28-0P  
 82567-34-8P 82567-36-0P 82567-62-2P 82567-86-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and hydrolysis of)

IT 82567-84-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and methoxylation of)

IT 82548-04-7P 82567-20-2P 82567-56-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oximation of)

IT 82548-08-1P 82567-16-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, with methoxyamine)

IT 82549-51-7P 82549-53-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reactions of)

IT 82567-18-8P 82567-58-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and O-methylation of)

IT 82556-94-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and O-methylation or bromination of)

IT 29126-08-7P 65872-41-5P 80717-76-6P 80717-77-7P 80717-78-8P  
 80717-79-9P 80717-80-2P 80717-81-3P 80717-82-4P 80717-86-8P  
 80717-87-9P 80717-88-0P 80717-89-1P 80717-90-4P 80717-91-5P  
 80717-92-6P 80717-93-7P 80717-94-8P 80717-95-9P 80717-97-1P  
 80734-13-0P 82547-46-4P 82547-47-5P 82547-48-6P 82547-49-7P  
 82547-50-0P 82547-51-1P 82547-52-2P 82547-53-3P 82547-57-7P  
 82547-58-8P 82547-59-9P 82547-60-2P 82547-61-3P 82547-62-4P  
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 82547-68-0P 82547-69-1P 82547-71-5P 82547-73-7P 82547-75-9P

82547-77-1P	82547-79-3P	82547-80-6P	82547-81-7P	82547-82-8P
82547-83-9P	82547-84-0P	82547-85-1P	82547-86-2P	82547-87-3P
82547-88-4P	82547-89-5P	82547-90-8P	82547-91-9P	82547-92-0P
82547-93-1P	82547-94-2P	82547-95-3P	82547-96-4P	82547-97-5P
82547-98-6P	82547-99-7P	82548-00-3P	82548-01-4P	82548-02-5P
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82556-73-8P	82556-75-0P	82556-77-2P	82556-79-4P	82556-81-8P
82556-83-0P	82556-85-2P	82556-87-4P	82556-88-5P	82556-89-6P
82556-90-9P	82556-91-0P	82556-92-1P	82556-93-2P	82556-97-6P
82556-99-8P	82566-78-7P	82566-81-2P	82566-84-5P	82566-87-8P
82566-90-3P	82566-93-6P	82566-96-9P	82566-98-1P	82567-00-8P
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82567-24-6P	82567-26-8P	82567-30-4P	82567-32-6P	82567-38-2P
82567-40-6P	82567-43-9P	82567-45-1P	82567-48-4P	82567-50-8P
82567-52-0P	82567-54-2P	82567-65-5P	82567-68-8P	82567-71-3P
82567-74-6P	82567-76-8P	82567-78-0P	82567-80-4P	82567-88-2P
82571-62-8P	82597-84-0P	82597-86-2P		

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 82597-87-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation, alkalization of, and antibacterial activity of)

IT 75-05-8, reactions 100-47-0, reactions 104-85-8 105-56-6 107-13-1,  
reactions 107-14-2 109-74-0 140-29-4 288-88-0 288-94-8  
372-09-8 617-90-3 619-65-8 707-94-8 767-00-0 874-90-8  
1003-31-2 2141-62-0 4076-36-2 4418-61-5 5295-23-8 6158-77-6  
6818-99-1 7170-01-6 7411-18-9 13616-37-0 13714-86-8 14677-11-3  
15119-34-3 16681-70-2 16687-59-5 18039-42-4 18936-17-9  
24526-69-0 29515-99-9 42371-37-9 55406-13-8 55408-10-1  
64922-04-9 82549-49-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with aminocephalosporanic acid)

IT 82549-87-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with aminocephemcarboxylate)

IT 593-56-6

RL: RCT (Reactant); RACT (Reactant or reagent).  
(reaction of, with glyoxylamidocephemcarboxylate derivs.)

IT 957-68-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with nitriles or heterocycles)

IT 27266-54-2 27266-61-1 57457-59-7 59610-59-2

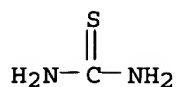
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with triazole)

IT 62-56-6, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with (chlorobutyramido)cephemcarboxylic acid derivative,  
(thiazolylacetamido)cephemcarboxylic acid derivative by)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



IT 82567-60-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

**RACT (Reactant or reagent)**

(preparation and cyclization of, with thiourea)

RN 82567-60-0 HCAPLUS

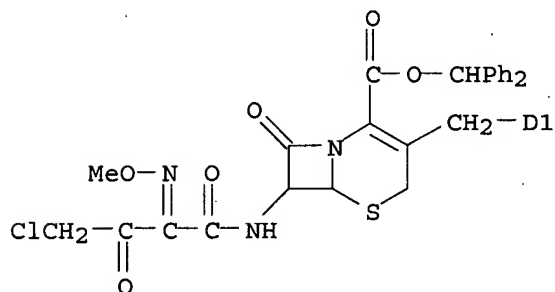
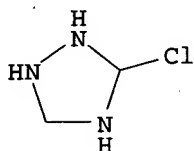
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[(3-chloro-1,2,4-  
 triazolyl)methyl]-8-oxo-, diphenylmethyl ester, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]-  
 (9CI) (CA INDEX NAME)

CM 1

CRN 82567-59-7

CMF C28 H28 Cl2 N6 O6 S

CCI IDS



L44 ANSWER 26 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1981:497816 HCAPLUS

DN 95:97816

ED Entered STN: 12 May 1984

TI Novel 3-thiovinyl-cephalosporins, their preparation and compositions containing them

IN Farge, Daniel; Moutonnier, Claude; Le Roy, Pierre; Peyronel, Jean Francois

PA Rhone-Poulenc Industries S. A., Fr.

SO Brit. UK Pat. Appl., 106 pp.

CODEN: BAXXDU

DT Patent

LA English

IC C07D501-24

CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))

FAN.CNT 5

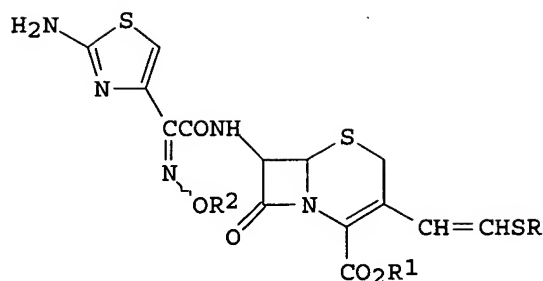
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2051788	A	19810121	GB 1980-16728	19800521
	GB 2051788	B2	19840118		
	FR 2474504	A1	19810731	FR 1979-13095	19790523
	FR 2474504	B1	19830311		
	FR 2469415	A2	19810522	FR 1979-27687	19791109
	FR 2469415	B2	19830429		
	FR 2474035	A2	19810724	FR 1980-978	19800117
	FR 2474035	B2	19830429		
	FR 2475545	A1	19810814	FR 1980-3057	19800212
	FR 2475545	B1	19830930		
	BE 883415	A1	19801121	BE 1980-200706	19800521
	DK 8002221	A	19801124	DK 1980-2221	19800521
	FI 8001640	A	19801124	FI 1980-1640	19800521
	NO 8001501	A	19801124	NO 1980-1501	19800521
	SE 8003820	A	19801124	SE 1980-3820	19800521
	ES 491686	A1	19801216	ES 1980-491686	19800521
	DE 3019400	A1	19810423	DE 1980-3019400	19800521
	US 4307116	A	19811222	US 1980-152115	19800521
	CA 1145744	A1	19830503	CA 1980-352357	19800521
	PL 127301	B1	19831031	PL 1980-230379	19800521
	HU 30046	O	19840228	HU 1980-1277	19800521
	HU 184771	B	19841029		
	CH 645117	A	19840914	CH 1980-3988	19800521
	IL 60138	A1	19840430	IL 1980-60138	19800522
	JP 55154980	A2	19801202	JP 1980-68008	19800523
	JP 62017592	B4	19870418		
	SU 1098522	A3	19840615	SU 1980-2991491	19801015
	ES 496194	A1	19811001	ES 1980-496194	19801023
	ES 496196	A1	19811001	ES 1980-496196	19801023
	AT 8105421	A	19830915	AT 1981-5421	19811217
	AT 374480	B	19840425		
	AT 8105422	A	19830915	AT 1981-5422	19811217
	AT 374481	B	19840425		
	AT 8105423	A	19830915	AT 1981-5423	19811217
	AT 374482	B	19840425		
PRAI	FR 1979-13095	A	19790523		
	FR 1979-27687	A	19791109		
	FR 1980-978	A	19800117		
	FR 1980-3057	A	19800212		
	AT 1980-2708	A	19800521		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
GB 2051788	IC	C07D501-24
US 4307116	NCL	514/206.000; 514/203.000; 514/204.000; 540/225.000; 540/227.000

OS CASREACT 95:97816

GI



- AB The title compds. I [R = alkyl, L-2-amino-2-carboxyethyl, Ph, pyridyl, N-oxidopyridyl, 2-pyrimidinyl, substituted 3-pyridazinyl, 4-substituted 5,6-dioxo-1,4,5,6-tetrahydro-1,2,4-triazin-3-yl, 1,3,4-triazol-5-yl, 1-substituted 2-alkoxycarbonyl-1,3,4-triazol-5-yl, 1-alkyl-5,6-dioxo-1,4,5,6-tetrahydro-1,2,4-triazin-3-yl, 2-alkyl-5,6-dioxo-1,2,5,6-tetrahydro-1,2,4-triazin-3-yl, optionally substituted (o.s.) 5-triazolyl, o.s. 1,3,4-thiadiazol-5-yl, o.s. oxazol-2-yl, o.s. tetrazol-5-yl; R1 = H, CHR3O2CR4 (R3 = H, alkyl; R4 = alkyl, cyclohexyl); R2 = H, alkyl, CH:CH2, CH2CN], useful as bactericides, were prepared Thus, syn-2-benzhydryloxycarbonyl-7-[2-methoxyimino-2-(2-tritylaminothiazol-4-yl)acetamido]-8-oxo-5-oxide-3-(2-tolylsulfonyloxyvinyl)-5-thia-1-azabicyclo[4.2.0]oct-2-ene (II) was sequentially condensed with MeSH [DMF-EtN(CHMe2)2, 40°, 5 h], reduced (PCl3/AcNMe2-CH2Cl2, -10°, 30 min) and deprotected (aqueous HCO2H, 50°, 15 min) to give syn-I (R = R2 = Me, R1 = H). II was prepared in 4 steps from syn-2-(2-tritylaminothiazol-4-yl)-2-methoxyiminoacetic anhydride and 7-amino-2-benzhydryloxycarbonyl-3-methyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene. The LD50 of I are .apprx.1.5->2.5 g/kg in mice (s.c.). Compns. containing I are described.
- ST thiovinylcephalosporin bactericide; cephalosporin thiovinyl bactericide
- IT Bactericides, Disinfectants and Antiseptics  
(thiovinylcephalosporins as)
- IT 36239-09-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation by, of cephalosporin derivative)
- IT 69883-01-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation by, of cephalosporin derivative)
- IT 35609-70-2 77359-55-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of)
- IT 35609-70-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of, by cephalosporin derivative)
- IT 21198-09-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amidation of)
- IT 5815-08-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(aminolysis by, of cephalosporin derivative)
- IT 64485-90-1 77361-11-6 77780-20-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(arylation by, of cephalosporin derivative)
- IT 77360-08-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reaction of, with (methoxyimino)(tritylaminothiazolyl)ace



tic acid)

IT 13733-17-0 63612-41-9 75052-04-9 77780-36-0 77792-60-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation reaction of, with hydrazine)

IT 75-07-0, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation reaction of, with thiosemicarbazide)

IT 302-01-2, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation reactions of, with thiocarbamates and isothiocyanates)

IT 156-57-0 593-56-6 4530-20-5 64485-90-1 68672-55-9 68786-47-0  
 69883-01-8 77361-11-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (coupling reaction of, with cephalosporin derivative)

IT 77361-29-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (coupling reaction of, with methoxyimino(tritylaminothiazolyl)acetic acid)

IT 24066-82-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation reaction of, with Et hydrazinooxalate)

IT 35196-48-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation reaction of, with Et isothiocyanoacetate)

IT 6926-55-2 6938-68-7 13431-41-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation reaction of, with di-Et oxalate)

IT 95-92-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation reaction of, with thiosemicarbazides)

IT 77361-32-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (hydrazinolysis of)

IT 66340-86-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (hydrolysis of)

IT 77361-03-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and acetolysis)

IT 78517-33-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and acidification of)

IT 77360-08-8P 77657-35-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and acylation of)

IT 77359-58-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and aminolysis of)

IT 77361-13-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and carbamylation of)

IT 77361-12-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and chlorination of)

IT 77360-00-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and condensation of, with (tritylaminothiazolyl)trityloxyimino

cetic acid)  
IT 77361-31-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation of, with hydrazine)  
IT 77361-27-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and coupling of, with butoxycarbonylglycine)  
IT 77360-20-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and coupling of, with methoxyimino(tritylaminothiazolyl)acetic  
acid)  
IT 77360-99-7P 77780-60-0P 77780-61-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and coupling reaction of, with cephalosporin derivative)  
IT 77361-07-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and coupling reaction of, with heterocyclic compds.)  
IT 77360-00-0P 77780-54-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and coupling reaction of, with methoxyimino(tritylaminothiazoly  
l)acetic acid)  
IT 57930-22-0P 77360-54-4P 77360-57-7P 77360-76-0P 77360-85-1P  
77361-30-9P 77780-34-8P 77792-32-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and cyclocondensation reaction of, with di-Et oxalate)  
IT 77359-99-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and deprotection of)  
IT 77400-94-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and formylation of)  
IT 77361-00-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and hydrolysis)  
IT 77359-57-0P 77359-75-2P 77359-89-8P 77359-93-4P 77359-96-7P  
77360-02-2P 77360-06-6P 77360-12-4P 77360-13-5P 77360-24-8P  
77360-33-9P 77360-39-5P 77360-42-0P 77360-44-2P 77360-51-1P  
77360-56-6P 77360-59-9P 77360-63-5P 77360-68-0P 77360-71-5P  
77360-81-7P 77360-90-8P 77360-97-5P 77361-05-8P 77361-09-2P  
77361-14-9P 77361-17-2P 77361-19-4P 77361-22-9P 77361-26-3P  
77361-33-2P 77400-86-3P 77400-88-5P 77400-89-6P 77400-93-2P  
77657-37-5P 77657-53-5P 77780-22-4P 77780-24-6P 77780-25-7P  
77780-27-9P 77780-29-1P 77780-31-5P 77780-33-7P 77780-38-2P  
77780-44-0P 77780-46-2P 77780-56-4P 77780-57-5P 77780-59-7P  
77780-63-3P 77780-64-4P 77780-65-5P 77792-62-2P 77792-63-3P  
77792-64-4P 77792-66-6P 77792-69-9P 77792-71-3P 77792-74-6P  
77792-76-8P 77792-79-1P 77792-80-4P 77849-02-6P 77862-76-1P  
77883-56-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrolysis of)  
IT 77792-81-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and methoxycarbonylation of)

IT 77359-89-8P 77360-93-1P 77360-94-2P 77657-33-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and oxidation of)

IT 77359-68-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with bis(dimethylamino)ethoxymethane)

IT 77361-04-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with bromine and diketene)

IT 77359-76-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with butoxybis(dimethylamino)methane)

IT 58909-12-9P 58909-39-0P 77360-49-7P 77360-58-8P 77360-65-7P  
77360-69-1P 77360-75-9P 77360-92-0P 77657-50-2P 77657-51-3P  
77780-35-9P 77780-39-3P 77780-43-9P 77780-45-1P 77849-05-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with cephalosporin derivative)

IT 77359-59-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with diphenyldiazomethane)

IT 21149-56-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with ethoxalyl chloride)

IT 77361-02-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with sulfur-containing compds.)

IT 77359-92-3P 77359-94-5P 77360-10-2P 77360-16-8P 77360-18-0P  
77400-92-1P 77657-34-2P **77657-36-4P** 77780-41-7P  
77780-68-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation and reaction of, with sulfur-containing compds.)

IT 2302-95-6P 77359-95-6P 77359-99-0P 77360-01-1P 77360-05-5P  
77360-10-2P 77360-18-0P 77360-22-6P 77360-31-7P 77360-37-3P  
77360-46-4P 77360-47-5P 77360-50-0P 77360-55-5P 77360-62-4P  
77360-67-9P 77360-70-4P 77360-73-7P 77360-89-5P 77360-96-4P  
77361-16-1P 77361-21-8P 77361-25-2P 77361-28-5P 77361-55-8P  
77400-90-9P 77657-52-4P 77780-21-3P 77780-23-5P 77780-26-8P  
77780-28-0P 77780-30-4P 77780-32-6P 77780-37-1P 77780-48-4P  
77780-52-0P 77780-55-3P 77780-58-6P 77792-59-7P 77792-61-1P  
77792-65-5P 77792-67-7P 77792-68-8P 77792-70-2P 77792-73-5P  
77792-75-7P 77792-78-0P 77849-04-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reduction of)

IT 77360-77-1P 77360-79-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and sodium salt formation of)

IT 15231-41-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and thiocarbamation of)

IT 77359-74-1P 78517-36-9P 78549-05-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and tolylsulfonylation of)

IT 77359-90-1P 77359-91-2P 77360-09-9P 77360-11-3P 77360-25-9P  
77360-28-2P 77360-32-8P 77360-34-0P 77360-36-2P 77360-40-8P  
77360-41-9P 77360-53-3P 77361-58-1P 77448-12-5P 77448-13-6P  
77448-14-7P 77657-38-6P 77657-39-7P 77657-43-3P 77780-49-5P  
77780-53-1P 77833-80-8P 78517-34-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 77359-97-8P 77360-04-4P 77360-07-7P 77360-14-6P 77360-17-9P  
77360-26-0P 77360-35-1P 77360-43-1P 77360-45-3P 77360-48-6P  
77360-52-2P 77360-60-2P 77360-64-6P 77360-72-6P 77360-74-8P  
77360-82-8P 77360-83-9P 77360-91-9P 77360-98-6P 77361-01-4P  
77361-15-0P 77361-18-3P 77361-20-7P 77361-23-0P 77361-34-3P  
77361-37-6P 77361-38-7P 77361-39-8P 77361-40-1P 77361-41-2P  
77361-42-3P 77361-43-4P 77361-44-5P 77361-45-6P 77361-46-7P  
77361-48-9P 77361-49-0P 77361-50-3P 77361-52-5P 77361-53-6P  
77361-56-9P 77361-57-0P 77361-59-2P 77361-60-5P 77361-61-6P  
77361-64-9P 77361-65-0P 77361-66-1P 77361-94-5P 77400-02-3P  
77400-87-4P 77400-95-4P 77400-96-5P 77657-49-9P 77657-54-6P  
77657-58-0P 77657-59-1P 77780-40-6P 77780-67-7P 77792-72-4P  
77792-77-9P 77843-25-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as bactericide)

IT 78529-96-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation, tolylsulfonylation, and oxidation of)

IT 62-56-6, reactions 108-98-5, reactions 883-40-9 1121-31-9  
1450-85-7 2637-34-5 3004-42-0 5815-07-6 5815-08-7 13016-17-6  
13183-79-4 20887-95-0 21094-62-2 21094-65-5 29490-19-5  
34619-03-9 36988-21-3 52083-93-9 54567-55-4 56610-81-2  
58908-99-9 58909-02-7 58909-39-0 61607-68-9 77780-50-8  
77780-51-9 77780-69-9 77780-70-2 77780-71-3 78517-35-8  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with cephalosporin derivative)

IT 22252-43-3  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with di-Bu carbonate)

IT 26628-22-8  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with dimethoxyethyl isothiocyanate)

IT 73555-88-1  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with ethylthiosemicarbazide)

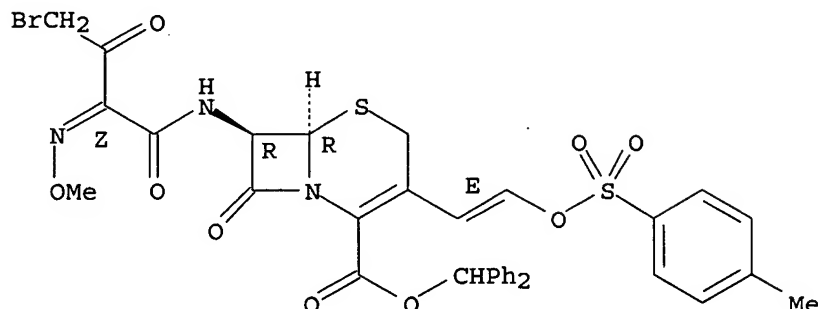
IT 77359-92-3 77359-99-0  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(tolylsulfonylation of)

IT 77657-36-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
(preparation and reaction of, with sulfur-containing compds.)

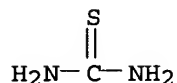
RN 77657-36-4 HCAPLUS  
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[[4-  
methylphenyl)sulfonyl]oxy]ethenyl]-8-oxo-, diphenylmethyl ester,

[6R-[3(E),6 $\alpha$ ,7 $\beta$ (Z)]] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(reaction of, with cephalosporin derivative)  
RN 62-56-6 HCAPLUS  
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 27 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 1982:162434 HCAPLUS  
DN 96:162434  
ED Entered STN: 12 May 1984  
TI 3-Thiovinylcephalosporins  
IN Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude; Peyronnel, Jean  
Francois  
PA Rhone-Poulenc Industries S. A., Fr.  
SO Fr. Demande, 31 pp. Addn. to Fr. Appl.No. 79 13095.  
CODEN: FRXXBL  
DT Patent  
LA French  
IC C07D501-24  
ICA A61K031-545  
CC 26-5 (Biomolecules and Their Synthetic Analogs)  
FAN.CNT 5

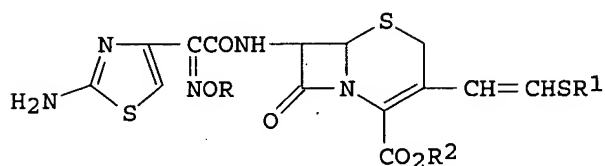
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2482600	A2	19811120	FR 1980-10707	19800513
	FR 2482600	B2	19830429		
	FR 2474504	A1	19810731	FR 1979-13095	19790523
	FR 2474504	B1	19830311		
	AU 8058596	A1	19801127	AU 1980-58596	19800521
	AU 534807	B2	19840216		
	ZA 8003037	A	19810527	ZA 1980-3037	19800521
	SU 1037842	A3	19830823	SU 1980-2984450	19800925
	AT 8105421	A	19830915	AT 1981-5421	19811217
	AT 374480	B	19840425		
	AT 8105423	A	19830915	AT 1981-5423	19811217

AT 374482	B	19840425
PRAI FR 1979-13095	A	19790523
FR 1979-27687	A	19791109
FR 1980-978	A	19800117
AT 1980-2708	A	19800521

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
FR 2482600	IC	C07D501-24
	ICA	A61K031-545

GI



AB Cephalosporins I [R = H, alkyl; R1 = alkyl, CH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H, Ph, (un)substituted thiadiazolyl, triazolyl, tetrazolyl, pyridyl, 3-pyridazinyl, dioxotetrahydrotriazinyl; R<sub>2</sub> = H, ester group] were prepared Thus I (R = R<sub>2</sub> = H, R<sub>1</sub> = 2-methyl-1,3,4-thiadiazol-5-yl) was prepared by treating the 7-aminocephem with diketene and Br, followed by reaction with NaNO<sub>2</sub> and thiourea, and deblocking.

ST thiovinylcephem; cephem thiovinyl

IT 674-82-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(bromination and reaction of, with aminocephem)

IT 77361-12-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and bromination of)

IT 77361-05-8P 77361-09-2P 77400-93-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and deblocking of)

IT 77361-00-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrogenolysis of)

IT 66340-86-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of)

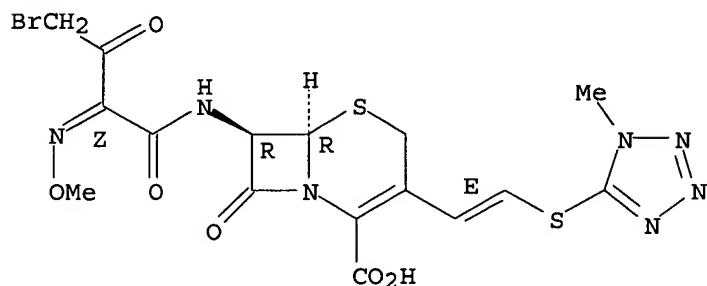
IT 77361-11-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with aminocephem)

IT 77361-07-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with bromo(methoxyimino)oxobutyryl chloride)

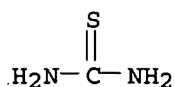
IT 77361-03-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with nitrite)

- IT 77361-02-5P **77361-06-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (preparation and reaction of, with thiourea)
- IT 77360-18-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reduction of)
- IT 77360-04-4P 77360-48-6P 77360-52-2P 77360-82-8P 77361-01-4P  
 77361-18-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)
- IT **62-56-6**, reactions  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (reaction of, with bromo(hydroxyimino)oxobutyramidocephem)
- IT 77361-04-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with diketene and bromine)
- IT 77359-92-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with methylthiadiazolinethione)
- IT 29490-19-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with tosyloxyvinylcephem)
- IT 77359-99-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reduction of)
- IT **77361-06-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (preparation and reaction of, with thiourea)
- RN 77361-06-9 HCAPLUS  
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[(1-methyl-1H-  
 tetrazol-5-yl)thio]ethenyl]-8-oxo-, [6R-[3(E),6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



- IT **62-56-6**, reactions  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (reaction of, with bromo(hydroxyimino)oxobutyramidocephem)
- RN 62-56-6 HCAPLUS  
 CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 28 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1981:569226 HCAPLUS  
 DN 95:169226  
 ED Entered STN: 12 May 1984  
 TI Cephalosporin derivatives and their intermediates  
 IN Montavon, Marc; Reiner, Roland  
 PA Hoffmann-La Roche, F., und Co. A.-G., Switz.  
 SO Eur. Pat. Appl., 24 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA German  
 IC C07D501-36; C07D501-04; C07C131-00  
 CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))  
 FAN.CNT 1

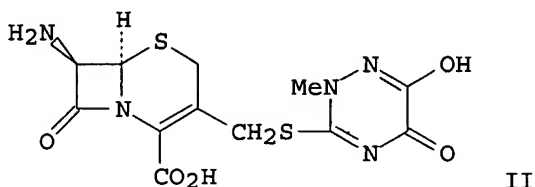
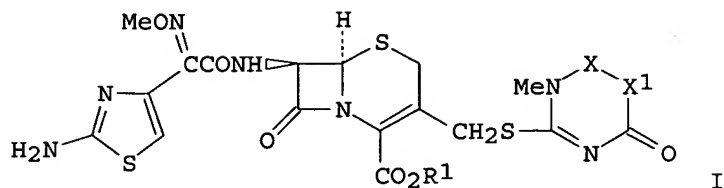
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 30294	A2	19810617	EP 1980-107160	19801118
	EP 30294	A3	19811209		
	EP 30294	B1	19840808		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	FI 7903768	A	19810522	FI 1979-3768	19791130
	FI 67385	B	19841130		
	FI 67385	C	19850311		
	ZA 8007099	A	19811125	ZA 1980-7099	19801114
	CA 1146165	A1	19830510	CA 1980-364648	19801114
	IL 61486	A1	19840430	IL 1980-61486	19801114
	AU 8064438	A1	19810528	AU 1980-64438	19801117
	AU 533088	B2	19831027		
	HU 27365	O	19831028	HU 1980-2744	19801117
	HU 184941	B	19841128		
	JP 56092894	A2	19810727	JP 1980-161487	19801118
	JP 61045995	B4	19861011		
	AT 8896	E	19840815	AT 1980-107160	19801118
	DK 8004963	A	19810522	DK 1980-4963	19801120
	DK 166728	B1	19930705		
	NO 8003516	A	19810522	NO 1980-3516	19801120
	NO 166229	B	19910311		
	NO 166229	C	19910619		
	ES 496994	A1	19811116	ES 1980-496994	19801120
	NO 8102083	A	19811222	NO 1981-2083	19810618
	NO 166228	B	19910311		
	NO 166228	C	19910619		
	ES 503583	A1	19820601	ES 1981-503583	19810701
	FI 8402614	A	19840628	FI 1984-2614	19840628
	FI 71563	B	19861010		
	FI 71563	C	19870119		
	JP 61143392	A2	19860701	JP 1985-285859	19851220
	JP 02048559	B4	19901025		
PRAI	CH 1979-10384	A	19791121		
	FI 1979-3768	A	19791130		
	EP 1980-107160	A	19801118		

## CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES



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 EP 30294      IC      C07D501-36IC      C07D501-04IC      C07C131-00  
 GI



- AB The cephalosporins I (X = NH, X1 = CO; XX1 = N:COR; R = H, easily hydrolyzable ether group; R1 = H, ester group) and their salts were prepared for use as bactericides (test data tabulated). Thus, (Z)-BrCH2COC(COCl):NOMe, prepared in 5 steps from MeCOCH2CO2CMe3, reacted with II and N,O-bis(trimethylsilyl)acetamide in HOAc, and the product was treated with (H2N)2CS in EtOH to give 52.3% (6R, 7R, Z)-I (XX1 = N:COH, R1 = H).
- ST bactericide cephalosporin; cephemcarboxylate triazinylthiomethyl
- IT Bactericides, Disinfectants and Antiseptics  
 (triazinylthiomethylcephemcarboxylate derivative)
- IT 62-56-6, reactions  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (cyclocondensation of, with bromo(methoxyimino)acetamide derivative)
- IT 1694-31-1  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (hydroxyimination of)
- IT 73384-59-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and bactericidal activity of)
- IT 77361-12-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
 (preparation and bromination of)
- IT 79232-66-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and conversion of, to acid chloride)
- IT 79232-67-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
 (preparation and cyclocondensation of, with thiourea)
- IT 79232-65-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
 (preparation and saponification of)
- IT 79232-64-7P

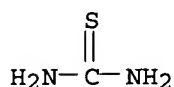
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and O-methylation of)

IT 58909-56-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(N-acylation of)

IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT (Reactant or reagent)**  
(cyclocondensation of, with bromo(methoxyimino)acetamide derivative)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)

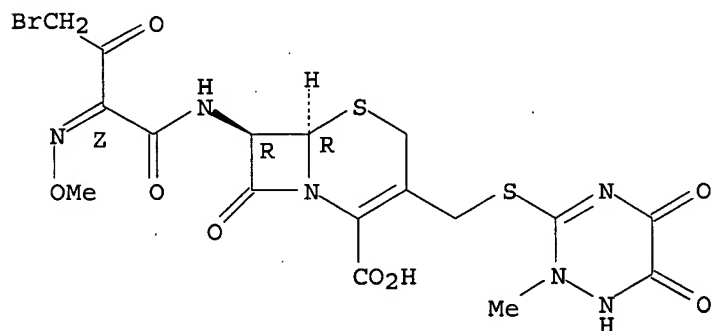


IT 79232-67-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
(preparation and cyclocondensation of, with thiourea)

RN 79232-67-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[(2Z)-4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[[[(1,2,5,6-  
tetrahydro-2-methyl-5,6-dioxo-1,2,4-triazin-3-yl)thio]methyl]-, (6R,7R)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L44 ANSWER 29 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1981:462104 HCAPLUS

DN 95:62104

ED Entered STN: 12 May 1984

TI Synthesis and structure-activity relationships of 7β-[2-(2-aminothiazol-4-yl)acetamido]cephalosporin derivatives. VI. Alternative syntheses of 7β-[2-(2-aminothiazol-4-yl)-(Z)-2-methoxyiminoacetamido]cephalosporin derivatives

AU Ochiai, Michihiko; Morimoto, Akira; Miyawaki, Toshio

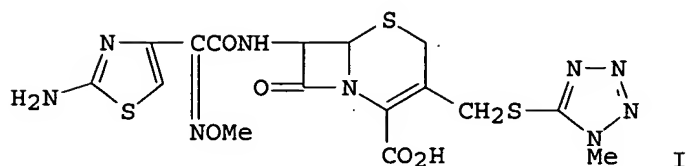
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan

SO Journal of Antibiotics (1981), 34(2), 186-92  
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DT Journal

LA English

CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
GI



- AB Alternative syntheses of 7β-[2-(2-aminothiazol-4-yl)-(Z)-2-methoxyiminoacetamido]cephalosporins were investigated. Of these, a sequence of reactions starting from the aminocephem via the chloroacetoacetyl derivative, and its alkoxyimino derivs. afforded a convenient route to I, which is especially useful for the preparation of labeled
- cefmenoxime. Structures of nitron compds. which were formed as by-products are discussed.
- ST aminothiazolylacetamidocephem methoxyimino; methoxyiminoacetamidocephem aminothiazolyl; cephem aminothiazolylmethoxyiminoacetamido
- IT 41295-64-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of aminocephem by)
- IT 24209-38-9 58233-23-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of)
- IT 63504-16-5 71773-94-9 78248-60-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(methylation of)
- IT 53090-86-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of)
- IT 78226-55-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification of)
- IT 71754-12-6P 78226-51-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of)
- IT 78226-53-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and methylation of)
- IT 78226-47-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with nitrite)
- IT 78226-50-3P 78226-54-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with thiourea)
- IT 60846-23-3P 65085-02-1P 78226-46-7P 78226-48-9P 78226-49-0P 78226-52-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

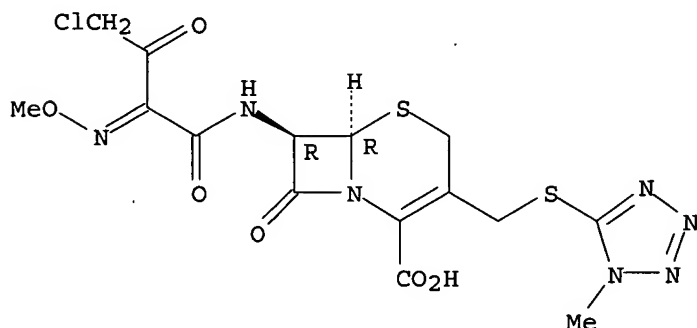
IT 62-56-6, reactions  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (reaction of, with chloro(methoxyimino)oxobutyrylaminocephem)

IT 78226-55-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (preparation and esterification of)

RN 78226-55-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.

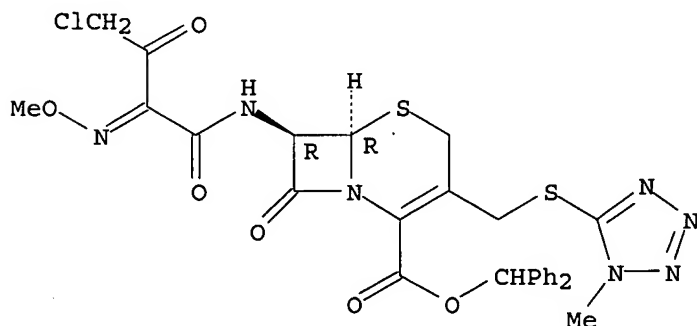


IT 78226-54-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (preparation and reaction of, with thiourea)

RN 78226-54-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI)  
 (CA INDEX NAME)

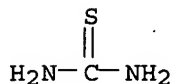
Absolute stereochemistry.  
 Double bond geometry unknown.



IT 62-56-6, reactions  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (reaction of, with chloro(methoxyimino)oxobutyrylaminocephem)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



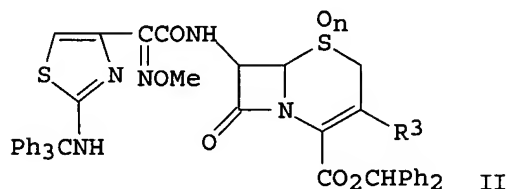
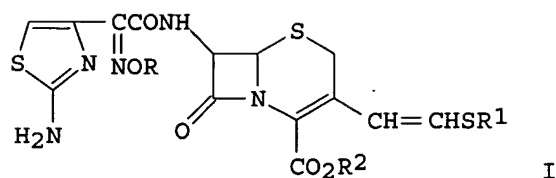
L44 ANSWER 30 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1981:550683 HCAPLUS  
 DN 95:150683  
 ED Entered STN: 12 May 1984  
 TI 3-Thiovinylcephalosporins and pharmaceutical preparations containing them  
 PA Rhone-Poulenc Industries S. A., Fr.  
 SO Neth. Appl., 245 pp.  
 CODEN: NAXXAN  
 DT Patent  
 LA Dutch  
 IC C07D501-24; A61K031-545  
 CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 8003011	A	19801125	NL 1980-3011	19800523
	FR 2474504	A1	19810731	FR 1979-13095	19790523
	FR 2474504	B1	19830311		
	FR 2469415	A2	19810522	FR 1979-27687	19791109
	FR 2469415	B2	19830429		
	FR 2474035	A2	19810724	FR 1980-978	19800117
	FR 2474035	B2	19830429		
	DD 151170	C	19811008	DD 1980-221271	19800521
	AT 8002708	A	19820515	AT 1980-2708	19800521
	AT 369378	B	19821227		
	PL 125471	B1	19830531	PL 1980-224389	19800521
	PL 127207	B1	19831031	PL 1980-230380	19800521
	SU 1130167	A3	19841215	SU 1980-2925202	19800521
	SU 1114339	A3	19840915	SU 1980-2991487	19801009
	ES 496193	A1	19811001	ES 1980-496193	19801023
	ES 496196	A1	19811001	ES 1980-496196	19801023
	AT 8105421	A	19830915	AT 1981-5421	19811217
	AT 374480	B	19840425		
	AT 8105423	A	19830915	AT 1981-5423	19811217
	AT 374482	B	19840425		
PRAI	FR 1979-13095	A	19790523		
	FR 1979-27687	A	19791109		
	FR 1980-978	A	19800117		
	AT 1980-2708	A	19800521		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
NL 8003011	IC	C07D501-24IC A61K031-545

GI



- AB Thiovinylcephalosporins I (R = H, alkyl, vinyl, CH<sub>2</sub>CN; R<sub>1</sub> = optionally substituted alkyl, alkoxy, alkylthio, Ph, acyl, heterocyclic; R<sub>2</sub> = H, acyloxyalkyl) were prepared. Thus, II (R<sub>3</sub> = Me, n = 0) was treated with EtOCH(NMe<sub>2</sub>)<sub>2</sub> and 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl and oxidized to give II' (R<sub>3</sub> = CH:CHO<sub>3</sub>SC<sub>6</sub>H<sub>4</sub>Me-4, n = 1), which was treated with MeSH to give II (R<sub>3</sub> = CH:CHSMe, n = 1). Reduction of the latter and deblocking gave I (R = R<sub>1</sub> = Me, R<sub>2</sub> = H).
- ST thiovinylcephalosporin; cephemcarboxylate thiovinyl
- IT 35609-70-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of)
- IT 77780-20-2 77849-03-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of aminocephems by)
- IT 69883-01-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of aminodeacetoxycephalosporanate by)
- IT 21198-09-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amination of)
- IT 77361-12-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(chlorination of)
- IT 77361-32-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydrazinolysis of)
- IT 66340-86-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydrolysis of)
- IT 77359-94-5P 77360-00-0P 77360-08-8P 77360-09-9P 77360-20-4P  
77657-35-3P 77657-39-7P 77780-54-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and acylation of)
- IT 77361-11-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and acylation of aminocephems by)
- IT 77361-10-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and bromination of)

IT 77792-32-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and cyclization of)

IT 77359-89-8P 77359-91-2P 77359-92-3P 77359-93-4P 77359-96-7P  
 77359-99-0P 77360-02-2P 77360-06-6P 77360-12-4P 77360-13-5P  
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 77360-56-6P 77360-59-9P 77360-63-5P 77360-68-0P 77360-71-5P  
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 77883-56-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and deblocking of)

IT 77359-59-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and esterification of)

IT 77359-57-0P 77359-75-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and hydrolysis of)

IT 77359-89-8P 77359-90-1P 77360-93-1P 77360-94-2P 77657-33-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oxidation of)

IT 77361-03-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oximation of)

IT 77780-60-0P 77780-61-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, with aminocephem)

IT 77359-68-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, with bis(dimethylamino)ethoxymethane)

IT 77361-07-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, with bromoxobutyryl chloride)

IT 77359-58-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, with butoxybis(dimethylamino)methane)

IT 15231-41-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, with carbon disulfide)

IT 77360-00-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with chloroformate)

IT 77361-13-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with chlorosulfonyl isocyanate)

IT 21149-56-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with ethoxyallyl chloride)

IT 77361-31-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with hydrazine)

IT 77360-10-2P 77360-11-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with methanethiol)

IT 77657-34-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with methyltetrazolethiol)

IT 57930-22-0P 77360-54-4P 77360-57-7P 77360-76-0P 77360-85-1P  
77361-30-9P 77780-34-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with oxalate)

IT 77360-79-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with sodium)

IT 77780-68-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with thiophenol)

IT 77361-02-5P 77361-04-7P **77657-36-4P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**  
(preparation and reaction of, with thiourea)

IT 77360-75-9P 77360-99-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with tosyloxyvinylcephem)

IT 58909-12-9P 58909-39-0P 77360-49-7P 77360-58-8P 77360-92-0P  
77657-50-2P 77657-51-3P 77780-35-9P 77780-39-3P 77780-43-9P  
77780-45-1P 77780-50-8P 77849-05-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with tosyloxyvinylcephems)

IT 77780-41-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with triazinethione derivative)

IT 77359-76-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with tert-butoxybis(dimethylamino)methane)

IT 77359-95-6P 77360-01-1P 77360-05-5P 77360-18-0P 77360-22-6P  
77360-31-7P 77360-32-8P 77360-37-3P 77360-46-4P 77360-47-5P



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 77792-78-0P 77849-04-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reduction of)

IT 77360-16-8P 77400-92-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and thiolation of)

IT 77359-74-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and tosylation of)

IT 77359-97-8P 77360-04-4P 77360-07-7P 77360-14-6P 77360-17-9P  
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 77792-77-9P 77792-81-5P 77843-25-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

IT 674-82-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with aminocephem)

IT 68672-55-9 69883-01-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with aminocephems)

IT 34619-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with aminothiadiazoethiol)

IT 62-56-6, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with bromooxobutyrylaminocephem)

IT 75-15-0, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with butoxycarbonylaminoethylamine)

IT 2349-67-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with carbonate)

IT 77359-55-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with ethoxymalonyl chloride)

IT 73555-88-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with ethylthiosemicarbazide)

IT 13733-17-0 63612-41-9 75052-04-9 77780-36-0 77792-60-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with hydrazine)

IT 77361-29-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with methoxyiminotritylaminothiazolylacetic acid)

IT 5815-08-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with methylcephems)

IT 5815-07-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with methylthiaazabicyclooctene)

IT 95-92-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with methylthioethylthiosemicarbazide)

IT 6926-55-2 6938-68-7 13431-41-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with oxalate)

IT 36239-09-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with oxoethylcephem)

IT 58909-02-7 77360-65-7 77360-69-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with tosyloxvinylcephems)

IT 54567-55-4 58909-39-0 77360-79-3 77780-49-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with tosyloxyvinylcephem)

IT 1121-31-9 1450-85-7 2637-34-5 3004-42-0 13016-17-6 13183-79-4  
 21094-62-2 21094-65-5 36988-21-3 52083-93-9 56610-81-2  
 58908-99-9 61607-68-9 77780-51-9 77780-69-9 77780-70-2  
 77780-71-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with tosyloxyvinylcephems)

IT 20887-95-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with toxyloxyvinylcephems)

IT 77360-49-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with tritylaminothiazolylacetic acid)

IT 2302-95-6 77359-99-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reduction of)

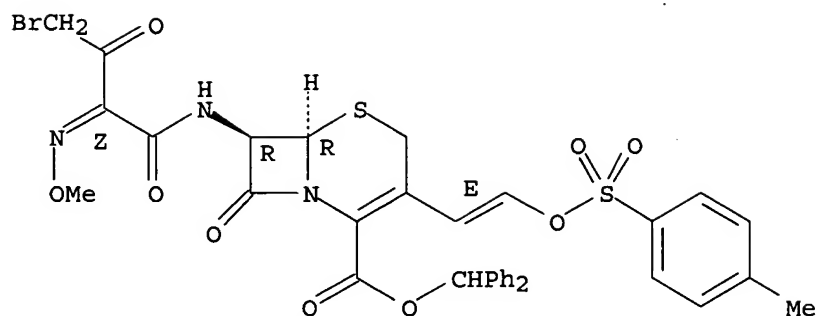
IT 22252-43-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (tert-butoxycarbonylation of)

IT **77657-36-4P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (preparation and reaction of, with thiourea)

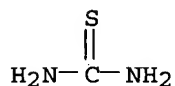
RN 77657-36-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[[4-methylphenyl)sulfonyl]oxy]ethenyl]-8-oxo-, diphenylmethyl ester,  
 [6R-[3(E),6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



IT 62-56-6, reactions  
 RL: RCT (Reactant); **RACT (Reactant or reagent)**  
 (reaction of, with bromooxobutyrylaminocephem)  
 RN 62-56-6 HCAPLUS  
 CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 31 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1980:604670 HCAPLUS  
 DN 93:204670  
 ED Entered STN: 12 May 1984  
 TI 3-Cephem-4-carboxylic acid derivatives and intermediates  
 IN Takatani, Takao; Masugi, Takeshi; Takasugi, Hisashi; Kawachi, Hiromu  
 PA Fujisawa Pharmaceutical Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 13 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 IC C07C131-00; C07D317-30; C07D319-06; C07D501-26  
 CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
 FAN. CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55038349	A2	19800317	JP 1978-112555	19780912
	JP 63012864	B4	19880323		
	EP 9671	A2	19800416	EP 1979-103389	19790911
	EP 9671	A3	19800625		
	EP 9671	B1	19840613		
	R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	EP 48504	A2	19820331	EP 1981-109663	19790911
	EP 48504	A3	19830406		
	EP 48504	B1	19880817		
	R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	AT 7914	E	19840615	AT 1979-103389	19790911
	AT 36533	E	19880915	AT 1981-109663	19790911
	US 4298529	A	19811103	US 1979-101527	19791210
	US 4379922	A	19830412	US 1980-213217	19801205
	US 4518774	A	19850521	US 1982-411312	19820825
	US 4594446	A	19860610	US 1985-690989	19850114
PRAI	GB 1978-36564	A	19780912		

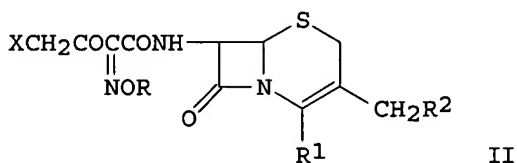
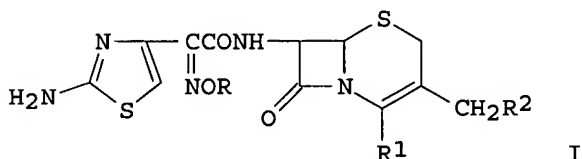
JP 1978-112555	A	19780912
JP 1979-3106	A	19790112
GB 1979-5791	A	19790219
US 1979-73565	A2	19790907
EP 1979-103389	A	19790911
EP 1981-109663	A	19790911
JP 1979-117166	A	19790911
US 1979-101527	A3	19791210
US 1980-213217	A3	19801205
US 1982-411312	A3	19820825

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 55038349	IC	C07C131-00IC C07D317-30IC C07D319-06IC C07D501-26
US 4298529	NCL	549/451.000; 540/222.000; 540/227.000; 540/228.000; 540/230.000; 549/373.000; 562/567.000
US 4379922	NCL	540/215.000; 540/222.000; 540/227.000; 540/229.000
US 4518774	NCL	540/222.000; 540/215.000
US 4594446	NCL	560/168.000; 549/347.000; 549/373.000; 549/451.000; 549/510.000; 549/511.000; 556/418.000; 560/121.000; 560/123.000; 560/124.000; 560/125.000; 560/145.000

OS CASREACT 93:204670

GI



AB 3-Cephem-4-carboxylic acid derivs. (I; R = aliphatic hydrocarbon radical; R1 = CO2H, protected CO2H; R2 = acyloxy, heterocyclic thio) were prepared by multistep synthesis including reaction of halo derivs. (II; X = halo) with thiourea. Thus, a solution of 0.1 g thiourea and 0.1 g NaOAc in H2O was treated with 0.45 g II (R = Me, R1 = CO2H, R2 = 1,3,4-thiadiazol-2-ylthio, X = Br) 4 h at 35-40°, H2O and EtOAc added, and the solution adjusted to pH 2 to give I (R = Me, R1 = CO2H, R2 = 1,3,4-thiadiazol-2-ylthio).

ST cephemcarboxylic acid; cyclocondensation thiourea  
haloacetylacetamidocephem

IT Cyclocondensation reaction  
(of thiourea with (haloacetylacetamido)cephem derivs.)

IT 62-56-6, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

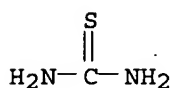
(cyclocondensation of, with (haloacetylacetamido)cephemcarboxylic acid derivs.)

IT 75360-13-3

RL: RCT (Reactant); RACT (Reactant or reagent)

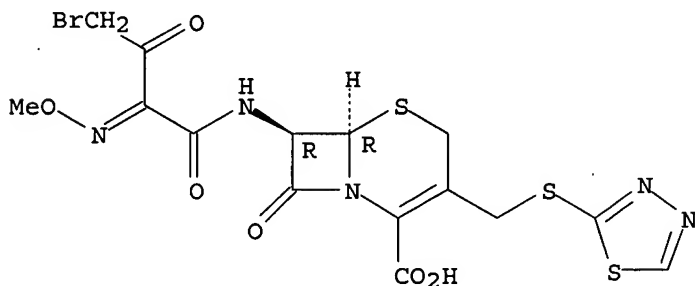
(cyclocondensation of, with thiourea)

IT 63527-52-6P 65052-56-4P 65085-01-0P 66340-29-2P 75098-78-1P  
 75098-79-2P 75098-80-5P 75360-14-4P 75360-15-5P 75360-16-6P  
 75360-17-7P 75360-18-8P 75360-19-9P 75360-20-2P 75360-21-3P  
 75360-22-4P 75360-23-5P 75360-24-6P 75360-25-7P 75360-26-8P  
 75360-27-9P 75360-28-0P 75360-29-1P 75360-30-4P 75360-31-5P  
 75360-32-6P 75360-33-7P 75420-16-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 IT 62-56-6, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with (haloacetylacetamido)cephemcarboxylic acid  
 derivs.)  
 RN 62-56-6 HCAPLUS  
 CN Thiourea (9CI) (CA INDEX NAME)



IT 75360-13-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with thiourea)  
 RN 75360-13-3 HCAPLUS  
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[(1,3,4-  
 thiadiazol-2-ylthio)methyl]-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



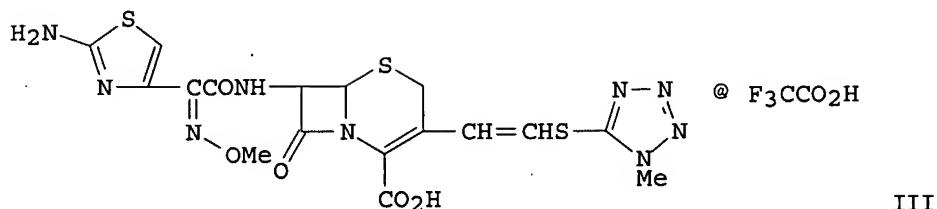
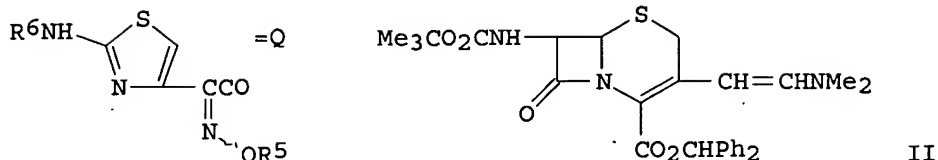
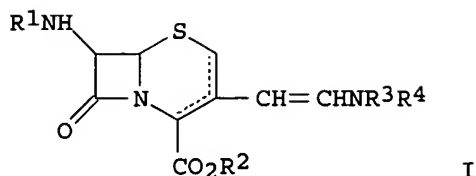
L44 ANSWER 32 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1981:587274 HCAPLUS  
 DN 95:187274  
 ED Entered STN: 12 May 1984  
 TI 3-Vinylcephalosporin analogs  
 IN Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude; Peyronel, Jean Francois  
 PA Rhone-Poulenc Industries S. A., Fr.  
 SO Ger. Offen., 225 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 IC C07D501-38  
 CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
 FAN.CNT 2  
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI	DE 3019462	A1	19801204	DE 1980-3019462	19800521
	FR 2457296	A1	19801219	FR 1979-13096	19790523
	FR 2457296	B1	19820205		
	BE 883416	A1	19801121	BE 1980-200707	19800521
	DK 8002220	A	19801124	DK 1980-2220	19800521
	FI 8001641	A	19801124	FI 1980-1641	19800521
	NO 8001502	A	19801124	NO 1980-1502	19800521
	SE 8003821	A	19801124	SE 1980-3821	19800521
	SE 451072	B	19870831		
	SE 451072	C	19871210		
	AU 8058595	A1	19801127	AU 1980-58595	19800521
	AU 534806	B2	19840216		
	ES 491687	A1	19801216	ES 1980-491687	19800521
	GB 2051062	A	19810114	GB 1980-16727	19800521
	ZA 8003038	A	19810527	ZA 1980-3038	19800521
	DD 150899	C	19810923	DD 1980-221272	19800521
	US 4307233	A	19811222	US 1980-152085	19800521
	AT 8002709	A	19820515	AT 1980-2709	19800521
	AT 369375	B	19821227		
	CA 1144919	A1	19830419	CA 1980-352324	19800521
	SU 1031409	A3	19830723	SU 1980-2926697	19800521
	PL 126481	B1	19830831	PL 1980-224391	19800521
	HU 31738	O	19840528	HU 1980-1278	19800521
	HU 185890	B	19850428		
	CH 645379	A	19840928	CH 1980-3989	19800521
	CS 244405	B2	19860717	CS 1980-3543	19800521
	IL 60139	A1	19840430	IL 1980-60139	19800522
	NL 8003023	A	19801125	NL 1980-3023	19800523
	JP 55154981	A2	19801202	JP 1980-68009	19800523
	JP 02046595	B4	19901016		
PRAI	FR 1979-13096	A	19790523		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 3019462	IC	C07D501-38
US 4307233	NCL	540/215.000; 540/222.000; 540/229.000

GI



- AB Vinylcephalosporin analogs I [ R1 = Q (syn or anti, R5 = H, alkyl, CH2:CH, CH2CN, protective group, R6 = protective group), Ph2CH, Ph3C, R7CO [R7 = H, Ph, alkyl substituted by halo, Ph, PhO], R8O2C (R8 = alkyl), O2NC6H4S; R2 = enzymically cleavable CHR9O2CR10 (R9 = H, allyl; R10 = alkyl, cycloalkyl), MeOCH2, Me3C, Ph2CH, 4-O2NC6H4CH2, 4-MeOC6H4CH2; R1NH may be replaced by substituted H2C:N; R1 = alkanoyl substituted by Cl, Br, acyl; R1NH replaced by cyclic imide group of dicarboxylic acid; R2 = tertiary aliphatic, PhCH2, MeOC6H4CH2, O2NC6H4CH2, Cl3CCH2, Ph2CH, succinimidomethyl, phthalimidomethyl; R3,R4 = C1-4 alkyl, Ph; NR3R4 = heterocyclyl], useful as bactericides against Staphylococcus aureus in mice at 0.2-15 mg/kg/day s.c., were prepared (E)-Vinylcephem, (E)-II, was prepared in 3 steps from 7-aminodeacetoxycephalosporanic acid (7-ADCA) and (Me3CO)2CO via the amine-blocked and the amine-blocked and carboxy-blocked 7-ADCA and subsequent reaction with HC(:N+Me2)NMe2.MeSO4-. (E)-II was converted in 7 steps to the (tetrazolylthio)vinyl analog syn, (Z)-III.
- ST aminovinylcephalosporin analog; thiovinylcephalosporin analog; vinylcephalosporin analog; cephalosporin vinyl analog; bactericide vinyl cephalosporin analog
- IT Bactericides, Disinfectants and Antiseptics  
(vinylcephalosporin analogs)
- IT 4457-32-3 34619-03-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amine blocking by, of aminodeoxycephalosporanic acid)
- IT 957-68-6 68143-34-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amine blocking reaction of)
- IT 22252-43-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amino group blocking reactions of)
- IT 2013-91-4 4637-24-5 4909-78-8 5815-07-6 5815-08-7 79584-93-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reaction of, with aminodeoxycephalosporanic acid derivative)
- IT 77448-11-4  
RL: RCT (Reactant); RACT (Reactant or reagent)

(condensation reaction of, with bis(dimethylamino)-tert-butoxymethane)  
IT 10209-10-6 79584-80-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reaction of, with bis(dimethylamino)butoxymethane)  
IT 29126-12-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reaction of, with ethoxybis(dimethylamino)methane)  
IT 28974-31-4 37946-05-7 51415-85-1 77359-72-9 79645-75-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reactions of, with alkoxyaminomethanes)  
IT 62-56-6P, preparation  
RL: RCT (Reactant); PREP (Preparation); **RACT (Reactant or reagent)**  
(cyclization of, with (bromobutylamido)cephemcarboxylic acid derivative)  
IT 77361-28-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(deblocking of)  
IT 883-40-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification by, of cephalosporanic acid derivative)  
IT 4530-20-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification by, of triazineethanol derivative)  
IT 77359-75-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydrolysis of)  
IT 79645-76-4 79645-77-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(oxidation of)  
IT 77359-94-5P 77360-00-0P 77360-08-8P 77360-09-9P 77360-20-4P  
77361-13-8P 77657-39-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and acylation of)  
IT 77361-10-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and bromination of)  
IT 77359-68-3P 77359-76-3P 77359-79-6P 77359-80-9P 79584-91-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and condensation reaction of, with alkoxyaminomethane)  
IT 79584-83-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and condensation reaction of, with bis(dimethylamino)-tert-  
butoxymethane)  
IT 77359-63-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and condensation reaction of, with  
bis(methylamino)butoxymethane)  
IT 77359-58-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and condensation reaction of, with diaminoalkane derivs.)  
IT 77361-12-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion of, to acid chloride)  
IT 77361-02-5P 77361-06-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);



**RACT (Reactant or reagent)**

- (preparation and cyclization of, with thiourea)  
 IT 77359-99-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and deblocking and deoxygenation of)  
 IT 77360-51-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and deblocking and reaction of, with methoxyamine)  
 IT 77359-91-2P 77359-92-3P 77360-12-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and deblocking and sulfuration of)  
 IT 77359-93-4P 77359-96-7P 77360-02-2P 77360-03-3P 77360-06-6P  
 77360-13-5P 77360-18-0P 77360-24-8P 77360-25-9P 77360-42-0P  
 77360-44-2P 77360-56-6P 77360-59-9P 77360-63-5P 77360-68-0P  
 77360-71-5P 77360-81-7P 77360-90-8P 77360-97-5P 77361-00-3P  
 77361-05-8P 77361-09-2P 77361-14-9P 77361-17-2P 77361-19-4P  
 77361-22-9P 77361-26-3P 77361-33-2P 77400-86-3P 77400-88-5P  
 77400-93-2P 77657-38-6P 77657-53-5P 79584-63-7P 79645-71-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and deblocking of)  
 IT 77360-33-9P 77360-34-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and decarboxylation of)  
 IT 77360-10-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and deoxygenation and sulfuration of)  
 IT 77359-95-6P 77360-01-1P 77360-05-5P 77360-18-0P 77360-22-6P  
 77360-23-7P 77360-31-7P 77360-32-8P 77360-46-4P 77360-47-5P  
 77360-50-0P 77360-55-5P 77360-62-4P 77360-67-9P 77360-70-4P  
 77360-89-5P 77360-93-1P 77360-94-2P 77360-95-3P 77360-96-4P  
 77361-16-1P 77361-21-8P 77361-25-2P 77400-90-9P 77400-91-0P  
 77657-52-4P 79584-62-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and deoxygenation of)  
 IT 51813-40-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and electrochem. reduction of)  
 IT 77359-59-2P 77359-64-9P 79584-81-9P 79584-90-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and esterification of)  
 IT 77359-81-0P 77359-82-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and esterification of, with diphenyldiazomethane)  
 IT 77359-65-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and hydrolysis and isomerization of)  
 IT 77359-57-0P 77400-85-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

- (preparation and hydrolysis and tosylation of)
- IT 77360-14-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and inner salt formation from)
- IT 77359-89-8P 77359-90-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidation of)
- IT 77360-54-4P 77360-85-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, with Et oxalate)
- IT 77361-11-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, with aminocephem derivative)
- IT 77361-04-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, with bromine and diketene)
- IT 77360-57-7P 77360-76-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, with di-Et oxalate)
- IT 77360-10-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and sulfidation of)
- IT 77360-49-7P 77360-58-8P 77360-61-3P 77360-69-1P 77360-92-0P  
77657-50-2P 77657-51-3P 79584-61-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and sulfuration by, of (tosyloxyvinyl)cephemcarboxylic acid  
derivative)
- IT 77360-11-3P 77360-16-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and sulfuration of)
- IT 77400-92-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and sulfuration of, by methyltetrazolethiol)
- IT 77359-74-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and tosylation of)
- IT 77360-99-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and N-acylation by, of aminocephemcarboxylic acid derivative)
- IT 77360-08-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and N-acylation of)
- IT 77361-27-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and N-acylation of, by (tert-butoxycarbonyl)glycine)
- IT 77361-07-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation and N-acylation of, by butyryl chloride derivative)

IT 77400-94-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and O-acylation of)

IT 77359-61-6P 77359-70-7P 77359-73-0P 77359-75-2P 77359-78-5P  
 77359-85-4P 77359-88-7P 77360-04-4P 77360-07-7P 77360-11-3P  
 77360-17-9P 77360-26-0P 77360-35-1P 77360-36-2P 77360-43-1P  
 77360-45-3P 77360-48-6P 77360-52-2P 77360-53-3P 77360-60-2P  
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 77360-82-8P 77360-83-9P 77360-91-9P 77360-98-6P 77361-01-4P  
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 77361-37-6P 77361-38-7P 77361-39-8P 77361-40-1P 77361-41-2P  
 77361-42-3P 77361-43-4P 77361-44-5P 77361-45-6P 77361-48-9P  
 77361-49-0P 77361-50-3P 77361-52-5P 77361-55-8P 77361-56-9P  
 77361-57-0P 77361-58-1P 77361-59-2P 77361-60-5P 77361-63-8P  
 77361-64-9P 77361-65-0P 77361-66-1P 77361-94-5P 77400-02-3P  
 77400-87-4P 77400-96-5P 77448-14-7P 77657-40-0P 77657-49-9P  
 77657-54-6P 77657-58-0P 77657-59-1P 77663-36-6P 77780-62-2P  
 79584-64-8P 79584-65-9P 79584-66-0P 79584-67-1P 79584-68-2P  
 79584-82-0P 79584-84-2P 79584-85-3P 79584-86-4P 79584-87-5P  
 79584-88-6P 79584-89-7P 79584-94-4P 79584-95-5P 79593-24-1P  
 79645-72-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

IT 57930-22-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of with Et oxalate)

IT 593-56-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with (dimethoxyethyl)triazine derivative)

IT 95-92-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with (methoxyethyl)thiocarbazide)

IT 35196-48-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with Et isothiocyanate)

IT 6926-55-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with Et oxalate)

IT 674-82-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with bromine and aminocephemcarboxylic acid derivative)

IT 13733-17-0 63612-41-9 75052-04-9 77792-60-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with hydrazine)

IT 64485-90-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with methylthiadiazolethiol)

IT 542-85-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with oxalic acid hydrazide)

IT 1189-71-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with triazineethanol derivative)

IT 74-93-1, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with vinyldeacetoxycephalosporanic acid derivative)

IT 66340-86-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(saponification of)

IT 77360-65-7 77360-79-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (sulfuration by, of (tosyloxyvinyl)cephemcarboxylic acid derivative)

IT 13183-79-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (sulfuration by, of vinylcephalosporanic acid analogs)

IT 108-98-5P, preparation 1121-31-9 21094-62-2 29490-19-5 52083-93-9  
 54567-55-4 56610-81-2 58909-02-7 58909-06-1  
 RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
 (sulfuration by, of vinyldeacetoxycephalosporanic acid derivative)

IT 68786-47-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acylation by, of aminocephemcarboxylic acid derivative)

IT 64485-90-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acylation by, of aminocephemcarboxylic acid derivative)

IT 69883-01-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acylation by, of benzhydryl aminodeacetoxycephalosporanate)

IT 78992-68-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acylation by, of benzydryl aminodeacetoxycephalosporanate)

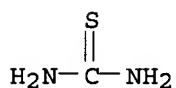
IT 35609-70-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acylation of, by iminoacetic anhydride derivative)

IT 76-83-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-blocking by, of aminodeacetoxycephalosporanic acid)

IT 62-56-6P, preparation  
 RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
 (cyclization of, with (bromobutylamido)cephemcarboxylic acid derivative)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)

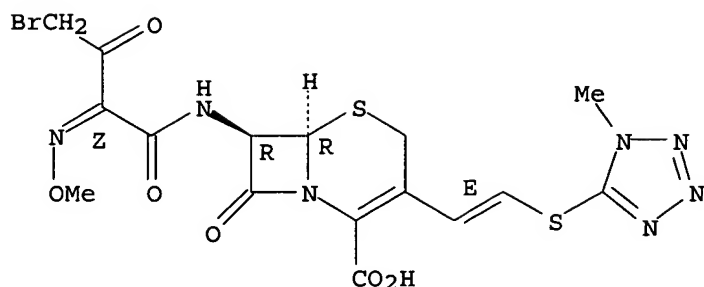


IT 77361-06-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)  
 (preparation and cyclization of, with thiourea)

RN 77361-06-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[(1-methyl-1H-  
 tetrazol-5-yl)thio]ethenyl]-8-oxo-, [6R-[3(E),6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L44 ANSWER 33 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1981:407308 HCAPLUS  
 DN 95:7308  
 ED Entered STN: 12 May 1984  
 TI Cephalosporin analogs  
 IN Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude; Peyronel, Jean Francois  
 PA Rhone-Poulenc Industries S. A., Fr.  
 SO Ger. Offen., 239 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 IC C07D501-26  
 CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
 FAN.CNT 3

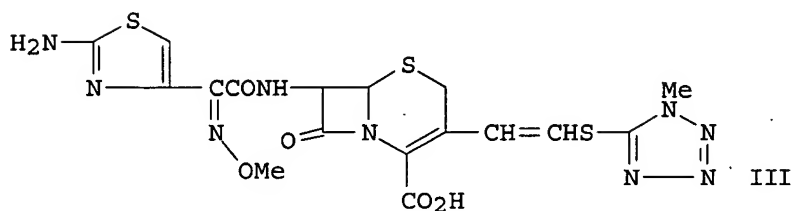
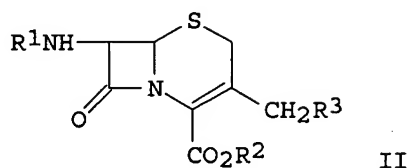
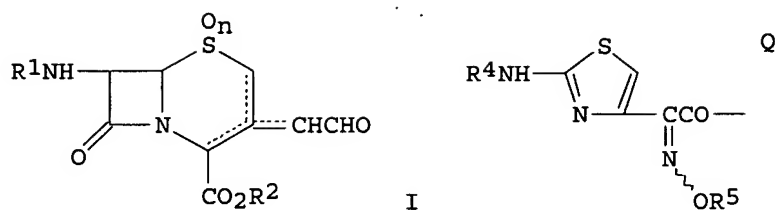
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PI	DE 3019430	A1	19801204	DE 1980-3019430	19800521
	FR 2457297	A1	19801219	FR 1979-13097	19790523
	FR 2457297	B1	19821022		
	BE 883417	A1	19801121	BE 1980-200708	19800521
	BE 883418	A1	19801121	BE 1980-200709	19800521
	DK 8002222	A	19801124	DK 1980-2222	19800521
	DK 8002231	A	19801124	DK 1980-2231	19800521
	FI 8001642	A	19801124	FI 1980-1642	19800521
	FI 8001643	A	19801124	FI 1980-1643	19800521
	NO 8001503	A	19801124	NO 1980-1503	19800521
	NO 8001504	A	19801124	NO 1980-1504	19800521
	SE 8003822	A	19801124	SE 1980-3822	19800521
	SE 8003823	A	19801124	SE 1980-3823	19800521
	AU 8058593	A1	19801127	AU 1980-58593	19800521
	AU 532884	B2	19831020		
	AU 8058594	A1	19801127	AU 1980-58594	19800521
	AU 537785	B2	19840712		
	ES 491688	A1	19801216	ES 1980-491688	19800521
	GB 2051061	A	19810114	GB 1980-16726	19800521
	GB 2051061	B2	19830928		
	GB 2052488	A	19810128	GB 1980-16725	19800521
	GB 2052488	B2	19830921		
	ZA 8003035	A	19810527	ZA 1980-3035	19800521
	ZA 8003036	A	19810527	ZA 1980-3036	19800521
	DD 151064	C	19810930	DD 1980-221267	19800521
	DD 151169	C	19811008	DD 1980-221268	19800521
	US 4307230	A	19811222	US 1980-152084	19800521
	AT 8002710	A	19820215	AT 1980-2710	19800521
	AT 368509	B	19821025		
	ES 491689	A1	19820416	ES 1980-491689	19800521

SU 927118	A3	19820507	SU 1980-2928400	19800521
PL 122638	B1	19820831	PL 1980-224392	19800521
AT 8002711	A	19820915	AT 1980-2711	19800521
AT 370737	B	19830425		
SU 965358	A3	19821007	SU 1980-2928399	19800521
US 4365062	A	19821221	US 1980-152153	19800521
CA 1148141	A1	19830614	CA 1980-352346	19800521
CA 1149375	A1	19830705	CA 1980-352335	19800521
HU 25574	O	19830728	HU 1980-1280	19800521
HU 183143	B	19840428		
PL 126491	B1	19830831	PL 1980-224390	19800521
PL 126671	B1	19830831	PL 1980-229959	19800521
HU 29120	O	19840130	HU 1980-1279	19800521
HU 184759	B	19841029		
CH 645380	A	19840928	CH 1980-3991	19800521
CS 235508	B2	19850515	CS 1980-3544	19800521
CH 650000	A	19850628	CH 1980-3990	19800521
IL 60140	A1	19840430	IL 1980-60140	19800522
IL 60141	A1	19840430	IL 1980-60141	19800522
NL 8003010	A	19801125	NL 1980-3010	19800523
NL 8003024	A	19801125	NL 1980-3024	19800523
JP 55154978	A2	19801202	JP 1980-68006	19800523
JP 55154979	A2	19801202	JP 1980-68007	19800523
ES 495236	A1	19810816	ES 1980-495236	19800922
ES 495237	A1	19810901	ES 1980-495237	19800922
SU 984411	A3	19821223	SU 1981-3229954	19810119
SU 988193	A3	19830107	SU 1981-3229054	19810119
AT 8200938	A	19840415	AT 1982-938	19820309
AT 8200939	A	19840415	AT 1982-939	19820309
PRAI FR 1979-13097	A	19790523		
AT 1980-2710	A	19800521		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 3019430	IC	C07D501-26
US 4307230	NCL	540/217.000; 540/215.000; 540/222.000; 540/223.000; 540/226.000; 540/227.000; 540/229.000
US 4365062	NCL	540/215.000; 540/222.000; 540/230.000

GI



AB Cephalosporin analogs I [ $n = 0, 1$ ;  $R_1 = Q$  ( $R_4 =$  protective group,  $R_5 = H$ , alkyl, vinyl, cyanomethyl, protective group),  $Ph_2CH$ ,  $Ph_3C$ ,  $Cl$ ,  $Br$ , (un)substituted alkanoyl or acyl (un)substituted alkoxy carbonyl,  $R_1NH$  replaced by (un)substituted methyleneimino;  $R_2 =$  enzymically cleavable  $CHR_7O_2CR_6$  ( $R_6 =$  alkyl, cycloalkyl;  $R_7 = H$ , alkyl) protective group;  $R_2 =$  tertiary aliphatic,  $PhCH_2$ , nitro- or methoxybenzyl,  $Cl_3CCH_2$ ,  $Ph_2CH$ , succinimido- or phthalimidomethyl], useful, e.g., against *Staphylococcus aureus* in mice at 0.2-15 mg/kg s.c. per day, were prepared Bicyclooctene II ( $R_1-R_3 = H$ ) and  $(Me_3CO)_2CO$  were converted in 4 steps to the blocked compound II ( $R_1 = Me_3CO_2C$ ,  $R_2 = Ph_2CH$ ,  $R_3 = CHO$ ), which was converted in 7 steps to the cephalosporin analog (Z)-syn-III.F3CCO<sub>2</sub>H.

ST cephalosporin analog bactericide; bicyclooctene thiazolylacetamido tetrazolylthiovinyl

IT Bactericides, Disinfectants and Antiseptics  
(cephalosporin analogs)

IT 64485-90-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amidation of aminocephemcarboxylate derivative by)

IT 21198-09-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(ammonolysis of)

IT 22252-43-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(blocking of)

IT 34619-03-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(blocking of aminocephemcarboxylate derivative by)

IT 29490-19-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reaction of, with acetic acid derivative)

IT 64485-90-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reaction of, with mercaptomethylthiadiazole)

- IT 62-56-6, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with cephemcarboxylate derivative)
- IT 6926-55-2 77360-66-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with di-Et oxalate)
- IT 26628-22-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with dimethoxyethyl isothiocyanate)
- IT 95-92-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with thiosemicarbazide derivative, triazinethione derivative by)
- IT 883-40-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of cephemcarboxylic acid derivative)
- IT 1558-67-4 4530-20-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of hydroxyethylated cephemcarboxylate derivative by)
- IT 63612-41-9 77361-32-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydrazinolysis of)
- IT 77361-84-3 77361-85-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(oxidation of, with chloropropylbenzoic acid)
- IT 77359-55-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acetylation of)
- IT 77359-94-5P 77360-00-0P 77360-08-8P 77360-09-9P 77360-20-4P 77360-21-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and amidation of, with thiazolylacetic acid derivative)
- IT 77359-93-4P 77361-05-8P 77361-09-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and amide hydrolysis of)
- IT 77359-99-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and amide hydrolysis or deoxygenation of)
- IT 77359-91-2P 77359-92-3P 77361-28-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and amine deblocking of)
- IT 77361-10-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and bromination of)
- IT 77360-08-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation of, with (acetylthio)thiadiazole derivative)
- IT 57930-22-0P 77360-54-4P 77360-57-7P 77360-76-0P 77360-85-1P 77361-30-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization of, with di-Et oxalate)
- IT 77361-02-5P 77361-06-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)



(preparation and cyclization of, with thiourea)  
 IT 77360-13-5P 77360-18-0P 77360-19-1P 77361-73-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and de-N-blocking of)  
 IT 77361-69-4P 77361-71-8P 77361-78-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and de-O-blocking of)  
 IT 77360-24-8P 77360-25-9P 77360-33-9P 77360-34-0P 77360-39-5P  
 77360-40-8P 77360-42-0P 77360-44-2P 77360-56-6P 77360-59-9P  
 77360-63-5P 77360-68-0P 77360-71-5P 77360-81-7P 77360-87-3P  
 77360-90-8P 77360-97-5P 77361-00-3P 77361-14-9P 77361-17-2P  
 77361-19-4P 77361-22-9P 77361-26-3P 77361-33-2P 77400-86-3P  
 77400-88-5P 77400-89-6P 77400-93-2P 77448-12-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and deblocking of)  
 IT 77360-51-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and deblocking of, or reaction with methoxyamine)  
 IT 77359-95-6P 77360-01-1P 77360-05-5P 77360-18-0P 77360-22-6P  
 77360-23-7P 77360-31-7P 77360-32-8P 77360-37-3P 77360-38-4P  
 77360-46-4P 77360-47-5P 77360-50-0P 77360-55-5P 77360-62-4P  
 77360-67-9P 77360-70-4P 77360-73-7P 77360-86-2P 77360-89-5P  
 77360-96-4P 77361-16-1P 77361-21-8P 77361-25-2P 77361-67-2P  
 77361-68-3P 77400-90-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and deoxygenation of)  
 IT 77360-10-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and deoxygenation or reaction of, with triazinethiol  
 derivative)  
 IT 77360-06-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and detritylation of)  
 IT 77359-59-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and esterification of)  
 IT 77359-64-9P 77359-81-0P 77359-82-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and esterification of, with diphenyldiazomethane)  
 IT 77400-94-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and esterification of, with formic anhydride or  
 tert-butoxycarbonylglycine)  
 IT 77361-31-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and hydrazinolysis of)  
 IT 77359-57-0P 77359-65-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and hydrolysis and isomerization of)  
 IT 77359-61-6P 77359-70-7P 77359-73-0P 77359-75-2P 77359-78-5P  
 77359-85-4P 77359-88-7P 77359-96-7P 77360-02-2P 77360-03-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of)

IT 77400-85-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis or tosylation of)

IT 77359-83-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and isomerization of)

IT 77361-73-0P 77361-80-9P 77361-81-0P 77361-90-1P 77361-91-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and oxidation of, with chloroperbenzoic acid)

IT 77359-55-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and oxidation or tosylation or isomerization of)

IT 77361-03-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and oximation of)

IT 77360-49-7P 77360-61-3P 77360-80-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with (tosyloxyvinyl)cephemcarboxylate derivative)

IT 77360-58-8P 77360-65-7P 77360-69-1P 77360-84-0P 77360-92-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with (tosylvinyl)cephemcarboxylate derivative)

IT 77361-29-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with aminocephemcarboxylate derivative)

IT 77359-68-3P 77359-76-3P 77359-79-6P 77359-80-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with bis(dimethylamino)-tert-butoxymethane)

IT 77359-63-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with bis(dimethylamino)-tert-butoxymethane and isomerization of)

IT 77361-04-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with bromine and diketene)

IT 57260-73-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with carbon disulfide)

IT 77361-13-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with chlorosulfonyl isocyanate or acetic anhydride)

IT 77359-58-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with dimethoxy(dimethylamino)methane)

IT 77359-91-2P 77359-92-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with hetero mercaptans or amide hydrolysis of)

IT 77360-12-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with hetero mercaptans or deblocking of)

IT 77360-10-2P 77360-16-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with mercaptans)

IT 77361-12-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with oxalyl chloride)

IT 77361-27-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with tert-butoxycarbonylglycine)

IT 77359-56-9P 77359-60-5P 77359-66-1P 77359-74-1P 77359-77-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and tosylation of)

IT 77361-11-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and N-acylation of aminocphemcarboxylate derivative)

IT 77360-08-8P 77360-09-9P 77361-77-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-acylation of, with thiopheneacetyl chloride)

IT 77360-93-1P 77360-94-2P 77360-95-3P 77400-91-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and S-oxidation of)

IT 77359-89-8P 77359-90-1P 77360-30-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and S-oxidation of, with chloroperbenzoic acid)

IT 11111-12-9DP, derivs. 77359-62-7P 77359-69-4P 77359-71-8P  
77359-84-3P 77359-86-5P 77359-98-9P 77360-04-4P 77360-07-7P  
77360-11-3P 77360-12-4P 77360-14-6P 77360-15-7P 77360-17-9P  
77360-26-0P 77360-27-1P 77360-29-3P 77360-35-1P 77360-36-2P  
77360-41-9P 77360-43-1P 77360-45-3P 77360-48-6P 77360-52-2P  
77360-53-3P 77360-60-2P 77360-64-6P 77360-72-6P 77360-74-8P  
77360-77-1P 77360-78-2P 77360-79-3P 77360-82-8P 77360-83-9P  
77360-88-4P 77360-91-9P 77360-98-6P 77361-01-4P 77361-08-1P  
77361-15-0P 77361-18-3P 77361-20-7P 77361-24-1P 77361-34-3P  
77361-36-5P 77361-37-6P 77361-38-7P 77361-39-8P 77361-40-1P  
77361-41-2P 77361-42-3P 77361-43-4P 77361-44-5P 77361-45-6P  
77361-47-8P 77361-48-9P 77361-49-0P 77361-50-3P 77361-51-4P  
77361-52-5P 77361-54-7P 77361-55-8P 77361-56-9P 77361-57-0P  
77361-58-1P 77361-59-2P 77361-60-5P 77361-62-7P 77361-63-8P  
77361-64-9P 77361-65-0P 77361-66-1P 77361-70-7P 77361-72-9P  
77361-74-1P 77361-75-2P 77361-76-3P 77361-79-6P 77361-83-2P  
77361-86-5P 77361-87-6P 77361-88-7P 77361-89-8P 77361-92-3P  
77361-93-4P 77361-94-5P 77400-02-3P 77400-87-4P 77400-95-4P  
77400-96-5P 77448-13-6P 77448-14-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

IT 79-37-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with (methoxyimino)oxobutyric acid)

IT 98-59-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with (oxoethyl)cephemcarboxylate derivs.)

IT 74-93-1, reactions 108-98-5, reactions 1121-31-9 13183-79-4  
21094-62-2 29490-19-5 52083-93-9 56610-81-2 58909-02-7  
58909-06-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with (tosyloxyvinyl)cephemcarboxylate derivative)

IT 54567-55-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with (tosylvinyl)cephemcarboxylate derivative)

IT 35609-70-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with (vinylxyimino)acetic acid)

IT 6629-60-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with Et isothiocyanatoacetate)

IT 75-15-0, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with [(butoxycarbonyl)amino]ethylamine and bromine)

IT 77360-10-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with [(butoxycarbonylamino)ethyl]triazine derivative)

IT 593-56-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with acetalized cephemcarboxylate derivative)

IT 69883-01-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with benzhydryl aminodeoacetoxycephalosporanic acid)

IT 28974-31-4 77359-72-9 77448-11-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with bis(dimethylamino)-tert-butoxymethane)

IT 674-82-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with bromine and aminocephemcarboxylate derivative)

IT 77361-07-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with bromobutyryl chloride)

IT 1189-71-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with cephemcarboxylate derivative)

IT 29126-12-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with ethoxybis(dimethylamino)methane)

IT 24066-82-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with ethylhydrazine)

IT 13733-17-0 75052-04-9 77360-75-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with hydrazine)

IT 4637-24-5 5815-07-6 5815-08-7 77359-87-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with methylcephemcarboxylate derivative)

IT 77400-92-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with methyltetrazolethiol)

IT 77360-99-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with tosyloxyvinylcephemcarboxylate derivative)

IT 66340-86-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (saponification of)

IT 35609-70-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acylation of)

IT 77359-67-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acylation of aminocephemcarboxylate derivative)

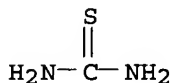
IT 39098-97-0 68786-47-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acylation of aminocephemcarboxylate derivative by)

IT 76-83-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-tritylation of aminocephemcarboxylate derivative by)

IT 62-56-6, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of, with cephemcarboxylate derivative)

RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)

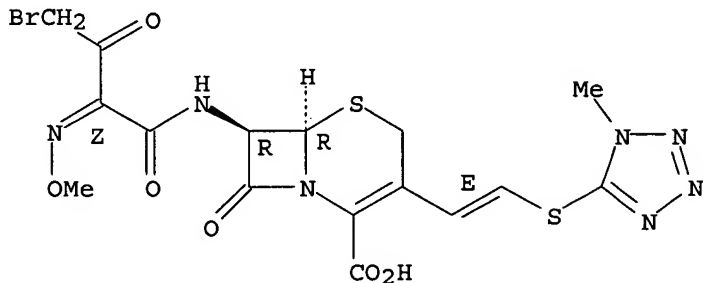


IT 77361-06-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**  
 (preparation and cyclization of, with thiourea)

RN 77361-06-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[(1-methyl-1H-tetrazol-5-yl)thio]ethenyl]-8-oxo-, [6R-[3(E),6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L44 ANSWER 34 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1979:593327 HCAPLUS  
 DN 91:193327  
 ED Entered STN: 12 May 1984

TI Cephalosporins  
 IN Ochiai, Michihiko; Morimoto, Akira; Okada, Taiiti  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO Ger. Offen., 35 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 IC C07D501-20  
 CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
 FAN.CNT 1

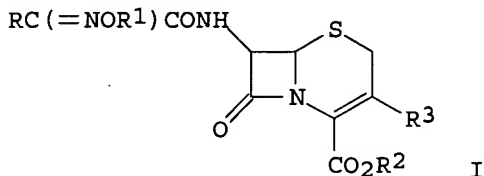
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2900961	A1	19790719	DE 1979-2900961	19790111
	JP 54098795	A2	19790803	JP 1978-3032	19780113
	JP 01042955	B4	19890918		
	GB 2012276	B2	19820506	GB 1979-312	19790104
	GB 2012276	A	19790725		
	CH 642662	A	19840430	CH 1979-151	19790109
	FR 2414508	A1	19790810	FR 1979-655	19790111
	FR 2414508	B1	19830527		
	JP 61143389	A2	19860701	JP 1985-269888	19851129
	JP 06031258	B4	19940427		
PRAI	JP 1978-3032	A	19780113		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 2900961	IC	C07D501-20

OS CASREACT 91:193327

GI



AB The cephalosporins I (R = haloacetyl, 2-amino-4-thiazolyl; R<sup>1</sup> = alkyl; R<sup>2</sup> = H, ester group; R<sup>3</sup> = group customary for cephalosporins) were prepared. Thus, MeCOC(:NOEt)CO<sub>2</sub>H was converted into the acid chloride, which reacted with tert-Bu 7-aminocephalosporanate to give I (R = BrCH<sub>2</sub>CO, R<sup>1</sup> = OEt, R<sup>2</sup> = CMe<sub>3</sub>, R<sup>3</sup> = CH<sub>2</sub>OAc). This was treated with (H<sub>2</sub>N)<sub>2</sub>CS in EtOH to give I (R = 5-amino-4-thiazolyl).

ST cephemcarboxylate iminoacetamido

IT 75-18-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(methylation by, of hydroxyiminobutyramidocephalosporanic acid)

IT 71754-05-7P 71754-07-9P 71754-14-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and bromination of)

IT 71773-94-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and methylation of)

IT 71754-06-8P 71754-08-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with aminocephemcarboxylate)

IT 71754-09-1P 71754-11-5P 71754-15-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

**RACT (Reactant or reagent)**

(preparation and reaction of, with thiourea)

IT 63527-52-6P 64485-93-4P 65052-72-4P 65085-02-1P 65243-21-2P

65243-23-4P 65243-25-6P 65243-28-9P 65243-30-3P 65243-32-5P

66340-29-2P 68350-22-1P 68350-24-3P 68473-20-1P 68495-99-8P

71754-10-4P 71754-12-6P 71754-16-0P 71754-17-1P 71754-18-2P

71901-49-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

IT 71754-13-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with aminocephemcarboxylate)

IT 62-56-6, reactions

RL: RCT (Reactant); **RACT (Reactant or reagent)**

(reaction of, with bromoalkoxyiminobutyramidocephemcarboxylate)

IT 6187-87-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with iminobutyroyl chloride)

IT 53090-86-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with oxo(methoxyimino)butyric acid)

IT 60846-08-4 65243-07-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(saponification of)

IT 71754-09-1P 71754-11-5P 71754-15-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

**RACT (Reactant or reagent)**

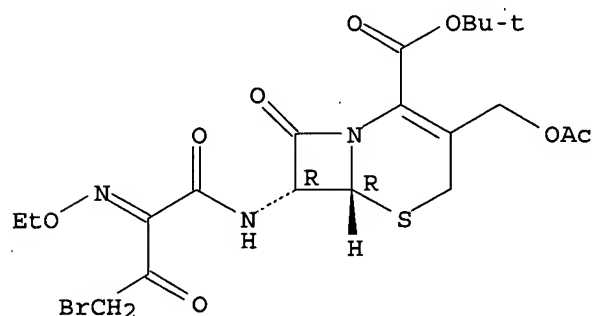
(preparation and reaction of, with thiourea)

RN 71754-09-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[[4-bromo-2-(ethoxyimino)-1,3-dioxobutyl]amino]-8-  
oxo-, 1,1-dimethylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

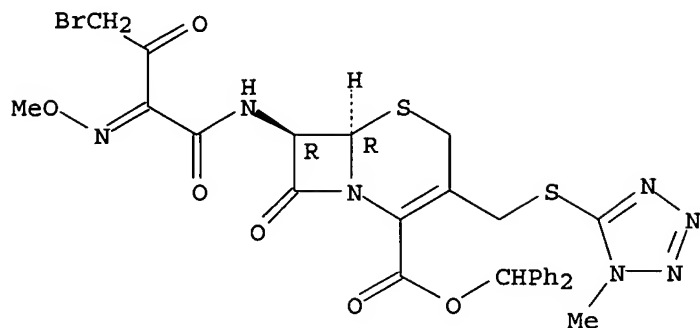
Double bond geometry unknown.



RN 71754-11-5 HCAPLUS

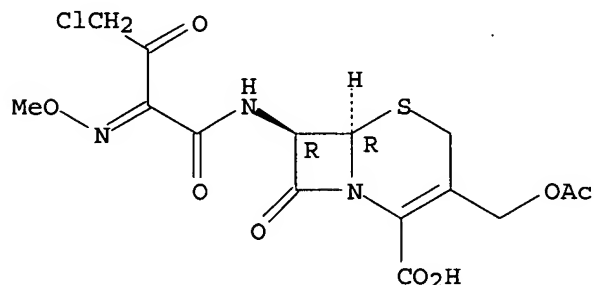
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[(1-methyl-1H-  
tetrazol-5-yl)thio]methyl]-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

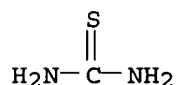


RN 71754-15-9 HCAPLUS  
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[(acetyloxy)methyl]-7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-  
8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



IT 62-56-6, reactions  
RL: RCT (Reactant); **RACT** (Reactant or reagent)  
(reaction of, with bromoalkoxyiminobutyramidocephemcarboxylate)  
RN 62-56-6 HCAPLUS  
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 35 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 1979:420525 HCAPLUS  
DN 91:20525  
ED Entered STN: 12 May 1984  
TI [2-(syn)-Carbamoyloximinoacetamido]-cephalosporins  
IN Numata, Mitsuo; Nishimuro, Tatsuo  
PA Takeda Chemical Industries, Ltd., Japan  
SO Ger. Offen., 139 pp.  
CODEN: GWXXBX  
DT Patent



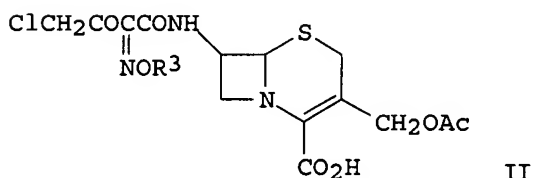
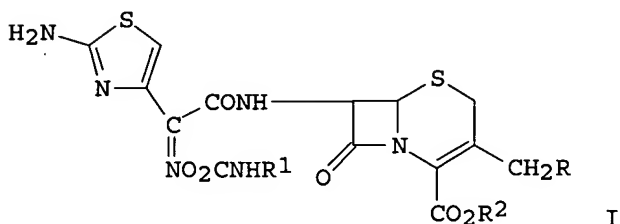
LA German  
 IC C07D501-20; A61K031-545  
 CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2834097	A1	19790222	DE 1978-2834097	19780803
	JP 54030194	A2	19790306	JP 1977-94469	19770806
	JP 60009719	B4	19850312		
	CH 641467	A	19840229	CH 1978-8198	19780731
	US 4200575	A	19800429	US 1978-930041	19780801
	FR 2399432	A1	19790302	FR 1978-23018	19780803
	FR 2399432	B1	19821008		
	GB 2002761	A	19790228	GB 1978-32371	19780804
	GB 2002761	B2	19820616		
PRAI	JP 1977-94469	A	19770806		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 2834097	IC	C07D501-20IC A61K031-545
US 4200575	NCL	514/202.000; 514/203.000; 514/205.000; 514/206.000; 514/207.000; 540/222.000; 540/225.000; 540/227.000; 540/228.000

GI



- AB The cephalosporins I [R = H, OH, O<sub>2</sub>CNH<sub>2</sub>, acyloxy, quaternary ammonium, (heterocyclyl)thio, R<sub>1</sub> = alkyl, aryl, aralkyl; R<sub>2</sub> = H, ester group] and their salts were prepared and exhibited bactericidal activity. Thus, II (R<sub>3</sub> = H) was treated with MeNCO to give II (R<sub>3</sub> = MeNHCO), which reacted with thiourea to give I (R = AcO, R<sub>1</sub> = Me, R<sub>2</sub> = H). Test data for I against various gram-pos. and gram-neg. bacteria were tabulated.
- ST bactericide cephalosporin prepn; cephemcarboxylate thiazolyliminoacetamido prepn bactericide
- IT Bactericides, Disinfectants and Antiseptics  
 ([[(carbamoyloxyimino)(aminothiazolyl)acetamido]cephemcarboxylic acid derivs.)
- IT 62-56-6, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with [haloacetyl(carbamoyloxyimino)acetamido]cephemcarboxylic acid derivs.)

IT 53064-79-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (esterification of cephemcarboxylic acid derivative by)

IT 70343-65-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (esterification of, with iodomethyl pivalate)

IT 70344-02-4P 70344-03-5P 70344-04-6P 70344-05-7P 70344-06-8P  
 70344-07-9P 70344-08-0P 70344-09-1P 70344-10-4P 70344-11-5P  
 70344-12-6P 70344-13-7P 70344-14-8P 70344-15-9P 70344-16-0P  
 70344-17-1P 70344-18-2P 70344-19-3P 70344-20-6P 70344-21-7P  
 70344-22-8P 70344-23-9P 70344-24-0P 70344-30-8P 70344-31-9P  
 70344-32-0P 70344-33-1P 70344-34-2P 70344-35-3P 70344-36-4P  
 70344-37-5P 70344-38-6P 70368-49-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and bactericidal activity of)

IT 70343-78-1P 70343-79-2P 70343-80-5P  
 70343-81-6P 70343-82-7P 70343-83-8P  
 70343-84-9P 70343-85-0P 70343-86-1P  
 70343-87-2P 70343-88-3P 70343-89-4P  
 70343-90-7P 70343-91-8P 70343-92-9P  
 70343-93-0P 70343-94-1P 70343-95-2P  
 70343-96-3P 70343-97-4P 70343-98-5P  
 70343-99-6P 70344-00-2P 70344-01-3P  
 70481-53-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and cyclization of, with thiourea)

IT 70343-59-8P 70343-60-1P 70343-61-2P 70343-62-3P 70343-63-4P  
 70343-64-5P 70343-65-6P 70343-66-7P 70343-67-8P 70343-68-9P  
 70343-69-0P 70343-70-3P 70343-71-4P 70343-72-5P 70343-73-6P  
 70343-74-7P 70343-75-8P 70343-76-9P 70343-77-0P 70344-05-7P  
 70344-25-1P 70344-26-2P 70344-27-3P 70344-28-4P 70344-29-5P  
 70481-54-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

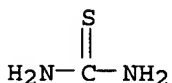
IT 103-71-9, reactions 624-83-9 3173-53-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with [(hydroxyimino)acetamido]cephemcarboxylic acid derivative)

IT 66436-48-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with organic isocyanates)

IT 1453-82-3 29490-19-5 61607-68-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (substitution reaction of, with (acetoxymethyl)cephemcarboxylic acid derivative)

IT 62-56-6, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with [haloacetyl(carbamoyloxyimino)acetamido]cephemcarboxylic acid derivs.)

RN 62-56-6 HCAPLUS  
 CN Thiourea (9CI) (CA INDEX NAME)



IT 70343-78-1P 70343-79-2P 70343-80-5P  
 70343-81-6P 70343-82-7P 70343-83-8P  
 70343-84-9P 70343-85-0P 70343-86-1P  
 70343-87-2P 70343-88-3P 70343-89-4P  
 70343-90-7P 70343-91-8P 70343-92-9P  
 70343-93-0P 70343-94-1P 70343-95-2P  
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 70343-99-6P 70344-00-2P 70344-01-3P  
 70481-53-7P

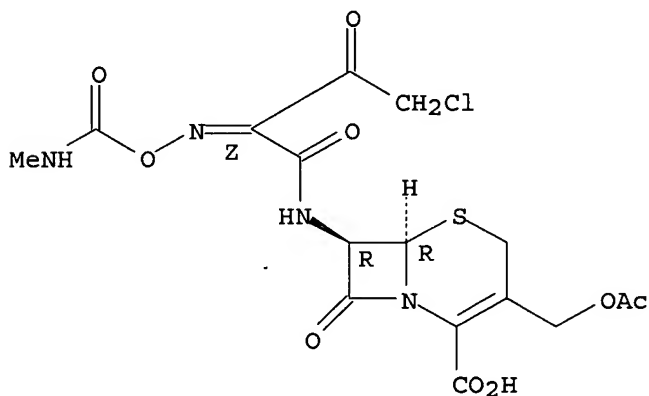
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
**RACT (Reactant or reagent)**

(preparation and cyclization of, with thiourea)

RN 70343-78-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 3-[(acetyloxy)methyl]-7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-  
 1,3-dioxobutyl]amino]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX  
 NAME)

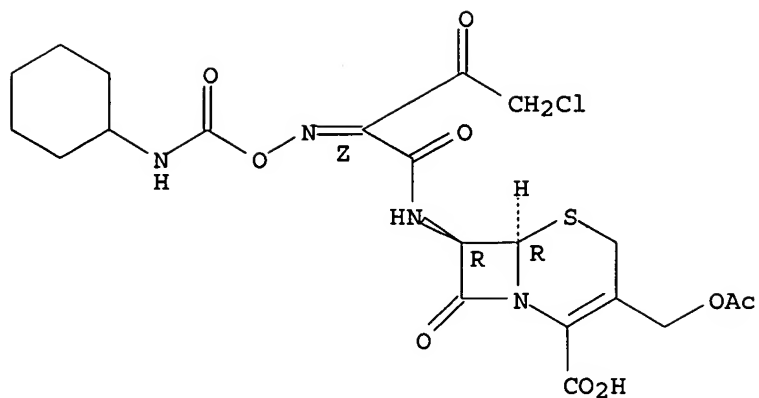
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 70343-79-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 3-[(acetyloxy)methyl]-7-[[4-chloro-2-[[[(cyclohexylamino)carbonyl]oxy]imin  
 o]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA  
 INDEX NAME)

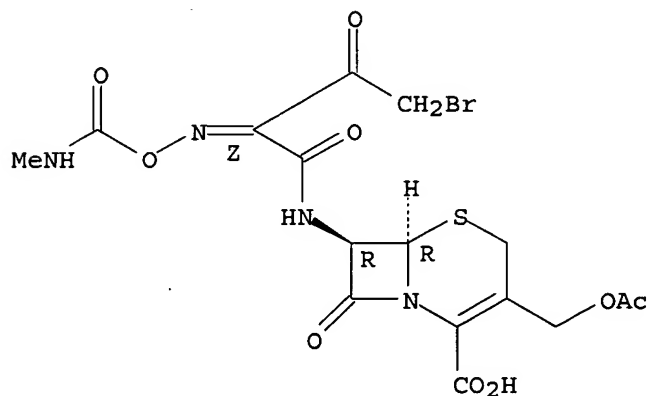
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 70343-80-5 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[(acetyloxy)methyl]-7-[[4-bromo-2-[[[(methylamino)carbonyl]oxy]imino]-  
1,3-dioxobutyl]amino]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX  
NAME)

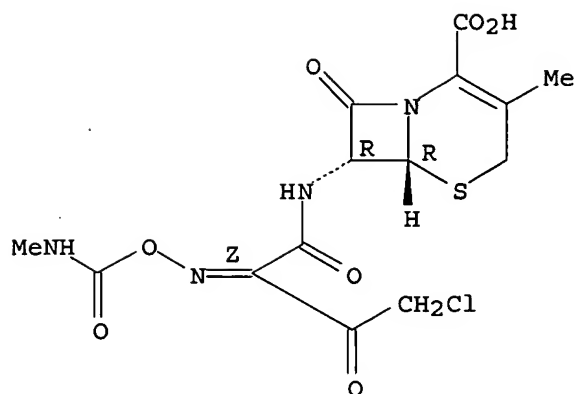
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-81-6 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-  
methyl-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

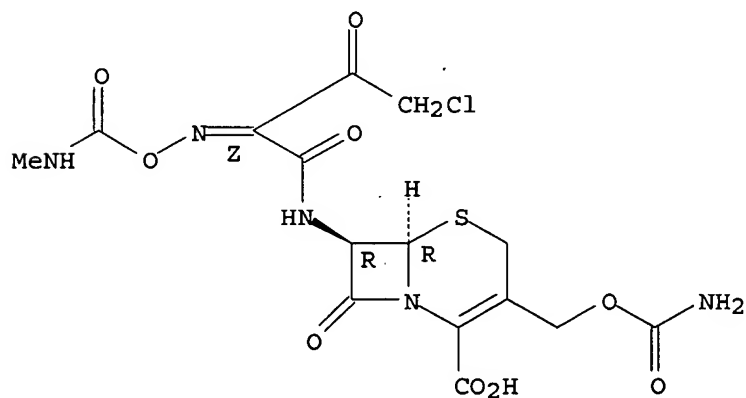
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-82-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[[ (aminocarbonyl)oxy]methyl]-7-[[4-chloro-2-  
[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

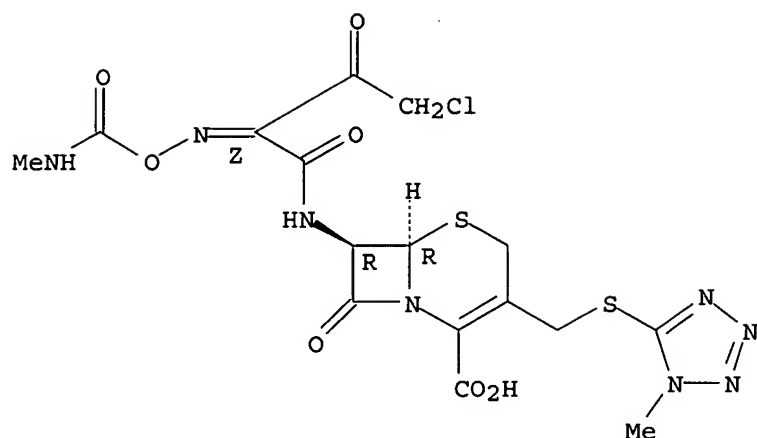
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-83-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-  
[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-  
[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

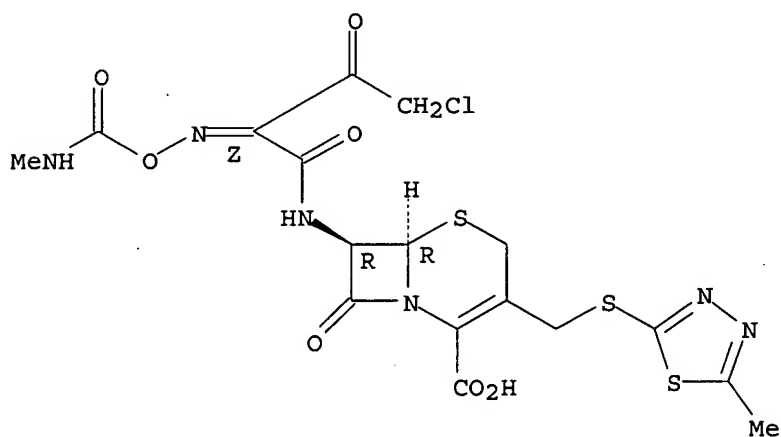
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-84-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-  
[[5-methyl-1,3,4-thiadiazol-2-yl]thio]methyl]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

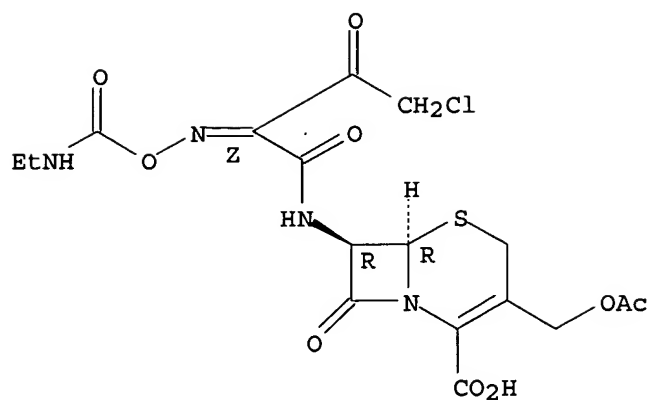
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-85-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[(acetyloxy)methyl]-7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

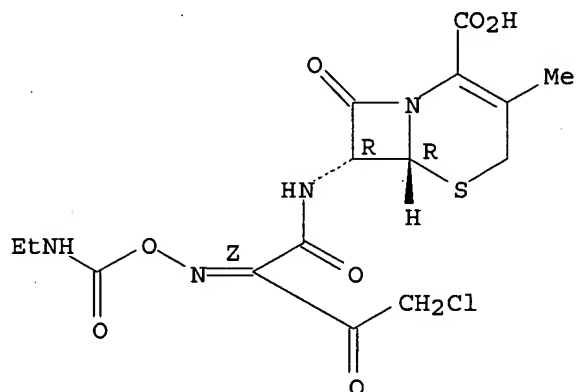
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-86-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-  
methyl-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

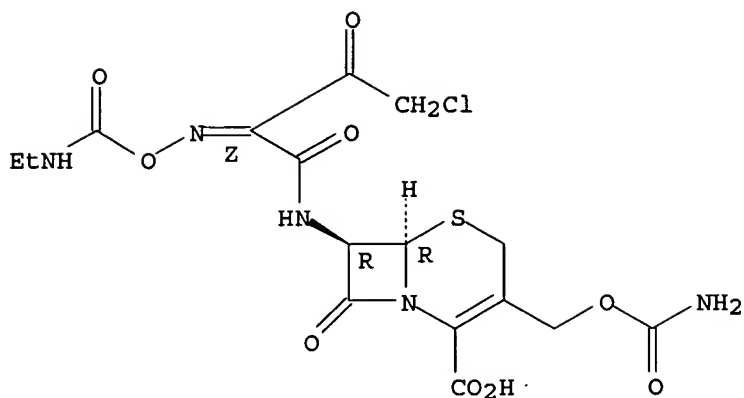
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-87-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[[[(aminocarbonyl)oxy]methyl]-7-[[4-chloro-2-  
[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-,  
[6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

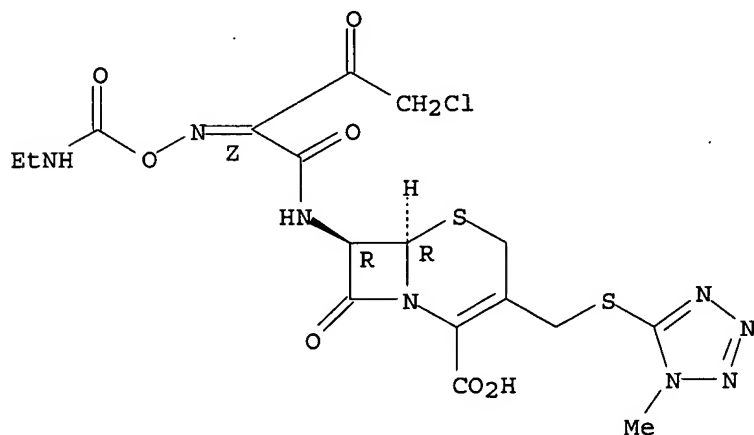
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-88-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-  
[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-  
[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

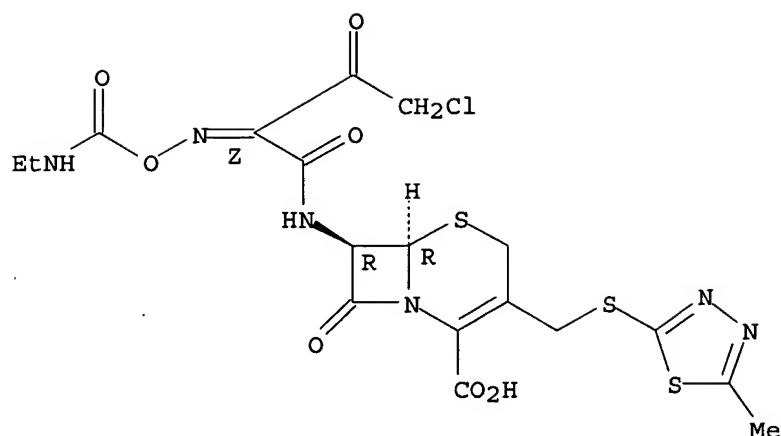


RN 70343-89-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-  
[[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]-8-oxo-,  
[6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

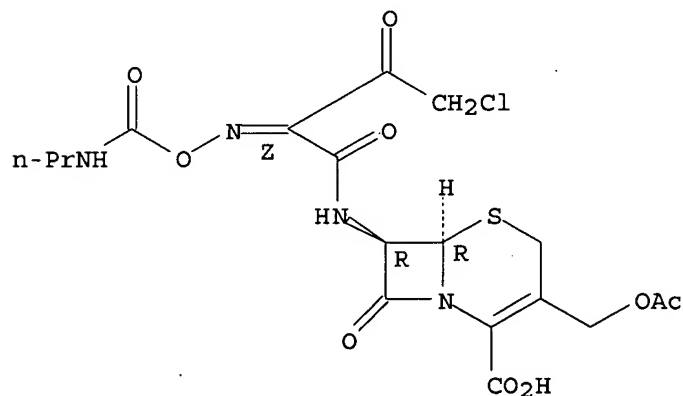




RN 70343-90-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[(acetyloxy)methyl]-7-[[4-chloro-1,3-dioxo-2-  
[[[(propylamino)carbonyl]oxy]imino]butyl]amino]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

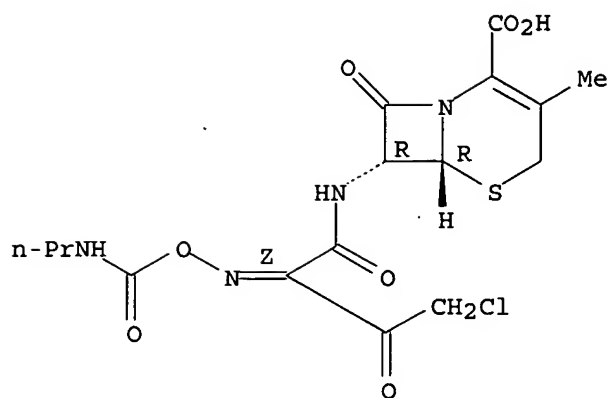
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-91-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-1,3-dioxo-2-[[[(propylamino)carbonyl]oxy]imino]butyl]amino]-3-  
methyl-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

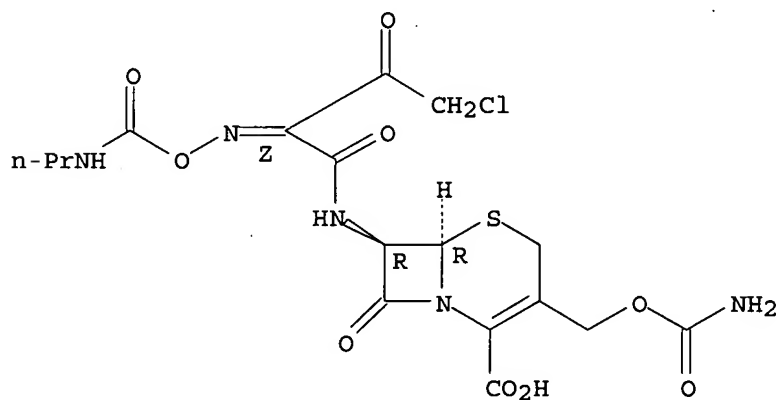
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-92-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[[[(aminocarbonyl)oxy]methyl]-7-[[4-chloro-1,3-dioxo-2-  
[[[(propylamino)carbonyl]oxy]imino]butyl]amino]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

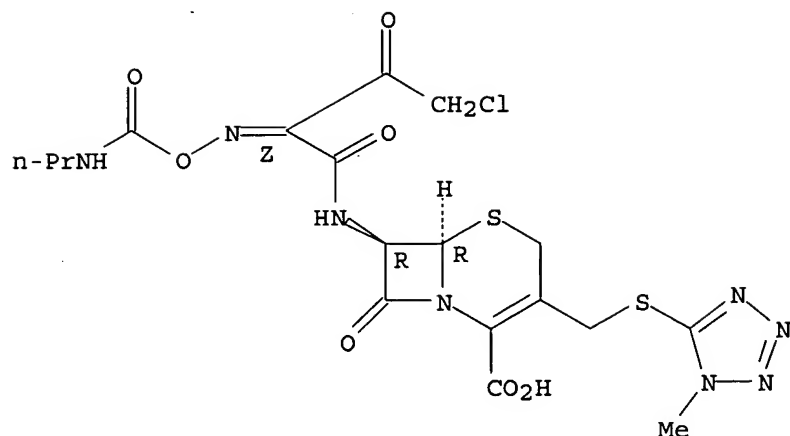
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-93-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-1,3-dioxo-2-[[[(propylamino)carbonyl]oxy]imino]butyl]amino]-3-  
[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-  
[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

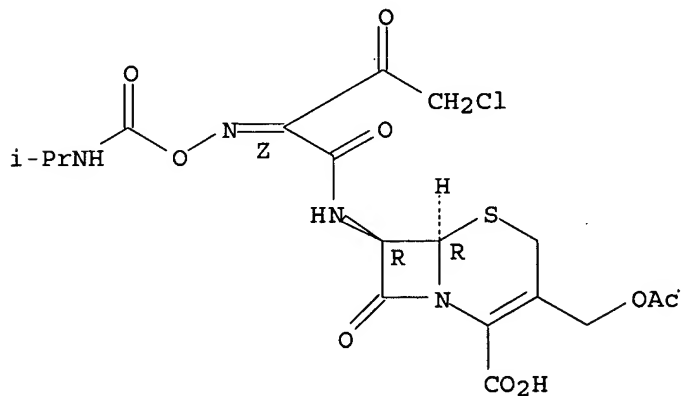
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-94-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[(acetyloxy)methyl]-7-[[4-chloro-2-[[[(1-methylethyl)amino]carbonyl]oxy]  
imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI)  
(CA INDEX NAME)

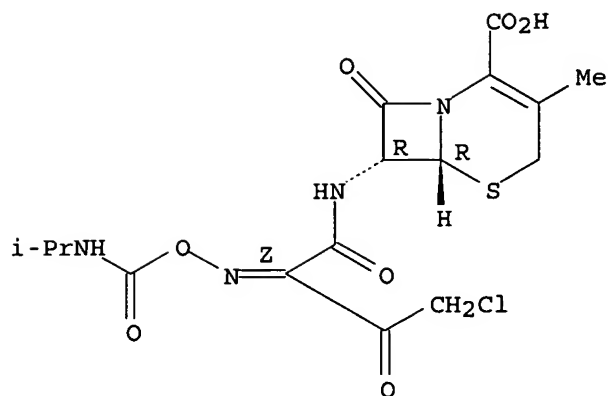
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-95-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[[[(1-methylethyl)amino]carbonyl]oxy]imino]-1,3-  
dioxobutyl]amino]-3-methyl-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA  
INDEX NAME)

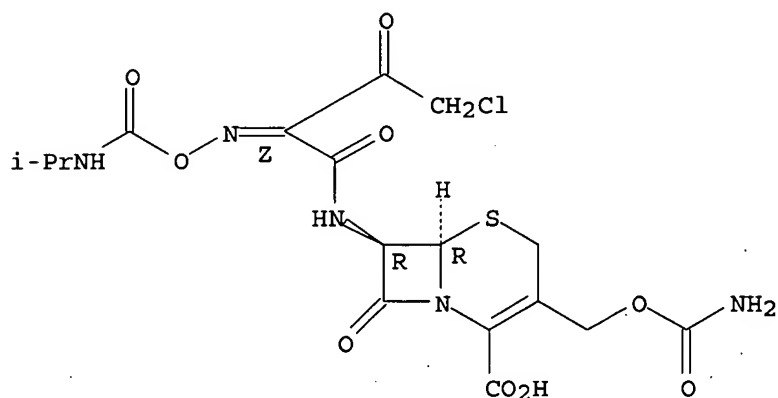
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-96-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[[[(aminocarbonyl)oxy]methyl]-7-[[4-chloro-2-[[[(1-methylethyl)amino]carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

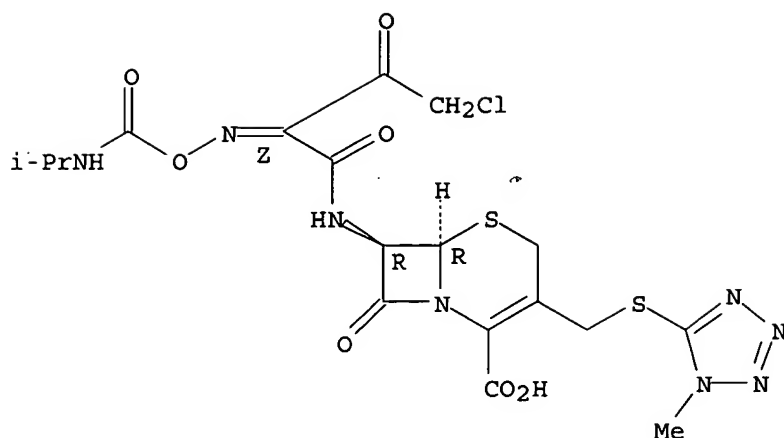
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-97-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[4-chloro-2-[[[(1-methylethyl)amino]carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

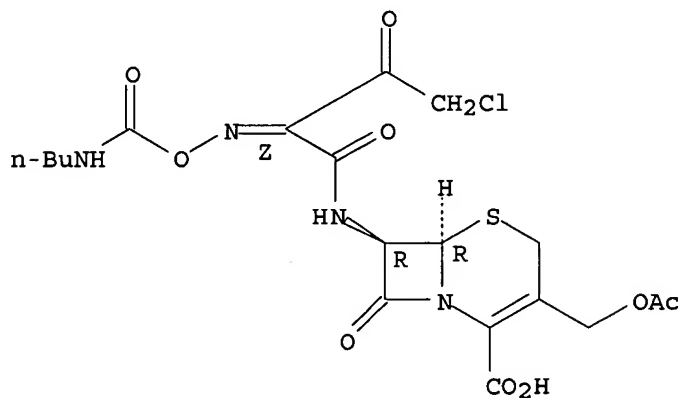
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-98-5 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[(acetyloxy)methyl]-7-[[2-[[[(butylamino)carbonyl]oxy]imino]-4-chloro-  
1,3-dioxobutyl]amino]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX  
NAME)

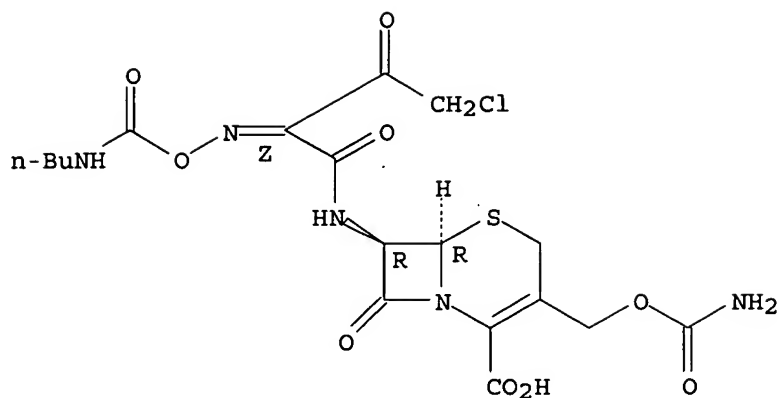
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70343-99-6 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[[[aminocarbonyl]oxy]methyl]-7-[[2-[[[(butylamino)carbonyl]oxy]imino]-4-  
chloro-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI)  
(CA INDEX NAME)

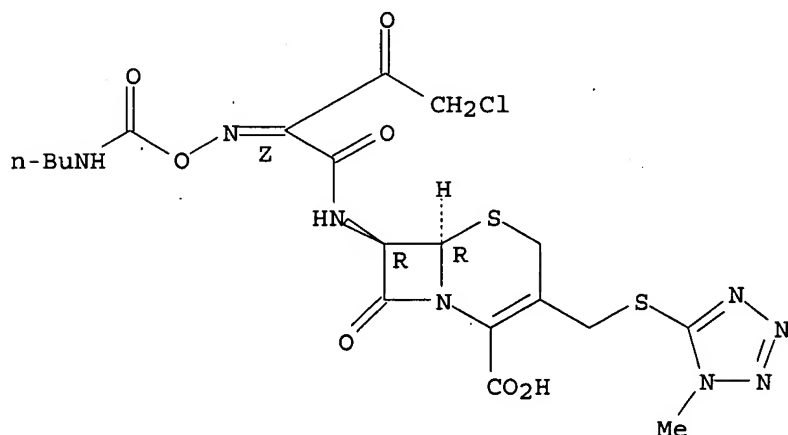
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70344-00-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[2-[[[(butylamino)carbonyl]oxy]imino]-4-chloro-1,3-dioxobutyl]amino]-3-  
[[1-methyl-1H-tetrazol-5-yl]thio]methyl]-8-oxo-, [6R-  
[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

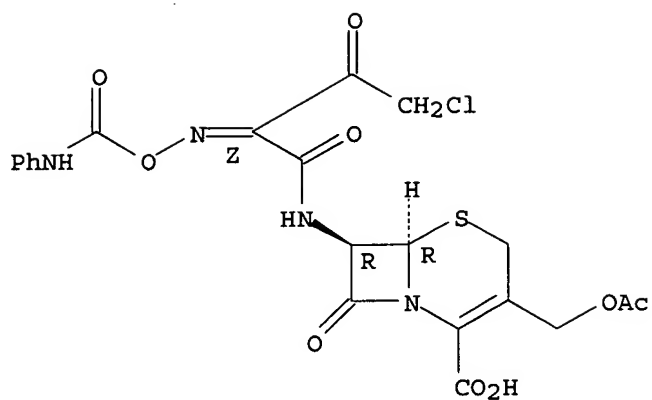
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70344-01-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
3-[(acetyloxy)methyl]-7-[[4-chloro-1,3-dioxo-2-  
[[[(phenylamino)carbonyl]oxy]imino]butyl]amino]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

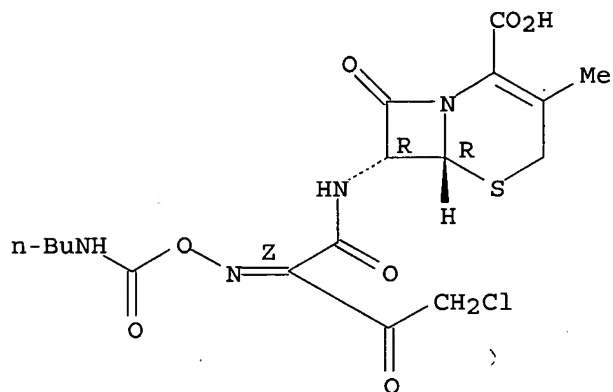
Absolute stereochemistry.  
Double bond geometry as shown.



RN 70481-53-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[2-[[[(butylamino)carbonyl]oxy]imino]-4-chloro-1,3-dioxobutyl]amino]-3-  
methyl-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



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